10/791,324

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LOGINID:SSSPTA1204BXD

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	18	FEB 10	STN Patent Forums to be held in March 2005
NEWS	19	FEB 16	STN User Update to be held in conjunction with the 229th ACS National Meeting on March 13, 2005
NEWS	20	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	21	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	22	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	23	MAR 02	GBFULL: New full-text patent database on STN
NEWS	24	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	25	MAR 03	MEDLINE file segment of TOXCENTER reloaded

NEWS EXPRESS	JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:30:37 ON 09 MAR 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:30:44 ON 09 MAR 2005
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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

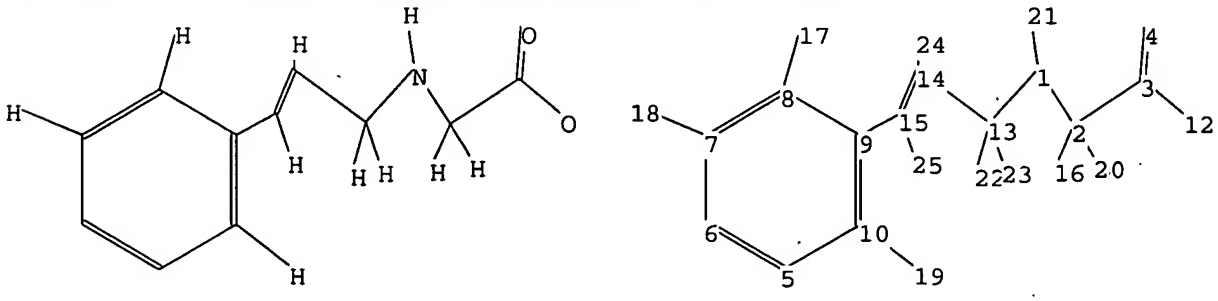
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24 15-25

```
ring bonds :
5-6  5-10  6-7  7-8  8-9  9-10
exact/norm bonds :
1-13  1-2  3-4  3-12
exact bonds :
1-21  2-3  2-16  2-20  7-18  8-17  9-15  10-19  13-14  13-22  13-23  14-15  14-24
15-25
normalized bonds :
5-6  5-10  6-7  7-8  8-9  9-10


G1:O,N

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
 12:CLASS  13:CLASS  14:CLASS  15:CLASS  16:CLASS  17:CLASS  18:CLASS  19:CLASS
20:CLASS  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS



L1      STRUCTURE UPLOADED

=> d query
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 14:31:05 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      0 TO ITERATE

100.0% PROCESSED       0 ITERATIONS                              0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            0 TO        0
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:31:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     13 TO ITERATE

100.0% PROCESSED      13 ITERATIONS                              2 ANSWERS
SEARCH TIME: 00.00.01

L3            2 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 14:31:12 ON 09 MAR 2005
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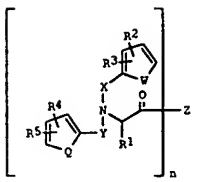
FILE COVERS 1907 - 9 Mar 2005 VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005 (20050308/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 3 L3

=> d l4 1-3 abs ibib hitstr

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

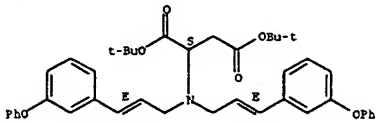
ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312

PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
US 1999-294785 B2 19990419
US 2000-517976 A3 20000303
US 2001-927111 A3 20010810
OTHER SOURCE(S): MARPAT 135:331670
IT 247203-76-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT

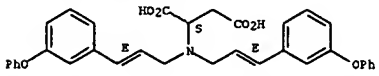
L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Reactant or reagent); USES (Uses)
(prepn. of substituted amino acids as erythropoietin mimetics)
RN 247203-76-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 247202-79-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247202-79-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

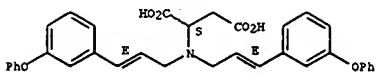


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

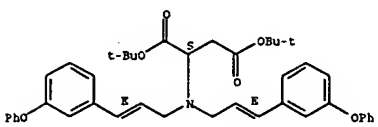
ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171
IT 247202-79-5P 247203-76-5P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(erythropoietin receptor binding structure activity of disubstituted amino acids)
RN 247202-79-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



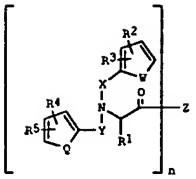
RN 247203-76-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, {NH(CH2)s}3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

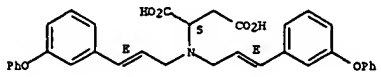
L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833

IT 247202-79-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)

RN 247202-79-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

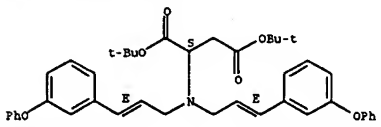
Absolute stereochemistry.
Double bond geometry as shown.



IT 247203-76-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acids as erythropoietin mimetics)

RN 247203-76-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 19.32 | 180.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION
CA SUBSCRIBER PRICE | -2.19 | -2.19

FILE 'REGISTRY' ENTERED AT 14:37:10 ON 09 MAR 2005
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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

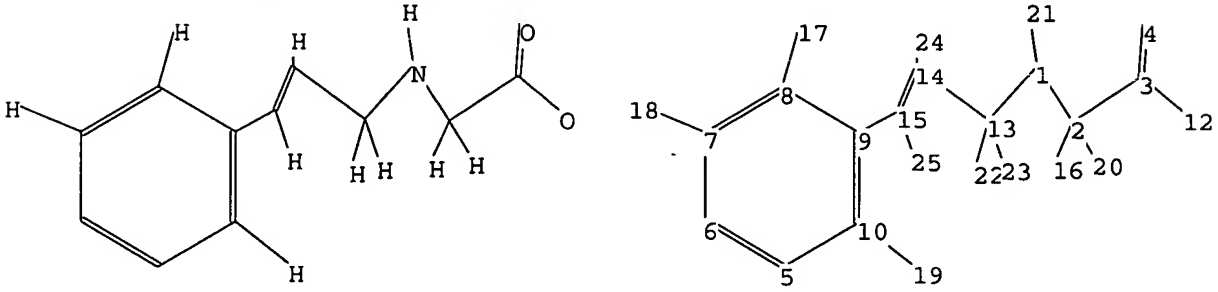
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22
13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :

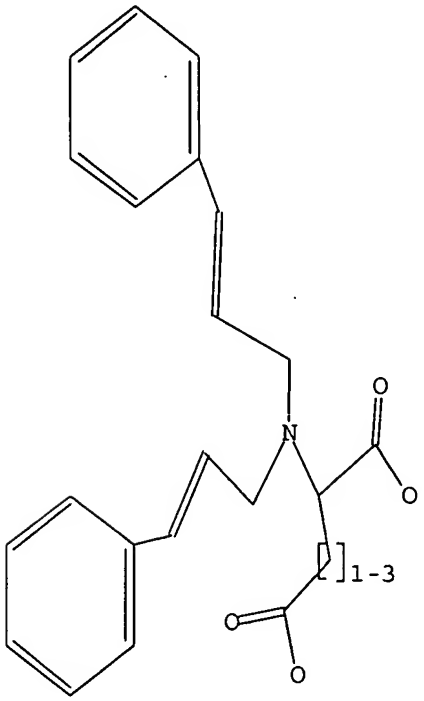
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L5 STRUCTURE UPLOADED

=> d query
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5
SAMPLE SEARCH INITIATED 14:39:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             4 TO      200
PROJECTED ANSWERS:                3 TO      163

L6            3 SEA SSS SAM L5

=> s l5 full
FULL SEARCH INITIATED 14:39:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     79 TO ITERATE

100.0% PROCESSED      79 ITERATIONS                          17 ANSWERS
SEARCH TIME: 00.00.01

L7            17 SEA SSS FUL L5

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   162.62     343.48

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -2.19

FILE 'CAPLUS' ENTERED AT 14:39:51 ON 09 MAR 2005
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```
FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l7
L8            3 L7

=> d l8 1-3 abs ibib hitstr
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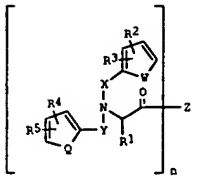
L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI



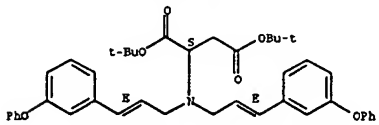
AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6310078	B1	20011030	US 2000-517976		20000303
US 2002016350	A1	20020207	US 2001-927111		20010810
US 6750369	B2	20040615			
US 2004248815	A1	20041209	US 2004-799324		20040312
PRIORITY APPLN. INFO.:			US 1998-82392P	P	19980420
			US 1999-294785	B2	19990419
			US 2000-517976	A3	20000303
			US 2001-927111	A3	20010810

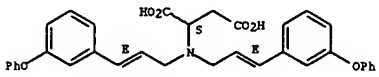
OTHER SOURCE(S): MARPAT 135:331670
IT 247203-76-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of substituted amino acids as erythropoietin mimetics)
RN 247203-76-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



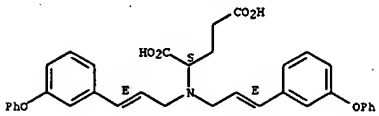
IT 247202-79-5P 247202-84-2P 247203-59-4P
247203-60-7P 247203-61-8P 247203-62-9P
247203-63-0P 247203-65-2P 247203-66-3P
247203-67-4P 247203-68-5P 247203-69-6P
247203-70-9P 247203-72-1P 247203-74-3P
247203-75-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247202-79-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247202-84-2 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

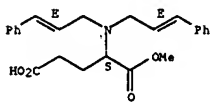
Absolute stereochemistry.
Double bond geometry as shown.



L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

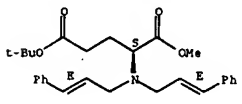
RN 247203-59-4 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-phenyl-2-propenyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



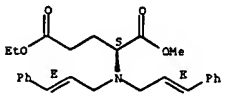
RN 247203-60-7 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-phenyl-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-61-8 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-phenyl-2-propenyl]-, 5-ethyl 1-methyl ester (9CI) (CA INDEX NAME)

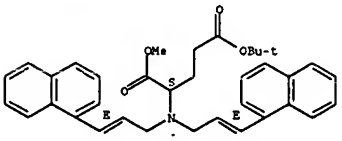
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-62-9 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(1-naphthalenyl)-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

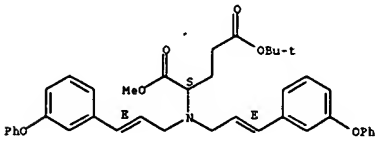
Absolute stereochemistry.
Double bond geometry as shown.

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



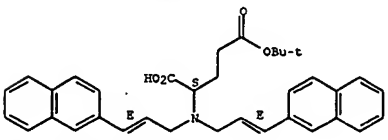
RN 247203-63-0 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-65-2 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(2-naphthalenyl)-2-propenyl]-, 5-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

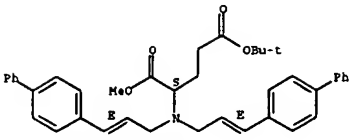
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-66-3 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[1,1'-biphenyl]-4-yl-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

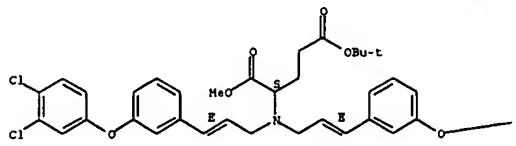
L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 247203-67-4 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-(3,4-dichlorophenoxy)phenyl]-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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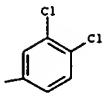
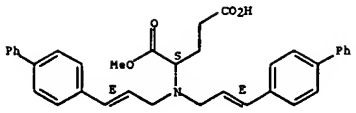


RN 247203-68-5 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[1,1'-biphenyl]-4-yl-2-propenyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

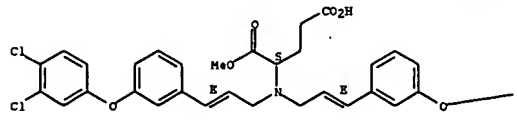
L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 247203-69-6 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-(3,4-dichlorophenoxy)phenyl]-2-propenyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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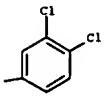
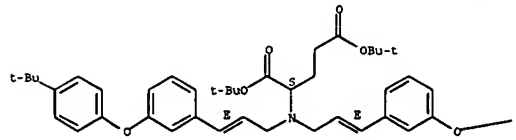


RN 247203-70-9 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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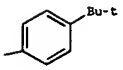
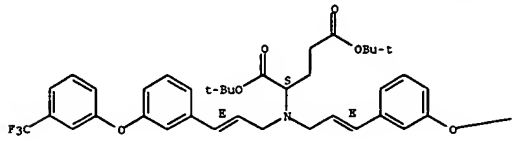


RN 247203-72-1 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-[3-(trifluoromethyl)phenoxy]phenyl]-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

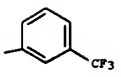
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

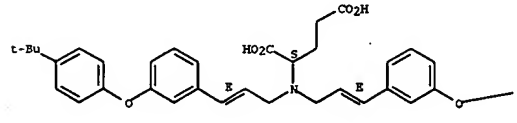
PAGE 1-B



RN 247203-74-3 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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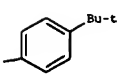
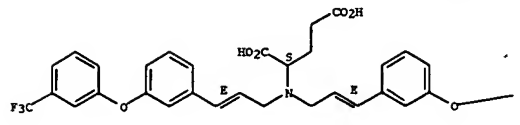


RN 247203-75-4 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-[3-(trifluoromethyl)phenoxy]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

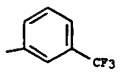
Absolute stereochemistry.
Double bond geometry as shown.

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

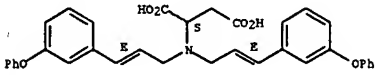
AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171

IT 247202-79-5P 247202-84-2P 247203-63-0P 247203-69-6P 247203-74-3P 247203-75-4P 247203-76-5P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(erythropoietin receptor binding structure activity of disubstituted amino acids)

RN 247202-79-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

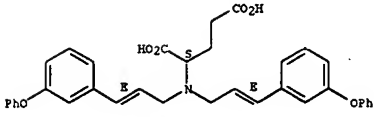
Absolute stereochemistry.
Double bond geometry as shown.



RN 247202-84-2 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

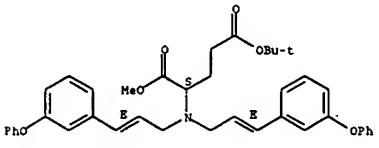
Absolute stereochemistry.
Double bond geometry as shown.

L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 247203-63-0 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

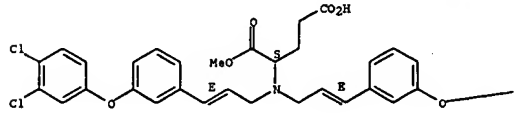
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-69-6 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-(3,4-dichlorophenoxy)phenyl]-2-propenyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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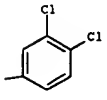
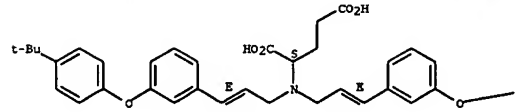


L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 247203-74-3 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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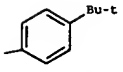
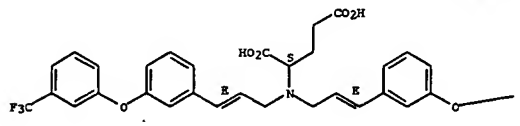


RN 247203-75-4 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-(trifluoromethyl)phenoxy]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

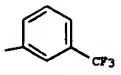
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



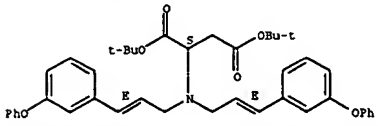
L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



RN 247203-76-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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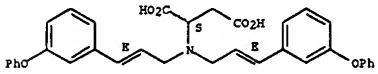
L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833
IT 247202-79-5P 247202-84-2P 247203-59-4P
247203-60-7P 247203-61-8P 247203-62-9P
247203-63-0P 247203-65-2P 247203-66-3P
247203-67-4P 247203-68-5P 247203-69-6P
247203-70-9P 247203-72-1P 247203-74-3P
247203-75-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247202-79-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247202-84-2 CAPLUS
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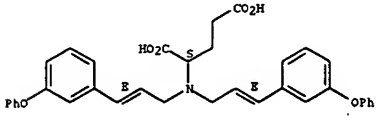
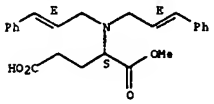
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-59-4 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-phenyl-2-propenyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
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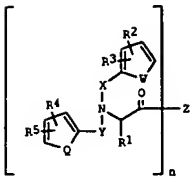


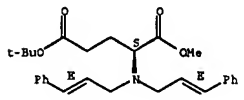
AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation
ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

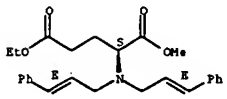
RN 247203-60-7 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-phenyl-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



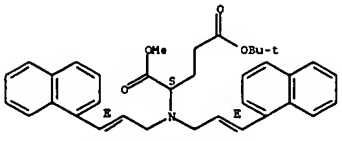
RN 247203-61-8 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-phenyl-2-propenyl]-, 5-ethyl 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



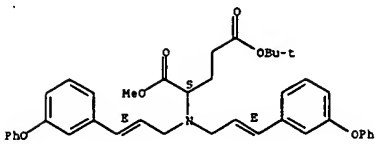
RN 247203-62-9 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(1-naphthalenyl)-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



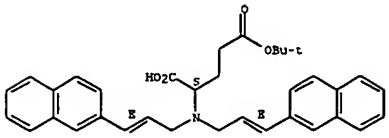
RN 247203-63-0 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



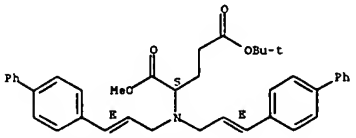
RN 247203-65-2 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-(2-naphthalenyl)-2-propenyl]-, 5-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-66-3 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[1,1'-biphenyl]-4-yl-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

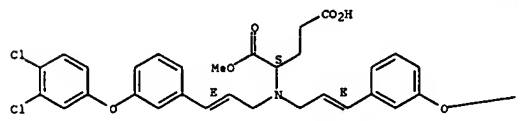
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-67-4 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-(3,4-dichlorophenoxy)phenyl]-2-propenyl]-, 5-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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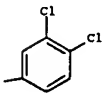
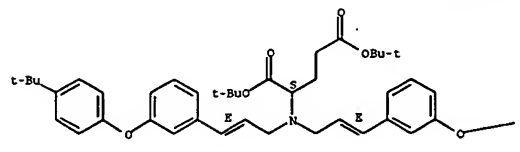


RN 247203-70-9 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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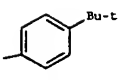

RN 247203-72-1 CAPLUS

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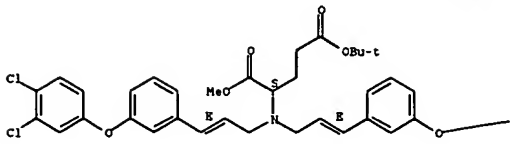

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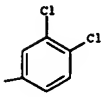
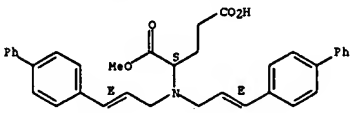


RN 247203-68-5 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[1,1'-biphenyl]-4-yl-2-propenyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



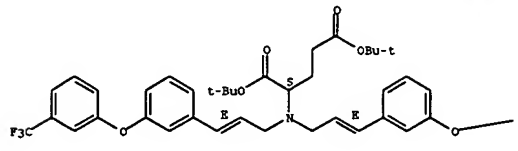
RN 247203-69-6 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-(3,4-dichlorophenoxy)phenyl]-2-propenyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

CN L-Glutamic acid, N,N-bis[(2E)-3-[3-[3-(trifluoromethyl)phenoxy]phenyl]-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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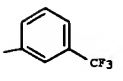
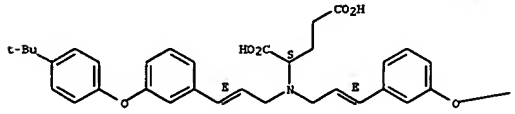


RN 247203-74-3 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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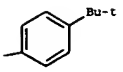
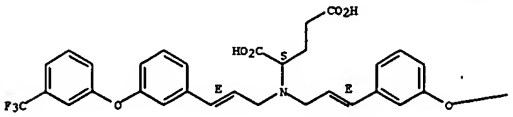


RN 247203-75-4 CAPLUS
CN L-Glutamic acid, N,N-bis[(2E)-3-[3-(trifluoromethyl)phenoxy]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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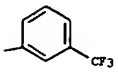
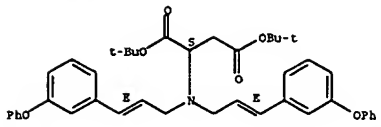


IT 247203-76-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247203-76-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST | 16.17 | 359.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION
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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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Experimental and calculated property data are now available. For more
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http://www.cas.org/ONLINE/DBSS/registryss.html

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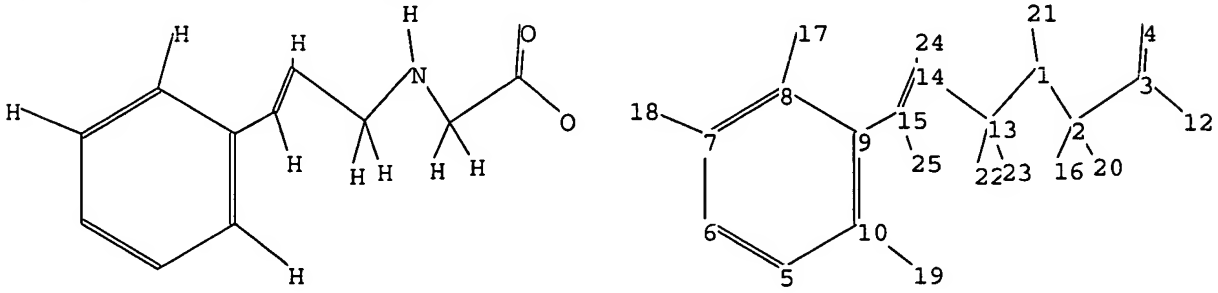

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ring bonds :
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exact/norm bonds :
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G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
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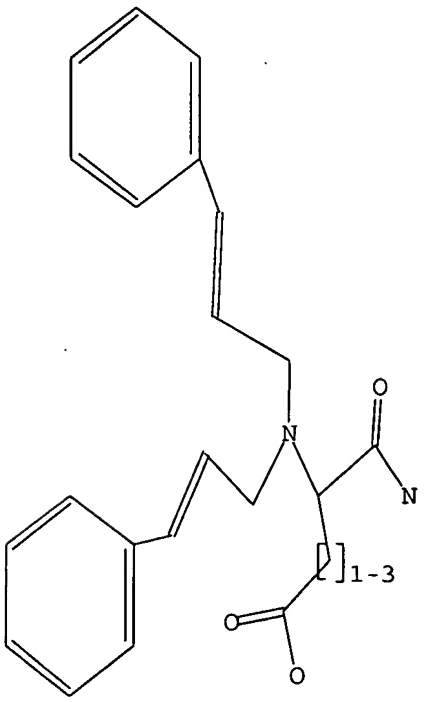

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 4 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:             4 TO      200
PROJECTED ANSWERS:                0 TO        0

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SEARCH TIME: 00.00.01

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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                                                       ENTRY    SESSION
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FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


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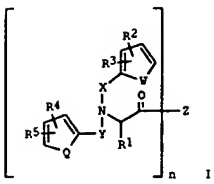
L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312

PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
US 1999-294785 B2 19990419
US 2000-517976 A3 20000303
US 2001-927111 A3 20010810

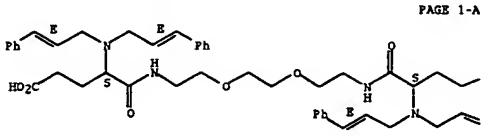
OTHER SOURCE(S): MARPAT 135:331670
IT 247205-29-4P 247205-30-7P 247205-31-8P
247205-32-9P 247205-33-0P 247205-34-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amino acids as erythropoietin mimetics)

RN 247205-29-4 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[bis[(2E)-3-phenyl-2-propenyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



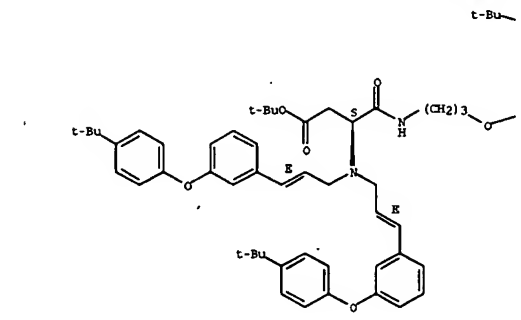
PAGE 1-B

RN 247205-30-7 CAPLUS
CN 9,14-Dioxa-5,18-diazadocosanedioic acid, 3,20-bis[bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]amino]-4,19-dioxo-, bis(1,1-dimethylethyl) ester, (3S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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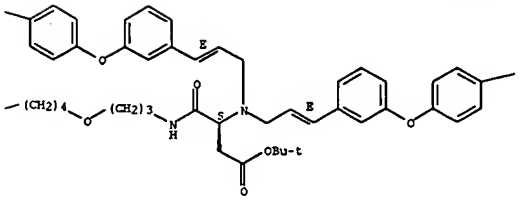


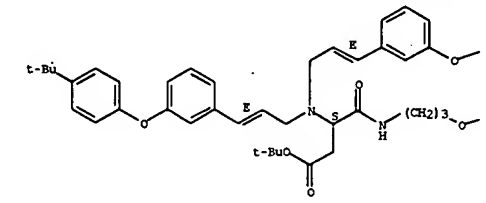
PAGE 1-C

RN 247205-31-8 CAPLUS
CN 9,12,15-Trioxa-5,19-diazatricosanedioic acid, 3,21-bis[bis[(2E)-3-[3-[4-

L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]amino]-4,20-dioxo-, bis(1,1-dimethylethyl) ester, (3S,21S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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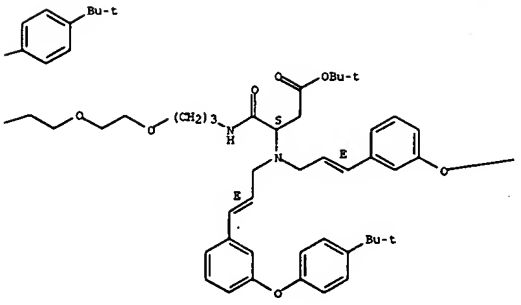

L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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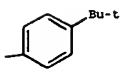
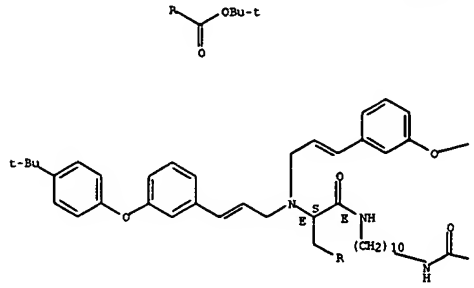


RN 247205-32-9 CAPLUS
CN Butanoic acid, 4,4'-(1,10-decanediyldiimino)bis[3-[bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]amino]-4-oxo-, bis(1,1-dimethylethyl) ester, (3S,3'S)- (9CI) (CA INDEX NAME)

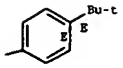
Absolute stereochemistry.
Double bond geometry as shown.

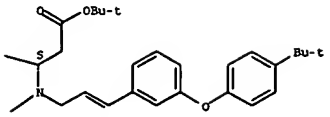
PAGE 1-A



L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B





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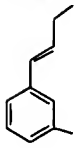

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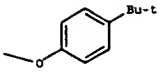
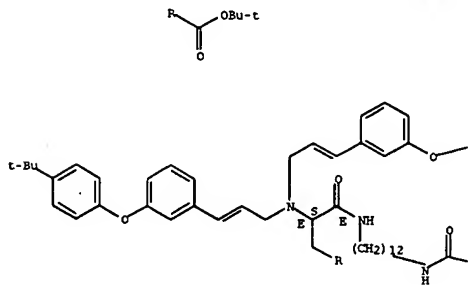


RN 247205-33-0 CAPLUS

L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Butanoic acid, 4,4'-(1,12-dodecanediyldiimino)bis[3-[bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]amino]-4-oxo-, bis(1,1-dimethylethyl) ester, (3S,3'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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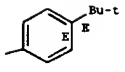

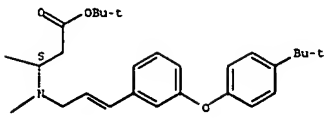

L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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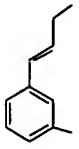

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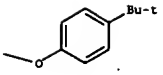
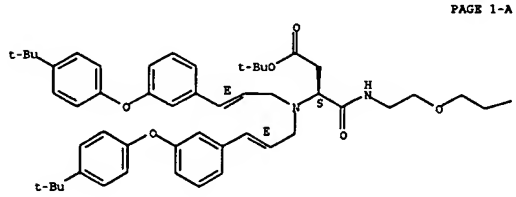


RN 247205-34-1 CAPLUS
CN 8,11-Dioxa-5,14-diazaoctadecanedioic acid, 3,16-bis[bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]amino]-4,15-dioxo-, bis(1,1-dimethylethyl) ester, (3S,16S)- (9CI) (CA INDEX NAME)

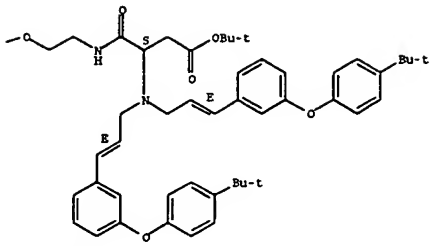
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



L12 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



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L12 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
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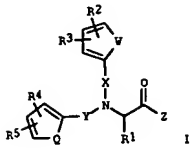


AB Substituted amino acids I [R1 is the side chain of a natural or unnatural L-amino acid which may be protected; R2 and R3 or R4 and R5 may be taken together to form a six-membered aromatic ring or are independently H, C1-5alkyl or -alkoxy, OH, halo, CF3, NO2, (un)substituted amino, Ph, phenoxy, phenylC1-5alkyl or phenylC1-5alkoxy; W, Q = -CH:CH-, -S- or -CH:N-; X, Y = carbonyl, C1-5alkyl, -alkenyl or -alkenylcarbonyl, C2-5alkynyl or -alkynylcarbonyl or (CH2)mCO, where m = 2-5; Z = OH, C1-5alkoxy or -alkylamino, amino, phenylamino, (un)substituted phenoxy, phenylC1-5alkoxy or -alkylamino or 1-piperidinyl, OCH2CH2(OCH2CH2)sOCH2CH2O-, -NHCH2CH2(OCH2CH2)sOCH2CH2NH-, -NH(CH2)pO(CH2)qO(CH2)pNH-, -NH(CH2)qNMe(CH2)sNH-, -NH(CH2)sNH- or and [NH(CH2)s]3N, where s, p, and q are independently 1-7] and their pharmaceutically acceptable salts were prepared for binding of neutral sphingomyelinase. Thus, N,N-bis[(2E)-3-(1-naphthalenyl)-2-propenyl]-L-serine was prepared by a multistep procedure starting with condensation of 1-naphthaldehyde with tri-Et phosphonoacetate (scheme given) and showed IC50 = 1.8 μM in the neutral sphingomyelinase binding assay.

ACCESSION NUMBER: 2001:581697 CAPLUS
DOCUMENT NUMBER: 135:137712
TITLE: Preparation of substituted amino acids as neutral sphingomyelinase inhibitors
INVENTOR(S): Wachter, Michael P.; Lalan, Praful
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056560	A1	20010809	WO 2001-US3454	20010201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6306911	B1	20011023	US 2000-499426	20000207

L12 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CA 2399792	AA	20010809	CA 2001-2399792	20010201
EP 1255542	A1	20021113	EP 2001-908797	20010201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003521512	T2	20030715	JP 2001-556252	20010201
NZ 520486	A	20040528	NZ 2001-520486	20010201
AU 778402	B2	20041202	AU 2001-36629	20010201
PRIORITY APPLN. INFO.:			US 2000-499426 A	20000207
			WO 2001-US3454 W	20010201

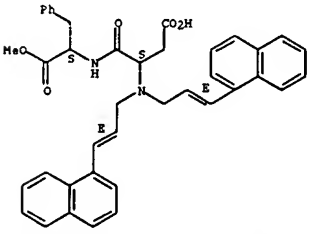
OTHER SOURCE(S): MARPAT 135:137712

IT 352035-76-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as neutral sphingomyelinase inhibitors)

RN 352035-76-8 CAPLUS

CN L-Phenylalanine, N,N-bis[(2E)-3-(1-naphthalenyl)-2-propenyl]-L-α-asparty1-, 2-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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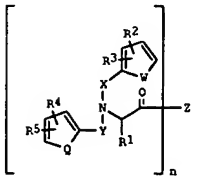
L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

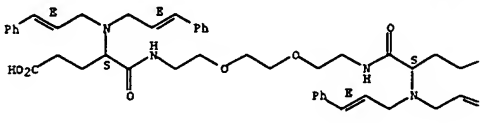
ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			US 1998-82392P P	19980420
			WO 1999-US8582 W	19990419

L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 131:310833
IT 247205-29-4P 247205-30-7P 247205-31-8P
247205-32-9P 247205-33-0P 247205-34-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247205-29-4 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[bis[(2E)-3-phenyl-2-propenyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

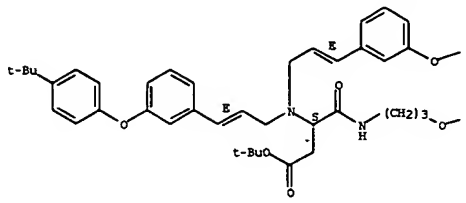
RN 247205-30-7 CAPLUS
CN 9,14-Dioxa-5,18-diazadocosanedioic acid, 3,20-bis[bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]amino]-4,19-dioxo-, bis(1,1-dimethylethyl) ester, (3S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]amino]-4,20-dioxo-, bis(1,1-dimethylethyl) ester, (3S,21S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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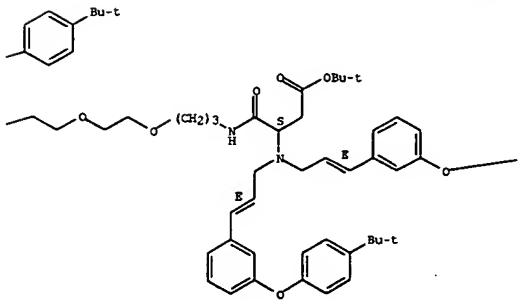
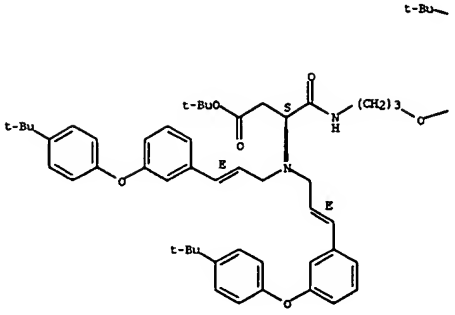


L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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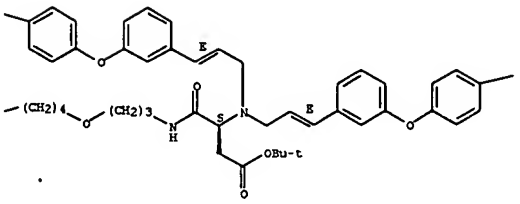
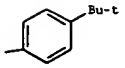


PAGE 1-C

RN 247205-31-8 CAPLUS
CN 9,12,15-Trioxa-5,19-diazatricosanedioic acid, 3,21-bis[bis[(2E)-3-[3-[4-

L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

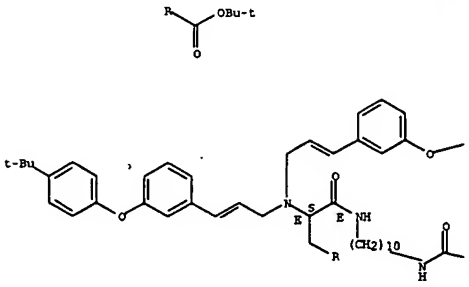
PAGE 1-C



RN 247205-32-9 CAPLUS
CN Butanoic acid, 4,4'-(1,10-decanediyldiimino)bis[3-[bis[(2E)-3-[3-[4-(1,1-dimethylethyl]phenoxy]phenyl]-2-propenyl]amino]-4-oxo-, bis(1,1-dimethylethyl) ester, (3S,3'S)- (9CI) (CA INDEX NAME)

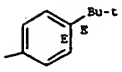
Absolute stereochemistry.
Double bond geometry as shown.

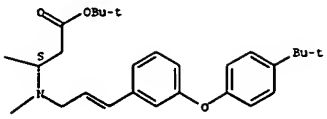
PAGE 1-A



L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B





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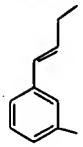

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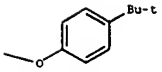

RN 247205-33-0 CAPLUS

L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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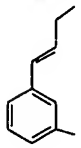

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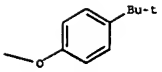
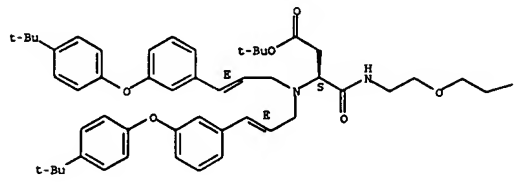


RN 247205-34-1 CAPLUS

CN 8,11-Dioxa-5,14-diazaoctadecanedioic acid, 3,16-bis[bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]amino]-4,15-dioxo-, bis(1,1-dimethylethyl) ester, (3S,16S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

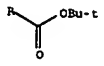


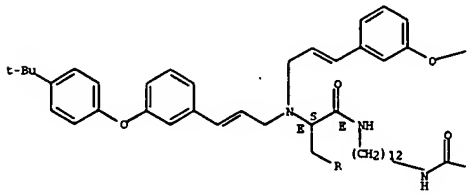
L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CN Butanoic acid, 4,4'-(1,12-dodecanediyldiimino)bis[3-[bis[(2E)-3-[3-[4-(1,1-dimethylethyl]phenoxy]phenyl]-2-propenyl]amino]-4-oxo-, bis(1,1-dimethylethyl) ester, (3S,3'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A





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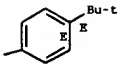
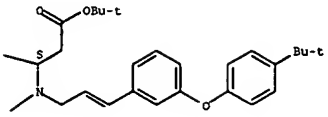
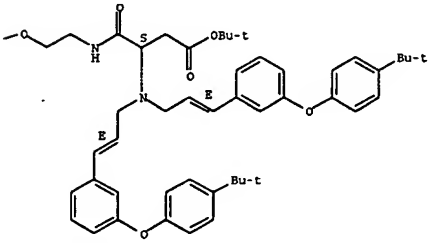




L12 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

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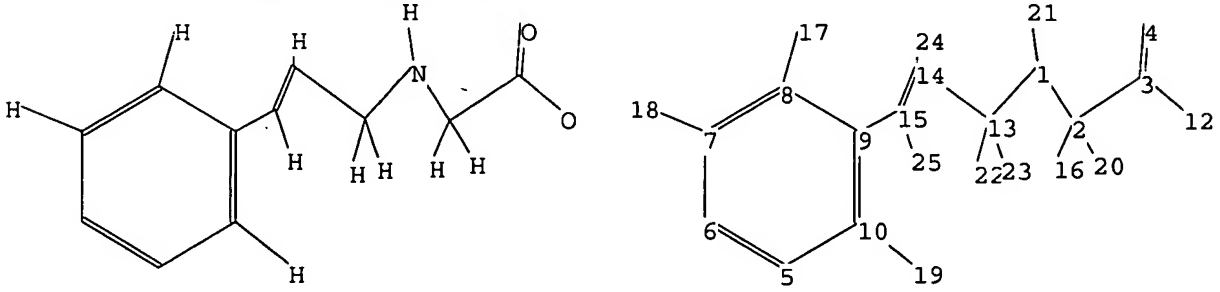

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5 6 7 8 9 10
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13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
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exact bonds :

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15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
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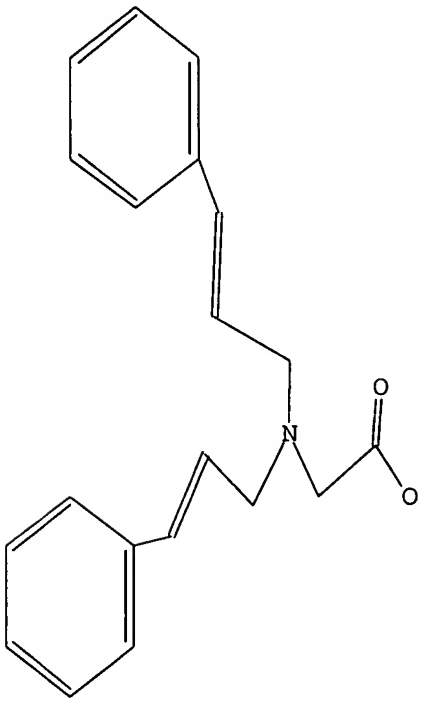

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 57 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          688 TO     1592
PROJECTED ANSWERS:               9 TO      360

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FULL ESTIMATED COST                                 161.76     699.34

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -6.57

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FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
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L16 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
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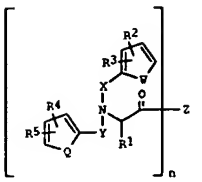


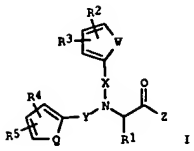
AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312
PRIORITY APPLN. INFO.:			US 1998-82392P	P 19980420
			US 1999-294785	B2 19990419
			US 2000-517976	A3 20000303
			US 2001-927111	A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural L-amino acid which may be protected; R2 and R3 or R4 and R5 may be taken together to form a six-membered aromatic ring or are independently H, C1-5alkyl or -alkoxy, OH, halo, CF3, NO2, (un)substituted amino, Ph, phenoxy, phenylC1-5alkyl or phenylC1-5alkoxy; W, Q = -CH:CH-, -S- or -CH:N-; X, Y = carbonyl, C1-5alkyl, -alkenyl or -alkenylcarbonyl, C2-5alkynyl or -alkynylcarbonyl or (CH2)mCO, where m = 2-5; Z = OH, C1-5alkoxy or -alkylamino, amino, phenylamino, (un)substituted phenoxy, phenylC1-5alkoxy or -alkylamino or 1-piperidinyl, OCH2CH2(OCH2CH2)sOCH2CH2O-, -NHCH2CH2(OCH2CH2)sOCH2CH2NH-, -NH(CH2)pO(CH2)qO(CH2)pNH-, -NH(CH2)qNMe(CH2)sNH-, -NH(CH2)sNH- or and [NH(CH2)s]3N, where s, p, and q are independently 1-7] and their pharmaceutically acceptable salts were prepared for binding of neutral sphingomyelinase. Thus, N,N-bis[(2E)-3-(1-naphthalenyl)-2-propenyl]-L-serine was prepared by a multistep procedure starting with condensation of 1-naphthaldehyde with tri-Et phosphonoacetate (scheme given) and showed IC50 = 1.8 μM in the neutral sphingomyelinase binding assay.

ACCESSION NUMBER: 2001:581697 CAPLUS
DOCUMENT NUMBER: 135:137712
TITLE: Preparation of substituted amino acids as neutral sphingomyelinase inhibitors
INVENTOR(S): Wachter, Michael P.; Lalan, Praful
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056560	A1	20010809	WO 2001-US3454	20010201
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6306911	B1	20011023	US 2000-499426	20000207

L16 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CA 2399792	AA	20010809	CA 2001-2399792	20010201
EP 1255542	A1	20021113	EP 2001-908797	20010201
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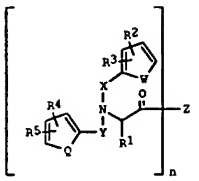
JP 2003521512	T2	20030715	JP 2001-556252	20010201
NZ 520486	A	20040528	NZ 2001-520486	20010201
AU 778402	B2	20041202	AU 2001-36629	20010201
PRIORITY APPLN. INFO.:			US 2000-499426	A 20000207
			WO 2001-US3454	W 20010201

OTHER SOURCE(S): MARPAT 135:137712
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
GI



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AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
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AU 9936540	A1	19991108	AU 1999-36540	19990419
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PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

L16 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 131:310833
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	12.85	712.19

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.92	-9.49

FILE 'REGISTRY' ENTERED AT 14:48:55 ON 09 MAR 2005
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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

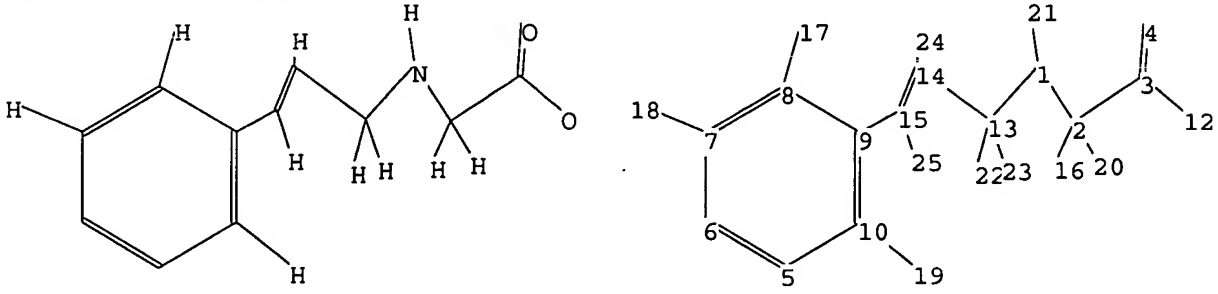
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22
13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :

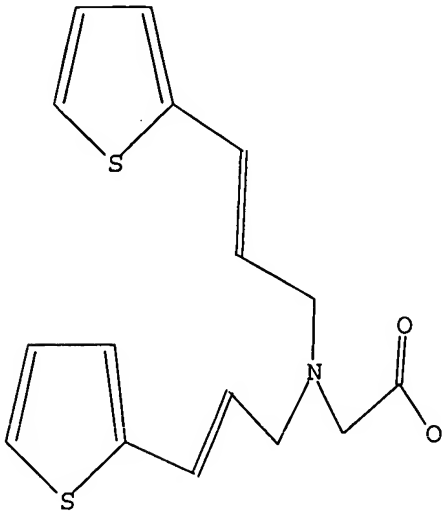
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L17 STRUCTURE UPLOADED

=> d query
L17 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l17
SAMPLE SEARCH INITIATED 14:51:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9 TO 360
PROJECTED ANSWERS: 1 TO 80

L18 1 SEA SSS SAM L17

```
=> s l17
SAMPLE SEARCH INITIATED 14:51:38 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      9 TO ITERATE

100.0% PROCESSED       9 ITERATIONS                                 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               1 TO       80

L19           1 SEA SSS SAM L17

=> s l17 full
FULL SEARCH INITIATED 14:51:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - `   127 TO ITERATE

100.0% PROCESSED     127 ITERATIONS                               13 ANSWERS
SEARCH TIME: 00.00.01

L20          13 SEA SSS FUL L17

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   163.05     875.24

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                     0.00      -9.49

FILE 'CAPLUS' ENTERED AT 14:51:47 ON 09 MAR 2005
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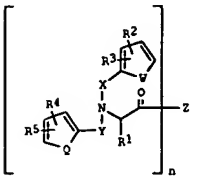
FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l20
L21           2 L20
```

=> d l21 1-2 abs ibib

L21 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

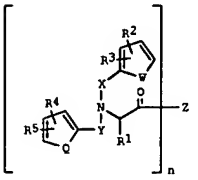
ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312

PRIORITY APPLN. INFO.:
US 1998-82392P P 19980420
US 1999-294785 B2 19990419
US 2000-517976 A3 20000303
US 2001-927111 A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-twas prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L21 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L21 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PRIORITY APPLN. INFO.:
US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 5.75 | 880.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION
CA SUBSCRIBER PRICE | -1.46 | -10.95

FILE 'REGISTRY' ENTERED AT 14:52:39 ON 09 MAR 2005
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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

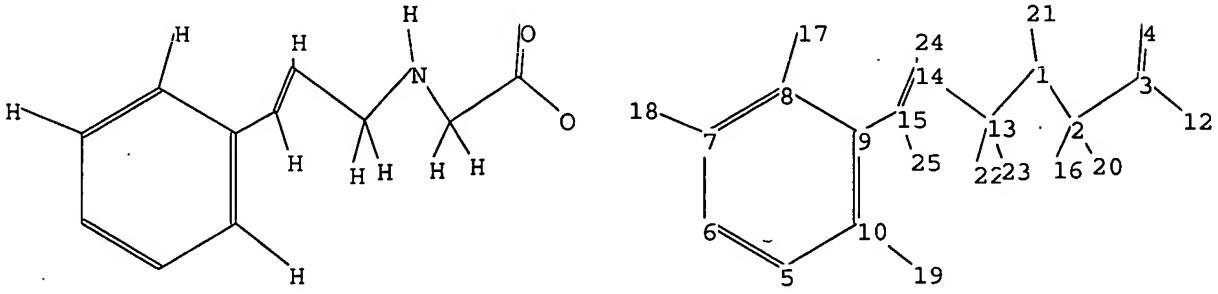
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22
13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :

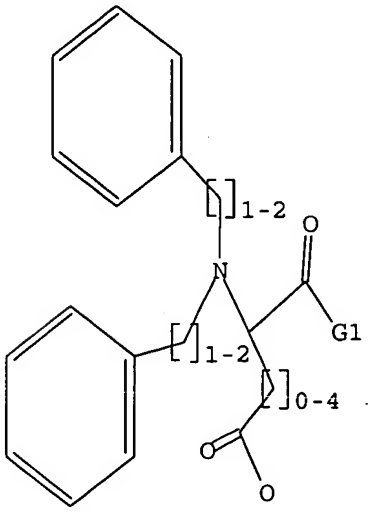
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L22 STRUCTURE UPLOADED

=> d query
L22 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l22
SAMPLE SEARCH INITIATED 14:56:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13714 TO ITERATE

7.3% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 267266 TO 281294

PROJECTED ANSWERS: 0 TO 0

L23 0 SEA SSS SAM L22

=> s l22 full
FULL SEARCH INITIATED 14:56:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 275634 TO ITERATE

100.0% PROCESSED 275634 ITERATIONS 94 ANSWERS
SEARCH TIME: 00.00.02

L24 94 SEA SSS FUL L22

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	163.91	1044.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-10.95

FILE 'CAPLUS' ENTERED AT 14:56:42 ON 09 MAR 2005
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FILE COVERS 1907 - 9 Mar 2005 VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005 (20050308/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l24
L25 63 L24

=> d l25 1-63 abs ibib hitstr

L25 ANSWER 1 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. I [A = CW(OH), CW(NHOR5), CHCNH(OH), {R6(W:)C}N(OH), HS, R7S, hydantoinyl; B, G = (un)substituted alkanediyl, alkylaminoalkyl, amino, alkoxyalkyl, alkylthioalkyl, aminocarbonyloxy, aminothiocarbonyloxy, O, S, S(:O), SO2, aminocarbonyl, alkoxycarbonyl; D, W = O, S ; M = C(:O), S, S(:O), SO2; U = bond, (un)substituted alkanediyl, amino, O, aminocarbonyl, aminocarbonyloxy, aminocarbonylamino, aminosulfenyl, aminosulfinyl, aminosulfonyl; U' = bond, (un)substituted alkanediyl, amino, O, aminocarbonyl, aminocarbonyloxy, aminocarbonylamino, aminosulfonyl, etc.; V' = bond, H, (un)substituted carbocyclyl or heterocyclyl; X, Y = absent, (un)substituted alkanediyl, alkenediyl, amino, S, O, sulfinyl, sulfonyl, carbonyl, etc.; R1, R2 = H, (un)substituted alkyl, amino, alkylthio, alkoxy; R3 = (un)substituted alkyl, alkenyl, alkynyl, carbocyclyl, aryl, heterocyclyl, amino; R4, R5 = H, halo, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R6, R7 = H, (un)substituted alkyl, alkenyl, alkynyl; R8 = R3C(:D), V'U'VUM] such as azaspiro[2.5]octanehydroxamide II are prepared as inhibitors of metalloproteases for the treatment of a variety of disorders such as arthritis, cancer, cardiovascular or skin disorders, inflammation, and allergies. β-Tert-Bu L-aspartic acid is N- and O-alkylated with benzyl bromide, N-alkylated with 1-chloro-2-(chloromethyl)-2-propene, converted to the allylic iodide with sodium iodide, cyclized to the methylenepiperidinedicarboxylate with LHMDS, debenzylated and N-Cbz protected with Cbz chloride, cyclopropanated with diazomethane (generated from Diazald in di-Et ether) in the presence of palladium acetate, and debenzylated by hydrogenolysis to yield nonracemic azaspiro[2.5]octanecarboxylic acid III. BOP-mediated coupling of III with 1-(3-methylphenyl)piperazine, methylation with formaldehyde and sodium triacetoxyborohydride, hydrolysis of the tert-Bu ester with trifluoroacetic acid and water, and BOP-mediated coupling with hydroxylamine hydrochloride yields II. Compds. I inhibit TNFα, matrix metalloproteinase, or ADAM activity in various assays with IC50 values of < 10 μM (no data).

ACCESSION NUMBER: 2004:965002 CAPLUS
DOCUMENT NUMBER: 141:410826
TITLE: Preparation of azaspiroalkanehydroxamides and spirocycloalkanehydroxamides as metalloprotease inhibitors
INVENTOR(S): Yao, Wenqing; Zhou, Jincong; Xu, Meizhong; Zhang, Fenglei; Metcalf, Brian
PATENT ASSIGNEE(S): Incyte Corporation, USA
SOURCE: PCT Int. Appl., 199 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

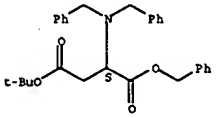
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004096139	A2	20041111	WO 2004-US12672	20040423
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004259896	A1	20041223	US 2004-831265	20040423
PRIORITY APPLN. INFO.:			US 2003-466159P	P 20030424
			US 2004-534501P	P 20040106

OTHER SOURCE(S): MARPAT 141:410826

IT 252919-50-9P 252919-51-0P 791834-48-5P 791834-49-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of azaspiroalkanehydroxamides and spirocycloalkanehydroxamides as metalloprotease inhibitors for the treatment of disorders such as cancer, arthritis, or skin or cardiovascular disorders)

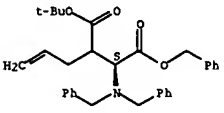
RN 252919-50-9 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252919-51-0 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-3-(2-propenyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

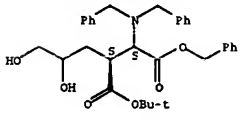
Absolute stereochemistry.



RN 791834-48-5 CAPLUS
CN L-erythro-Hexonic acid, 2,3,4-trideoxy-2-[bis(phenylmethyl)amino]-3-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester, (5ξ)- (9CI) (CA INDEX NAME)

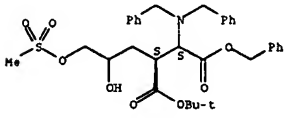
Absolute stereochemistry.

L25 ANSWER 1 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 791834-49-6 CAPLUS
CN L-erythro-Hexonic acid, 2,3,4-trideoxy-2-[bis(phenylmethyl)amino]-3-[(1,1-dimethylethoxy)carbonyl]-, phenylmethyl ester, 6-methanesulfonate, (5ξ)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

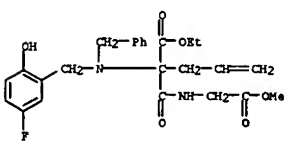


L25 ANSWER 2 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB Protected amino acids can be prepared from substrates in which a diazo ester is aryl-tethered to an allylic amine, by catalytic intramol. ammonium ylide generation and [2,3] rearrangement. When the aryl tether is sufficiently electron-deficient, direct coupling of the rearrangement product with a hindered amino acid ester to give a dipeptide is possible, and ammonium ylide generation, rearrangement and peptide coupling can be accomplished in a one-pot fashion.

ACCESSION NUMBER: 2003:644226 CAPLUS
DOCUMENT NUMBER: 139:307988
TITLE: Direct peptide coupling of novel amino acid derivatives produced by rearrangement of catalytically generated ammonium ylides
AUTHOR(S): Clark, J. Stephen; Middleton, Mark D.
CORPORATE SOURCE: University of Nottingham, School of Chemistry, University Park, Nottingham, NG7 2RD, UK
SOURCE: Tetrahedron Letters (2003), 44(37), 7031-7034
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:307988

IT 612500-30-8P,612500-31-9P 612500-32-0P 612500-33-1P 612500-34-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(one-pot dipeptide synthesis by coupling of amino acid esters with amino acid azolactone derivs. produced by rearrangement of catalytically generated ammonium ylides and lactonization)

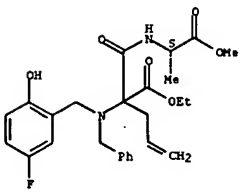
RN 612500-30-8 CAPLUS
CN Glycine, 4,5-didehydro-2-(ethoxycarbonyl)-N-[(5-fluoro-2-hydroxyphenyl)methyl]-N-(phenylmethyl)norvalyl-, methyl ester (9CI) (CA INDEX NAME)



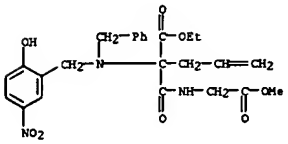
RN 612500-31-9 CAPLUS
CN L-Alanine, 4,5-didehydro-2-(ethoxycarbonyl)-N-[(5-fluoro-2-hydroxyphenyl)methyl]-N-(phenylmethyl)norvalyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 2 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

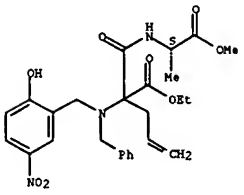


RN 612500-32-0 CAPLUS
CN Glycine, 4,5-didehydro-2-(ethoxycarbonyl)-N-[(2-hydroxy-5-nitrophenyl)methyl]-N-(phenylmethyl)norvalyl-, methyl ester (9CI) (CA INDEX NAME)



RN 612500-33-1 CAPLUS
CN L-Alanine, 4,5-didehydro-2-(ethoxycarbonyl)-N-[(2-hydroxy-5-nitrophenyl)methyl]-N-(phenylmethyl)norvalyl-, methyl ester (9CI) (CA INDEX NAME)

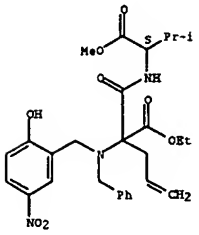
Absolute stereochemistry.



RN 612500-34-2 CAPLUS
CN L-Valine, 4,5-didehydro-2-(ethoxycarbonyl)-N-[(2-hydroxy-5-nitrophenyl)methyl]-N-(phenylmethyl)norvalyl-, methyl ester (9CI) (CA

L25 ANSWER 2 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.

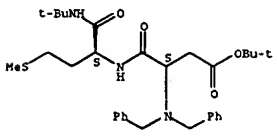


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB A series of N-benzyl pseudopeptides was designed, synthesized and tested as HIV-1 protease inhibitors. The ability of the new compds. containing N-benzyl hydroxyalkylamino acid core structure to inhibit HIV replication in cell culture is comparable to their capacity to inhibit the isolated enzyme, a result compatible with good pharmacokinetic properties of these derivs. The pseudotripeptide Fmoc-Leu-N(Bzl)Hse-Met-NH-tBu was the best inhibitor of the series (IC50=170 nM) showing promising inhibition of viral replication (ED50=52 nM). All new compds. exhibit high enzymic resistance and stability against cell cultures and plasma enzymes.

ACCESSION NUMBER: 2003:345238 CAPLUS
DOCUMENT NUMBER: 139:332333
TITLE: Synthesis and activity of N-Benzyl pseudopeptides HIV protease inhibitors
AUTHOR(S): Marastoni, Mauro; Bazzaro, Martina; Bortolotti, Fabrizio; Tomatis, Roberto
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche e Centro di Biotecnologie, Universita di Ferrara, Ferrara, I-44100, Italy
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(11), 2477-2483
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:332333
IT 616237-97-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and activity of N-Benzyl pseudopeptides HIV protease inhibitors)
RN 616237-97-9 CAPLUS
CN L-Methioninamide, N,N-bis(phenylmethyl)-L-α-aspartyl-N-(1,1-dimethylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

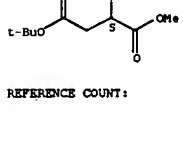


REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 4 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB Selective N-monoalkylation of α-amino esters with activated alkyl bromides was studied using various alkali or alkali earth metal bases. In the production of N-monoalkylated amino ester derivs. and suppression of N,N-dialkylation, lithium hydroxide was more effective than any other alkali or alkali earth bases examined. Using this protocol, a variety of N-alkylated α-amino esters and even dipeptide esters have been successfully prepared using various activated alkyl bromides.

ACCESSION NUMBER: 2002:97576 CAPLUS
DOCUMENT NUMBER: 136:402004
TITLE: LiOH-mediated N-monoalkylation of α-amino acid esters and a dipeptide ester using activated alkyl bromides
AUTHOR(S): Cho, Jong Hyun; Kim, B. Moon
CORPORATE SOURCE: School of Chemistry and Molecular Engineering, Center for Molecular Catalysis, Seoul National University, Seoul, 151-747, S. Korea
SOURCE: Tetrahedron Letters (2002), 43(7), 1273-1276
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:402004
IT 431935-25-0P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of monoalkylated amino esters and dipeptide esters by alkylation with alkyl bromides)
RN 431935-25-0 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl) 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

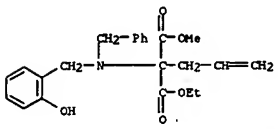


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

AB A new and general four-step synthesis of protected α-substituted and α,α-disubstituted amino acids has been developed. The key step involves intramol. ammonium ylide generation from a copper carbenoid with concomitant [2,3] rearrangement. The aromatic template serves as a tether, protecting group, and activating group for peptide coupling. The ylide rearrangement products can be converted into protected cyclic amino acids by ring-closing metathesis.

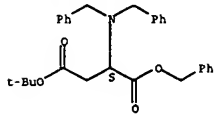
ACCESSION NUMBER: 2002:96185 CAPLUS
DOCUMENT NUMBER: 136:279694
TITLE: Synthesis of Novel α-Substituted and α,α-Disubstituted Amino Acids by Rearrangement of Ammonium Ylides Generated from Metal Carbenoids
AUTHOR(S): Clark, J. Stephen; Middleton, Mark D.
CORPORATE SOURCE: School of Chemistry, University of Nottingham, Nottingham, NG7 2RD, UK
SOURCE: Organic Letters (2002), 4(5), 765-768
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:279694
IT 406725-90-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of α-substituted amino acids using diazo esters, their copper-catalyzed conversion into ammonium ylides followed by intramol. rearrangement to azalactones)
RN 406725-90-4 CAPLUS
CN Propanedioic acid, [[(2-hydroxyphenyl)methyl](phenylmethyl)amino]-2-propenyl-, ethyl methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

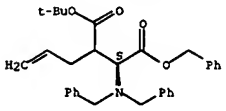
L25 ANSWER 6 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



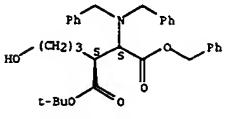
RN 252919-51-0 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-3-(2-propenyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



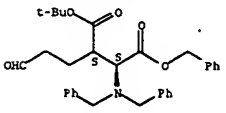
RN 252919-52-1 CAPLUS
CN L-Aspartic acid, 3-(3-hydroxypropyl)-N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

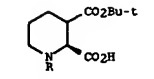


RN 252919-53-2 CAPLUS
CN L-Aspartic acid, 3-(3-oxopropyl)-N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (3S)- (9CI) (CA INDEX NAME)

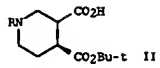
Absolute stereochemistry.



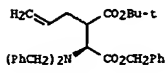
L25 ANSWER 6 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



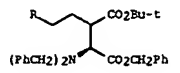
I



II



III



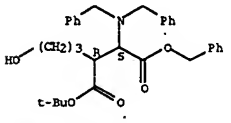
IV

AB Asym. syntheses of (2S,3S)-3-(tert-butoxycarbonyl)-2-piperidinecarboxylic acid (I; R = H), (3R,4S)-4-(tert-butoxycarbonyl)-3-piperidinecarboxylic acid (II; R = H), and their corresponding N-Boc and N-Cbz protected analogs, I and II (R = Boc, Cbz), are described. Enantiomerically pure I (R = H) has been synthesized in five steps starting from L-aspartic acid β-tert-Bu ester. Tribenzylation of the starting material followed by alkylation with allyl iodide using KHMDS produces the key intermediate III in a 6:1 diastereomeric excess. Upon hydroboration, alc. IV (R = CH2OH) is oxidized, and the resulting aldehyde IV (R = CHO) is subjected to a ring closure via reductive amination, providing I (R = H) in an overall yield of 38%. Optically pure II (R = H) has been synthesized beginning with N-Cbz-β-alanine. The synthesis involves the induction of the first stereogenic center using Evans's chiral auxiliary (4R-benzyl-2-oxazolidinone) and sequential LDA-promoted alkylations with tert-Bu bromoacetate and allyl iodide. Further elaboration by ozonolysis and reductive amination affords II (R = H) in an overall yield of 28%.
ACCESSION NUMBER: 2002:22716 CAPLUS
DOCUMENT NUMBER: 136:217027
TITLE: Asymmetric Synthesis of trans-2,3-Piperidinedicarboxylic Acid and trans-3,4-Piperidinedicarboxylic Acid Derivatives
AUTHOR(S): Xue, Chu-Biao; He, Xiaohua; Roderick, John; Corbett, Ronald L.; Decicco, Carl P.
CORPORATE SOURCE: Chemical and Physical Sciences, DuPont Pharmaceuticals Company, Wilmington, DE, 19880, USA
SOURCE: Journal of Organic Chemistry (2002), 67(3), 865-870
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:217027
IT 252919-50-9P 252919-51-0P 252919-52-1P 252919-53-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. preparation of enantiopure 2,3-piperidinedicarboxylic and 3,4-piperidinedicarboxylic acids and their protected derivs.)
RN 252919-50-9 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl)

L25 ANSWER 6 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

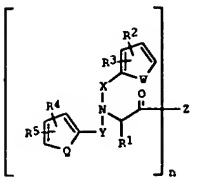
IT 402858-83-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. preparation of enantiopure 2,3-piperidinedicarboxylic and 3,4-piperidinedicarboxylic acids and their protected derivs.)
RN 402858-83-7 CAPLUS
CN L-Aspartic acid, 3-(3-hydroxypropyl)-N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 7 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

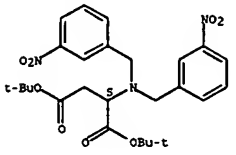
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312
PRIORITY APPLN. INFO.:			US 1998-82392P	P 19980420
			US 1999-294785	B2 19990419
			US 2000-517976	A3 20000303
			US 2001-927111	A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
IT 247203-26-5P 247203-27-6P 247203-28-7P
247203-29-8P 247203-30-1P 247203-31-2P

L25 ANSWER 7 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
247203-32-3P 247203-34-5P 247203-35-6P
247203-36-7P 247203-37-8P 247203-38-9P
247203-39-0P 247203-40-3P 247205-19-2P
247205-20-5P 247205-21-6P 247205-22-7P
247205-23-8P 247205-24-9P 247205-25-0P
247205-26-1P 247205-27-2P 247205-28-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amino acids as erythropoietin mimetics)

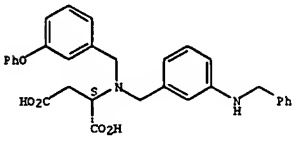
RN 247203-26-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(3-nitrophenyl)methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247203-27-6 CAPLUS
CN L-Aspartic acid, N-[(3-phenoxyphenyl)methyl]-N-[[3-[(phenylmethyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

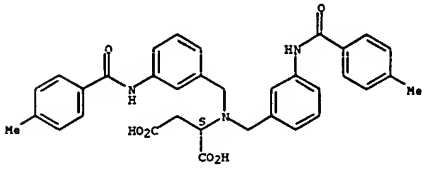
Absolute stereochemistry.



RN 247203-28-7 CAPLUS
CN L-Aspartic acid, N,N-bis[[3-[(4-methylbenzoyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

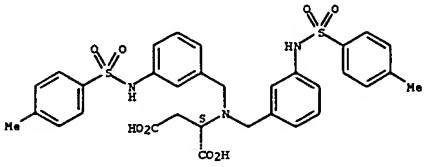
Absolute stereochemistry.

L25 ANSWER 7 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



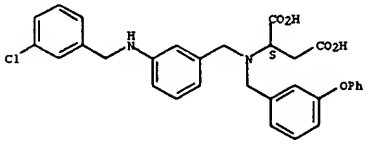
RN 247203-29-8 CAPLUS
CN L-Aspartic acid, N,N-bis[[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247203-30-1 CAPLUS
CN L-Aspartic acid, N-[[3-[[(3-chlorophenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

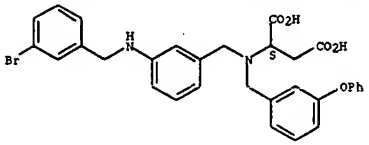
Absolute stereochemistry.



RN 247203-31-2 CAPLUS
CN L-Aspartic acid, N-[[3-[[(3-bromophenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

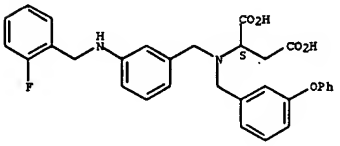
Absolute stereochemistry.

L25 ANSWER 7 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



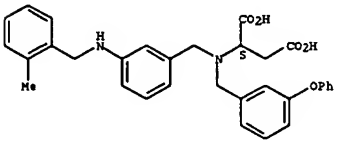
RN 247203-32-3 CAPLUS
CN L-Aspartic acid, N-[[3-[[(2-fluorophenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



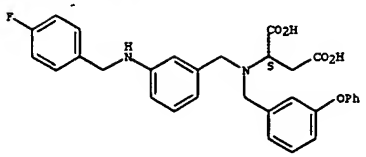
RN 247203-34-5 CAPLUS
CN L-Aspartic acid, N-[[3-[[(2-methylphenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



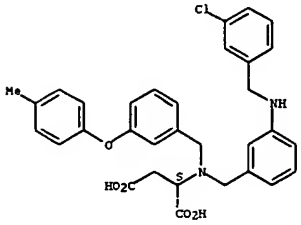
RN 247203-35-6 CAPLUS
CN L-Aspartic acid, N-[[3-[[(4-fluorophenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



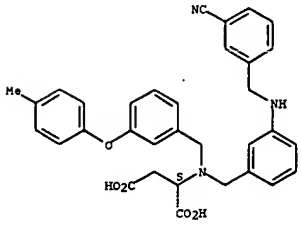
RN 247203-36-7 CAPLUS
CN L-Aspartic acid, N-[[3-[[(3-chlorophenyl)methyl]amino]phenyl]methyl]-N-[[3-(4-methylphenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



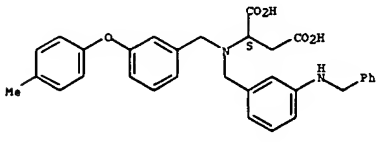
RN 247203-37-8 CAPLUS
CN L-Aspartic acid, N-[[3-(4-methylphenoxy)phenyl]methyl]-N-[[3-[[(pentafluorophenyl)methyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247203-40-3 CAPLUS
CN L-Aspartic acid, N-[[3-(4-methylphenoxy)phenyl]methyl]-N-[[3-[(phenylmethyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

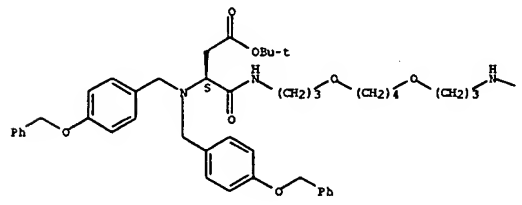
Absolute stereochemistry.

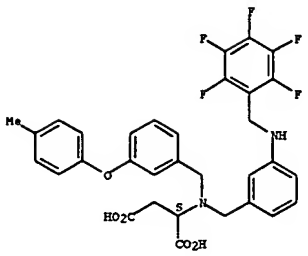


RN 247205-19-2 CAPLUS
CN 9,14-Dioxa-5,18-diazadocosanedioic acid, 3,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,19-dioxo-, bis(1,1-dimethylethyl) ester, (3S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

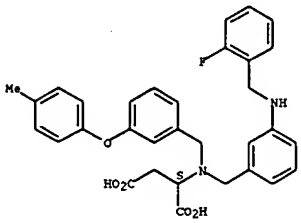
PAGE 1-A





RN 247203-38-9 CAPLUS
CN L-Aspartic acid, N-[[3-[[(2-fluorophenyl)methyl]amino]phenyl]methyl]-N-[[3-(4-methylphenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

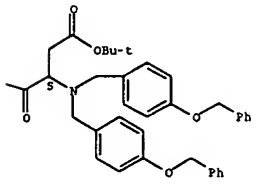
Absolute stereochemistry.



RN 247203-39-0 CAPLUS
CN L-Aspartic acid, N-[[3-[[(3-cyanophenyl)methyl]amino]phenyl]methyl]-N-[[3-(4-methylphenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

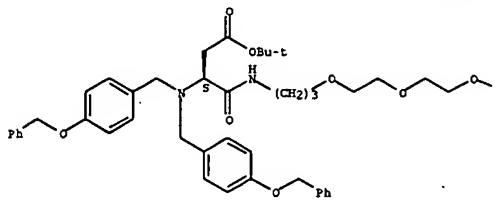
PAGE 1-B



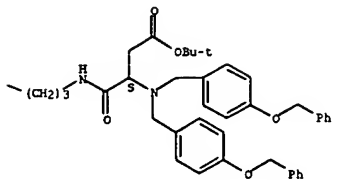
RN 247205-20-5 CAPLUS
CN 9,12,15-Trioxa-5,19-diazatricosanedioic acid, 3,21-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,20-dioxo-, bis(1,1-dimethylethyl) ester, (3S,21S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



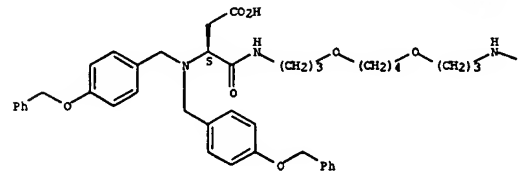
PAGE 1-B



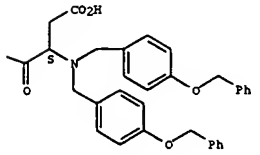
RN 247205-21-6 CAPLUS
CN 9,14-Dioxa-5,18-diazadocosanedioic acid, 3,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,19-dioxo-, (3S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

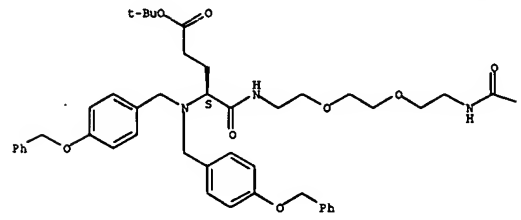


PAGE 1-B

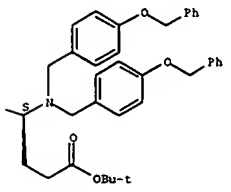


RN 247205-22-7 CAPLUS
CN 9,12,15-Trioxa-5,19-diazatricosanedioic acid, 3,21-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,20-dioxo-, (3S,21S)- (9CI) (CA

PAGE 1-A



PAGE 1-B



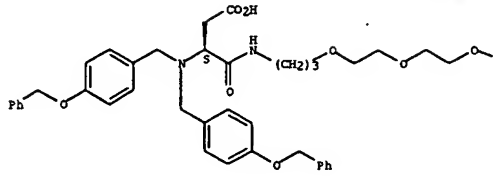
RN 247205-24-9 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

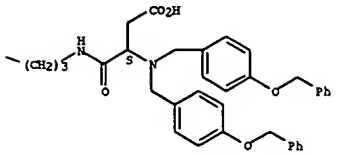
INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



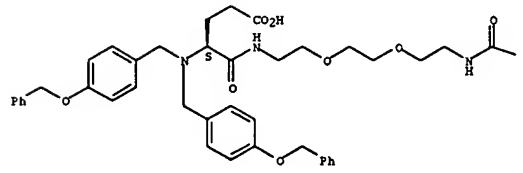
PAGE 1-B



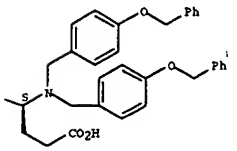
RN 247205-23-8 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,16-dioxo-, bis(1,1-dimethylethyl) ester, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



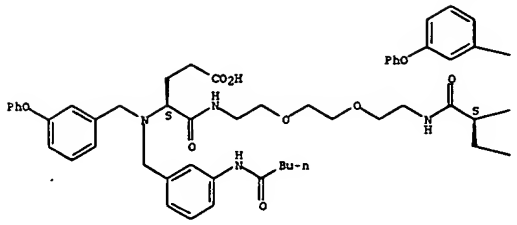
PAGE 1-B



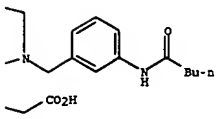
RN 247205-25-0 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 5,16-dioxo-4,17-bis[[[3-[(1-oxopentyl)amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



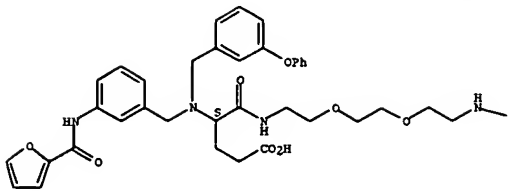
PAGE 1-B



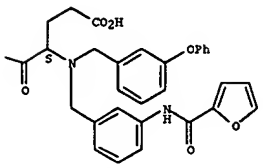
RN 247205-26-1 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[[3-[(2-furanylcarbonyl)amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



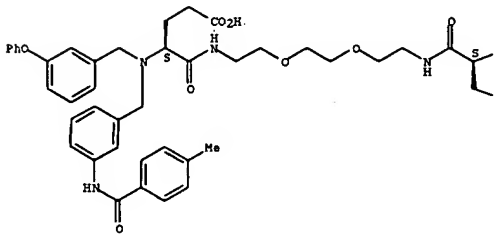
PAGE 1-B



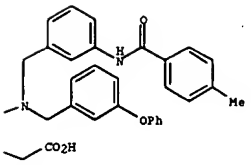
RN 247205-27-2 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[[3-[(4-methylbenzoyl)amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



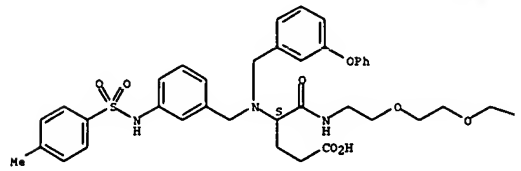
PAGE 1-B



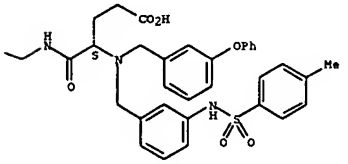
RN 247205-28-3 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

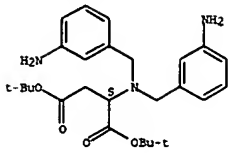


PAGE 1-B



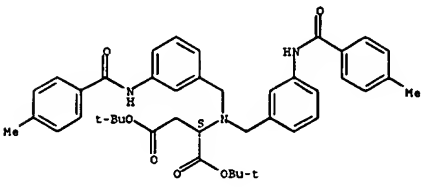
IT 247205-69-2P 247205-70-5P 247205-74-9P
247205-75-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247205-69-2 CAPLUS
CN L-Aspartic acid, N,N-bis[(3-aminophenyl)methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247205-70-5 CAPLUS
CN L-Aspartic acid, N,N-bis[[3-[(4-methylbenzoyl)amino]phenyl]methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

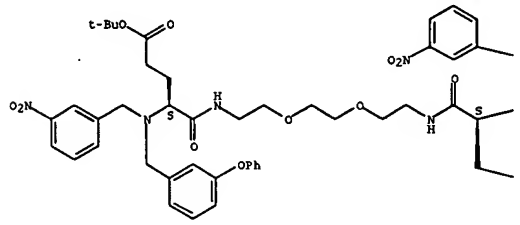
Absolute stereochemistry.



RN 247205-74-9 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[(3-nitrophenyl)methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, bis(1,1-dimethylethyl) ester, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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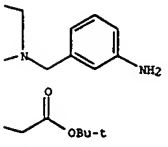
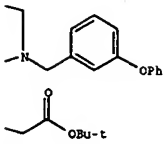


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

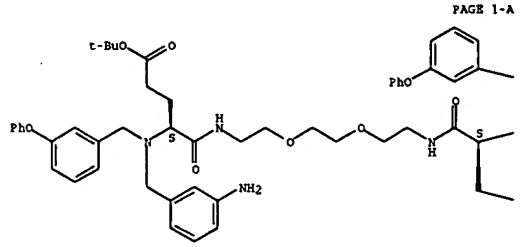
PAGE 1-B



RN 247205-75-0 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[(3-aminophenyl)methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, bis(1,1-dimethylethyl) ester, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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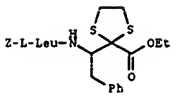


I

AB Tripeptide α-ketoamides M1-AA1-AA2-AA3-CONR3R4 [M1 = H, NH2CO, NH2CS, NH2SO2, XNHCO, X2NCO, XNHCS, X2NCS, XNHSO2, X2NSO2, XCO, XCS, XSO2, XO2C, XOCS; X = (un)substituted C1-10 alkyl or fluoroalkyl, 1-adamantyl, 9-fluorenyl, (un)substituted Ph or naphthyl; AA1 and AA2 = independently side-chain (un)blocked amino acid selected from alanine, valine, leucine, isoleucine, glycine, serine, aspartic acid, and glutamic acid; AA3 = aspartic or glutamic acid; R3 = alkyl or cycloalkyl substituted by Ph and optionally other substituents; R4 = H, alkyl or cycloalkyl which may have a Ph group and other substituents] were prepared as serine and cysteine protease inhibitors. Thus, condensation of protected peptidyl ketoester I (Z = PhCH2O2C) (prepared in 3 steps from Z-Leu-Phe-OH, Et oxalyl chloride, and 1,2-ethanedithiol) with alkylamines RNH2 (R = Et, Pr, Bu, Bu-i, CH2Ph, CH2CH2Ph) gave peptidyl ketoamides Z-Leu-Phe-CONHR (II). Peptidyl ketoamides II inhibited chymotrypsin with Ki = 8-73 μM and had half-lives in liver and plasma of >60.

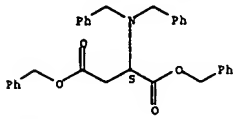
ACCESSION NUMBER: 2001:366736 CAPLUS
DOCUMENT NUMBER: 134:340711
TITLE: Preparation of tripeptide α-ketoamides as serine and cysteine protease inhibitors
INVENTOR(S): Powers, James C.
PATENT ASSIGNEE(S): Georgia Tech Research Corp., USA
SOURCE: U.S., 24 pp., Cont.-in-part of U.S. 5,650,508.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6235929	B1	20010522	US 1996-777354	19961227
US 5650508	A	19970722	US 1995-539944	19951006
PRIORITY APPLN. INFO.:			US 1991-815073	B1 19911227
			US 1993-118997	B1 19930909
			US 1994-246511	B1 19940520
			US 1995-539944	A2 19951006

OTHER SOURCE(S): MARPAT 134:340711
IT 159497-65-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide ketoamides as serine and cysteine protease inhibitors)
RN 159497-65-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

L25 ANSWER 8 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 9 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

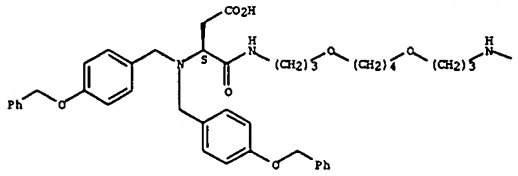
ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171

IT 247205-21-6P 247205-22-7P 247205-27-2P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(erythropoietin receptor binding structure activity of disubstituted amino acids)

RN 247205-21-6 CAPLUS
CN 9,14-Dioxa-5,18-diazadocosanedioic acid, 3,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,19-dioxo-, (3S,20S)- (9CI) (CA INDEX NAME)

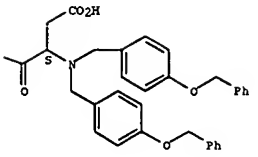
Absolute stereochemistry.

PAGE 1-A



L25 ANSWER 9 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

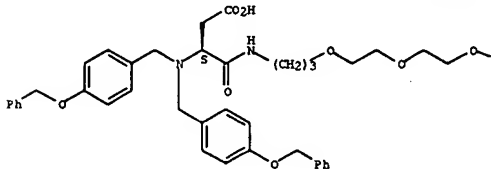
PAGE 1-B



RN 247205-22-7 CAPLUS
CN 9,12,15-Trioxa-5,19-diazatricosanedioic acid, 3,21-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,20-dioxo-, (3S,21S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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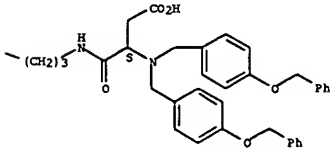
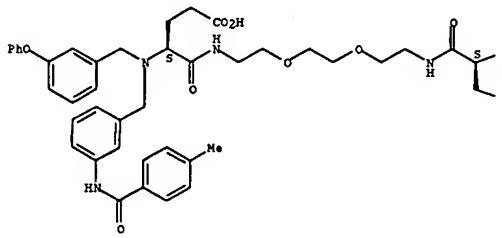


RN 247205-27-2 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[[3-[(4-methylbenzoyl)amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

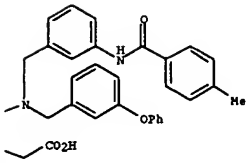
L25 ANSWER 9 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A

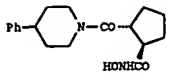


PAGE 1-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 10 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Title cyclic hydroxamic acids were prepared which are useful as metalloprotease inhibitors (no data). Thus, trans-1,2-cyclopentanedicarboxylic acid was amidated with 4-phenylpiperidine and treated with NH2OH to give the hydroxamide I.

ACCESSION NUMBER: 1999:811204 CAPLUS
DOCUMENT NUMBER: 132:49888
TITLE: Cyclic hydroxamic acids as metalloproteinase inhibitors
INVENTOR(S): Xue, Chu-Biao; Decicco, Carl P.; He, Xiaohua
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965867	A1	19991223	WO 1999-US13723	19990617
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2333554	AA	19991223	CA 1999-2333554	19990617
AU 9946923	A1	20000105	AU 1999-46923	19990617
EP 1087937	A1	20010404	EP 1999-930371	19990617
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 2002518368	T2	20020625	JP 2000-554694	19990617
US 6429213	B1	20020806	US 1999-335086	19990617
US 2003139597	A1	20030724	US 2002-177235	20020620
US 6858626	B2	20050222		

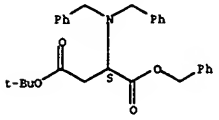
PRIORITY APPLN. INFO.: US 1998-89557P P 19980617
US 1999-127599P P 19990402
US 1999-335086 A3 19990617
WO 1999-US13723 W 19990617

OTHER SOURCE(S): MARPAT 132:49888

IT 252919-50-9P 252919-51-0P 252919-52-1P
252919-53-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cyclic hydroxamic acids as metalloproteinase inhibitors)

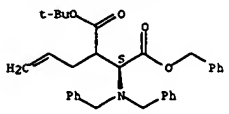
RN 252919-50-9 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

L25 ANSWER 10 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



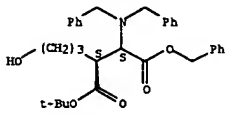
RN 252919-51-0 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-3-(2-propenyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



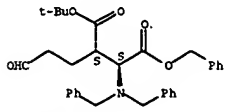
RN 252919-52-1 CAPLUS
CN L-Aspartic acid, 3-(3-hydroxypropyl)-N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252919-53-2 CAPLUS
CN L-Aspartic acid, 3-(3-oxopropyl)-N,N-bis(phenylmethyl)-, 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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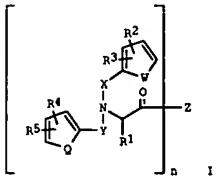


I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-twas prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

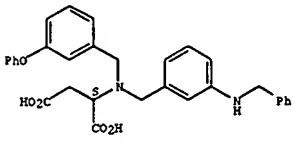
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833
IT 247203-27-6P 247203-28-7P 247203-29-8P
247203-30-1P 247203-31-2P 247203-32-3P
247203-34-5P 247203-35-6P 247203-36-7P
247203-37-8P 247203-38-9P 247203-39-0P
247203-40-3P 247205-19-2P 247205-20-5P
247205-21-6P 247205-22-7P 247205-25-0P
247205-26-1P 247205-27-2P 247205-28-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)

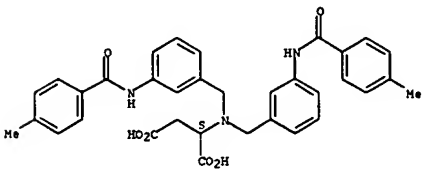
RN 247203-27-6 CAPLUS
CN L-Aspartic acid, N-[(3-phenoxyphenyl)methyl]-N-[[3-[(phenylmethyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



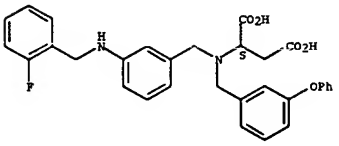
RN 247203-28-7 CAPLUS
CN L-Aspartic acid, N,N-bis[[3-[(4-methylbenzoyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



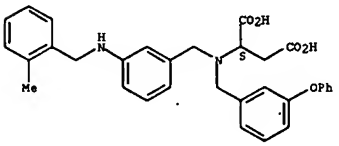
RN 247203-29-8 CAPLUS
CN L-Aspartic acid, N,N-bis[[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



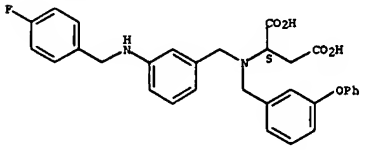
RN 247203-34-5 CAPLUS
CN L-Aspartic acid, N-[[3-[[(2-methylphenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



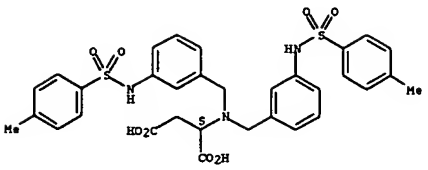
RN 247203-35-6 CAPLUS
CN L-Aspartic acid, N-[[3-[[(4-fluorophenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



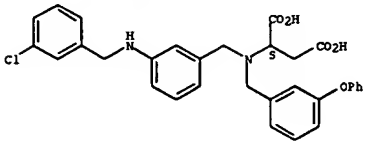
RN 247203-36-7 CAPLUS
CN L-Aspartic acid, N-[[3-[[(3-chlorophenyl)methyl]amino]phenyl]methyl]-N-[[3-(4-methylphenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



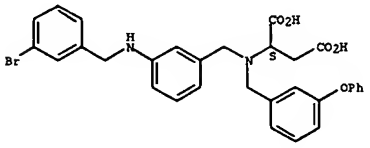
RN 247203-30-1 CAPLUS
CN L-Aspartic acid, N-[[3-[[(3-chlorophenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



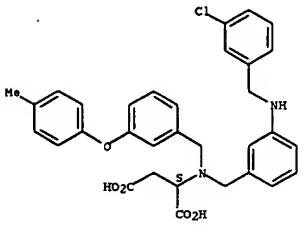
RN 247203-31-2 CAPLUS
CN L-Aspartic acid, N-[[3-[[(3-bromophenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



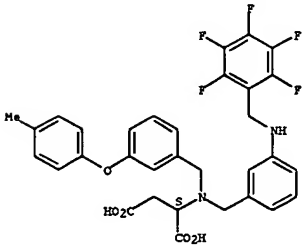
RN 247203-32-3 CAPLUS
CN L-Aspartic acid, N-[[3-[[(2-fluorophenyl)methyl]amino]phenyl]methyl]-N-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



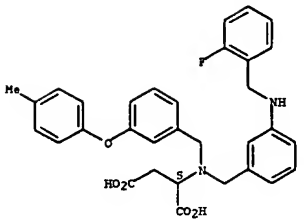
RN 247203-37-8 CAPLUS
CN L-Aspartic acid, N-[[3-(4-methylphenoxy)phenyl]methyl]-N-[[3-[[(pentafluorophenyl)methyl]amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



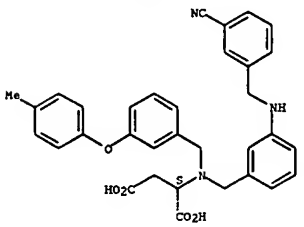
RN 247203-38-9 CAPLUS
CN L-Aspartic acid, N-[[3-[[(2-fluorophenyl)methyl]amino]phenyl]methyl]-N-[[3-(4-methylphenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



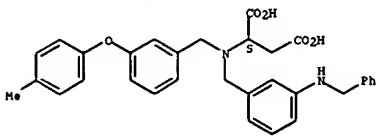
RN 247203-39-0 CAPLUS
CN L-Aspartic acid, N-[[3-[[(3-cyanophenyl)methyl]amino]phenyl]methyl]-N-[[3-(4-methylphenoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

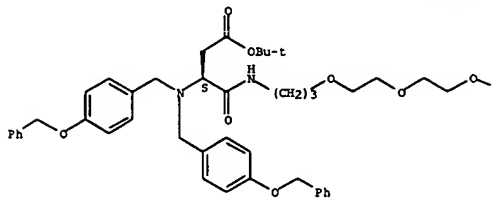


RN 247203-40-3 CAPLUS
CN L-Aspartic acid, N-[[3-(4-methylphenoxy)phenyl]methyl]-N-[[3-[(phenylmethyl)amino]phenyl]methyl]- (9CI) (CA INDEX NAME)

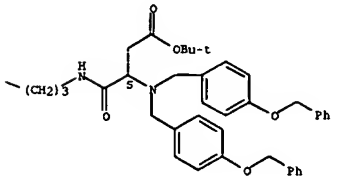
Absolute stereochemistry.



PAGE 1-A



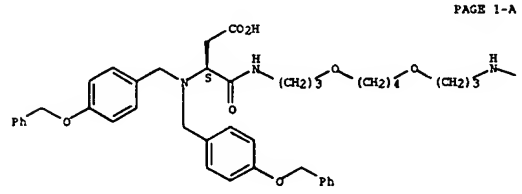
PAGE 1-B



RN 247205-21-6 CAPLUS
CN 9,14-Dioxa-5,18-diazadocosanedioic acid, 3,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,19-dioxo-, (3S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

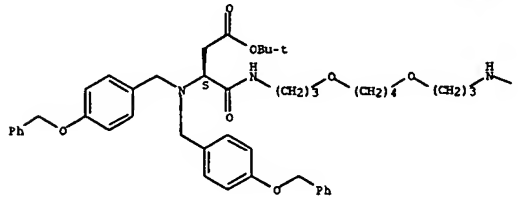
PAGE 1-A



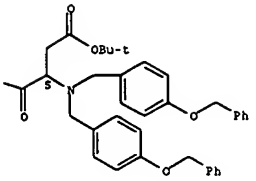
RN 247205-19-2 CAPLUS
CN 9,14-Dioxa-5,18-diazadocosanedioic acid, 3,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,19-dioxo-, bis(1,1-dimethylethyl) ester, (3S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



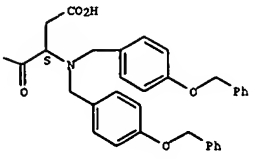
PAGE 1-B



RN 247205-20-5 CAPLUS
CN 9,12,15-Trioxa-5,19-diazatricosanedioic acid, 3,21-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,20-dioxo-, bis(1,1-dimethylethyl) ester, (3S,21S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

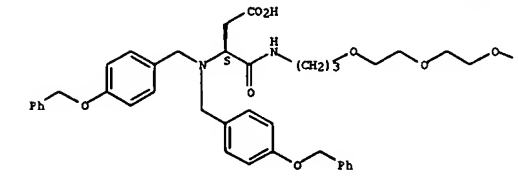
PAGE 1-B



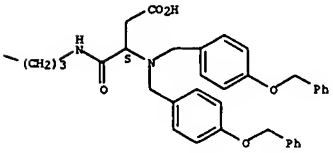
RN 247205-22-7 CAPLUS
CN 9,12,15-Trioxa-5,19-diazatricosanedioic acid, 3,21-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,20-dioxo-, (3S,21S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

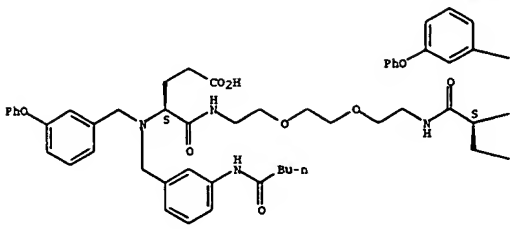


RN 247205-25-0 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 5,16-dioxo-4,17-bis[[[3-[(1-oxopentyl)amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-, (4S,17S)-

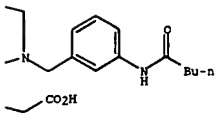
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



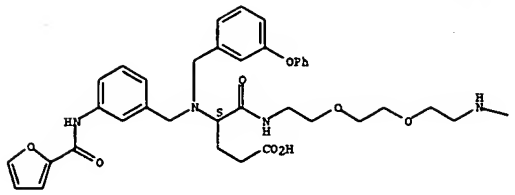
PAGE 1-B



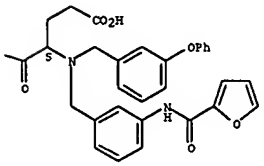
RN 247205-26-1 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[[3-[(2-furanylcarbonyl)amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



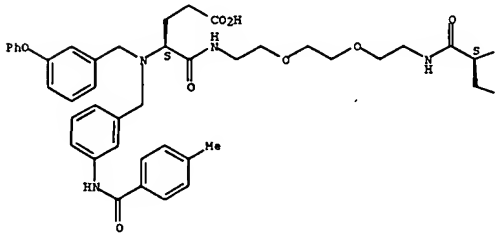
PAGE 1-B



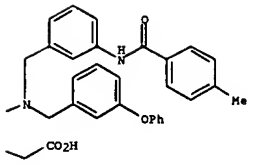
RN 247205-27-2 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[[3-[(4-methylbenzoyl)amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



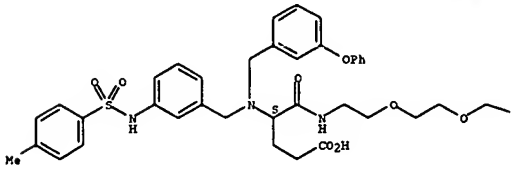
PAGE 1-B



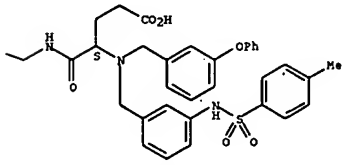
RN 247205-28-3 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

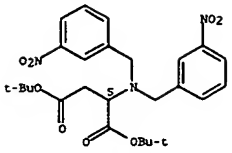


IT 247203-26-5P 247205-23-8P 247205-24-9P
247205-69-2P 247205-70-5P 247205-74-9P
247205-75-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acids as erythropoietin mimetics)

RN 247203-26-5 CAPLUS
CN L-Aspartic acid, N,N-bis[(3-nitrophenyl)methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

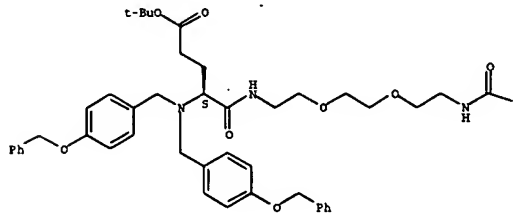
L25 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



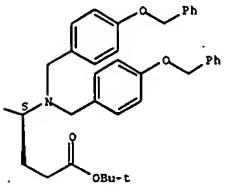
RN 247205-23-8 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,16-dioxo-, bis(1,1-dimethylethyl) ester, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

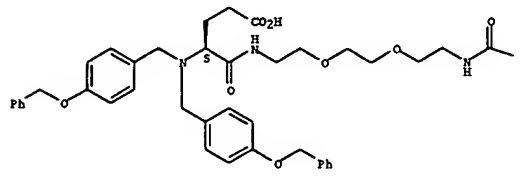


RN 247205-24-9 CAPLUS

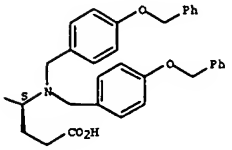
L25 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,16-dioxo-, (4S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

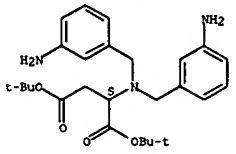


PAGE 1-B



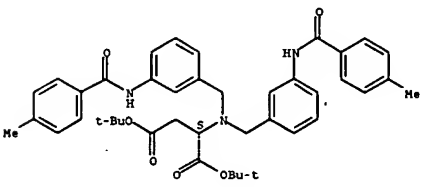
RN 247205-69-2 CAPLUS
CN L-Aspartic acid, N,N-bis[(3-aminophenyl)methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 247205-70-5 CAPLUS
CN L-Aspartic acid, N,N-bis[[3-[(4-methylbenzoyl)amino]phenyl]methyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

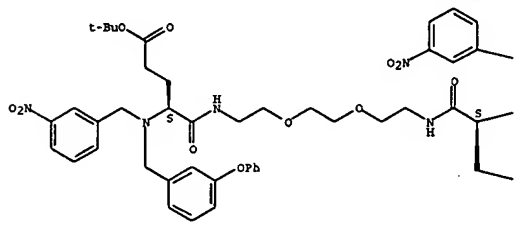
Absolute stereochemistry.



RN 247205-74-9 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[(3-nitrophenyl)methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, bis(1,1-dimethylethyl) ester, (4S,17S)- (9CI) (CA INDEX NAME)

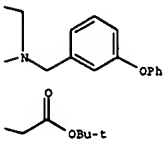
Absolute stereochemistry.

PAGE 1-A



L25 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

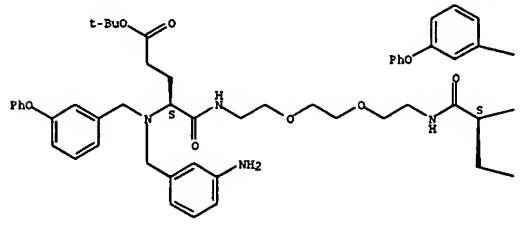
PAGE 1-B



RN 247205-75-0 CAPLUS
CN 9,12-Dioxa-6,15-diazaeicosanedioic acid, 4,17-bis[[(3-aminophenyl)methyl][(3-phenoxyphenyl)methyl]amino]-5,16-dioxo-, bis(1,1-dimethylethyl) ester, (4S,17S)- (9CI) (CA INDEX NAME)

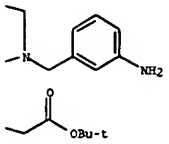
Absolute stereochemistry.

PAGE 1-A



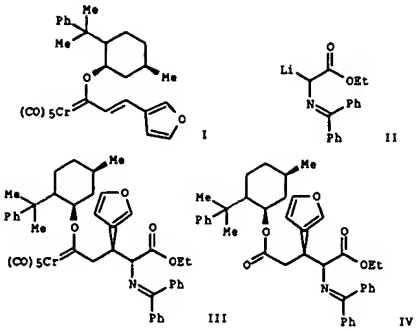
L25 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 12 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



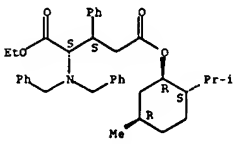
AB The reaction of lithium enolates of achiral N-protected glycine esters with chiral alkoxyalkenylcarbene complexes of chromium provided the corresponding Michael adducts with either high anti or syn selectivity depending on the nature of the nitrogen protecting group, and high diastereofacial selectivity when carbene complexes containing the (-)-8-phenylmenthyloxy group were employed. Subsequent oxidation of the metal-carbene moiety followed by deprotection of the amine group and hydrolysis of both carboxylic esters afforded enantiomerically enriched 3-substituted glutamic acids of natural as well as unnatural stereochem. For example, carbene complex I reacted with glycine lithium enolate II to give the Michael addition adduct III in 89% yield with a 97:3 diastereomeric ratio; next, III was oxidized to give protected glutamate IV in 52% yield without any loss of stereochem. IV was deprotected in two steps to give (2R,3S)-3-(3-furyl)glutamic acid hydrochloride salt in 65% yield. Alternatively, when the deprotection step was performed previously to the oxidation, cyclic aminocarbene complexes were formed, which finally led to optically active 3-substituted pyroglutamic acids.

ACCESSION NUMBER: 1999:499945 CAPLUS
DOCUMENT NUMBER: 131:286773
TITLE: Stereoselective Michael addition of glycine anions to chiral Fischer alkenylcarbene complexes. Asymmetric synthesis of β-substituted glutamic acids
AUTHOR(S): Ezquerra, Jesus; Pedregal, Concepcion; Merino, Isabel; Florez, Josefa; Barluenga, Jose; Garcia-Granda, Santiago; Llorca, Maria-Amparo
CORPORATE SOURCE: Centro de Investigacion Lilly S. A., Madrid, 28108, Spain
SOURCE: Journal of Organic Chemistry (1999), 64(18), 6554-6565

L25 ANSWER 12 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

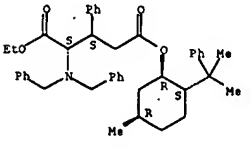
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:286773
IT **246231-84-5P 246231-95-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of β-substituted glutamic acids using Michael addition of lithium enolates of achiral N-protected glycine esters to chiral alkoxyalkenylcarbene chromium complexes)
RN 246231-84-5 CAPLUS
CN D-Glutamic acid, 3-phenyl-N,N-bis(phenylmethyl)-, 1-ethyl 5-[(1S,2R,5S)-5-methyl-2-(1-methylethyl)cyclohexyl] ester, (3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 246231-95-8 CAPLUS
CN L-Glutamic acid, 3-phenyl-N,N-bis(phenylmethyl)-, 1-ethyl 5-[(1R,2S,5R)-5-methyl-2-(1-methyl-1-phenylethyl)cyclohexyl] ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

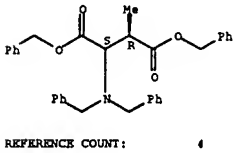


REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 13 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB A symposium report on two simple synthetic approaches for the preparation of β-substituted aspartic acids. Both approaches involved fully protecting all the functional groups in L-aspartic acid followed by methylation of the β-position by treatment with Me iodide and base.

ACCESSION NUMBER: 1999:396532 CAPLUS
DOCUMENT NUMBER: 131:199952
TITLE: Synthesis of β-methyl aspartic acids
AUTHOR(S): Han, Guoxia; Burritt, Andrew; Ahn, Jung-Mo; Hruby, Victor J.
CORPORATE SOURCE: Department of Chemistry, University of Arizona, Tucson, AZ, 85721, USA
SOURCE: Peptides: Frontiers of Peptide Science, Proceedings of the American Peptide Symposium, 15th, Nashville, June 14-19, 1997 (1999), Meeting Date 1997, 293-294. Editor(s): Tam, James P.; Kaumaya, Pravin T. P. Kluwer: Dordrecht, Neth. CODEN: 67UCAR
DOCUMENT TYPE: Conference
LANGUAGE: English
IT **229322-63-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of β-Me aspartic acids)
RN 229322-63-8 CAPLUS
CN L-Aspartic acid, 3-methyl-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 14 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

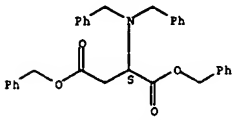
AB Subreceptor selectivity tuning of N-(3-pyrrolidinyl)benzamides leading to a selective dopamine D3 ligand and derivs. which preferably recognize human D2 or D4 receptors, resp., is described. Binding profiles were controlled by both, absolute and relative configuration. The enantiopure target compds. were synthesized from aspartic acid.

ACCESSION NUMBER: 1999:275285 CAPLUS
DOCUMENT NUMBER: 131:87785
TITLE: Enantio- and diastereocontrolled dopamine D1, D2, D3 and D4 receptor binding of N-(3-pyrrolidinylmethyl)benzamides synthesized from aspartic acid
AUTHOR(S): Thomas, Christoph; Hubner, Harald; Gmeiner, Peter
CORPORATE SOURCE: Institut fur Pharmazie und Lebensmittelchemie, Universitat Erlangen - Nurnberg, Erlangen, D-91052, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(6), 841-846
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 159497-65-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and stereocontrolled dopamine D1, D2, D3 and D4 receptor binding of N-(pyrrolidinylmethyl)benzamides)

RN 159497-65-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

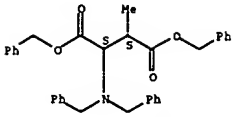


IT 229322-62-7P 229322-63-8P 229322-64-9P
229322-65-0P 229322-66-1P 229322-67-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and stereocontrolled dopamine D1, D2, D3 and D4 receptor binding of N-(pyrrolidinylmethyl)benzamides)

RN 229322-62-7 CAPLUS
CN L-Aspartic acid, 3-methyl-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3S)- (9CI) (CA INDEX NAME)

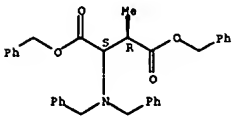
Absolute stereochemistry.

L25 ANSWER 14 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



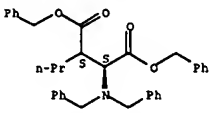
RN 229322-63-8 CAPLUS
CN L-Aspartic acid, 3-methyl-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



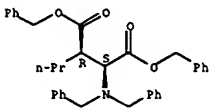
RN 229322-64-9 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-3-propyl-, bis(phenylmethyl) ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229322-65-0 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-3-propyl-, bis(phenylmethyl) ester, (3R)- (9CI) (CA INDEX NAME)

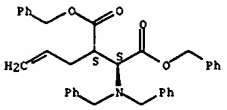
Absolute stereochemistry.



RN 229322-66-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-3-(2-propenyl)-, bis(phenylmethyl)

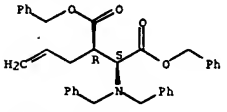
L25 ANSWER 14 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



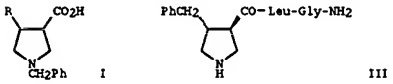
RN 229322-67-2 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-3-(2-propenyl)-, bis(phenylmethyl) ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 15 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I III

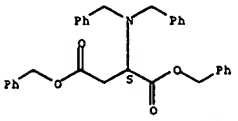
AB Starting from L- and D-aspartic acid, enantiomerically pure β-proline derivs. I (R = H, CH2Ph) were synthesized. These chiral building blocks were transformed into β-analogs of the dopamine receptor modulating peptide H-Pro-Leu-Gly-NH2 (II). According to dopamine receptor binding studies, significant enhancement of [3H]pyramipexole binding was observed for the β-proline-containing isomers. β-Proline derivative III revealed an activity comparable to II.

ACCESSION NUMBER: 1998:713286 CAPLUS
DOCUMENT NUMBER: 130:81843
TITLE: β-Analogs of PLG (L-prolyl-L-leucyl-glycinamide): ex-chiral pool syntheses and dopamine D2 receptor modulating effects
AUTHOR(S): Thomas, Christoph; Ohnmacht, Ursula; Niger, Martin; Gmeiner, Peter
CORPORATE SOURCE: Inst. fur Pharmazie und Lebensmittelchemie, Univ. Erlangen, Erlangen, D-91052, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(20), 2885-2890
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 159497-65-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of β-proline analogs and dopamine D2 receptor modulating effects of β-proline-containing peptides)

RN 159497-65-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

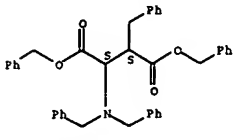
Absolute stereochemistry. Rotation (-).



IT 218431-64-2P 218431-88-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-proline analogs and dopamine D2 receptor modulating

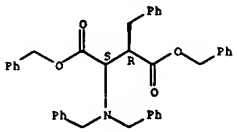
L25 ANSWER 15 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
effects of β-proline-contg. peptides)
RN 218431-64-2 CAPLUS
CN L-Aspartic acid, N,N,3-tris(phenylmethyl)-, bis(phenylmethyl) ester, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



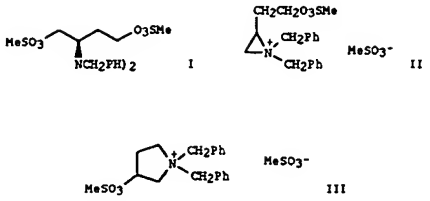
RN 218431-88-0 CAPLUS
CN L-Aspartic acid, N,N,3-tris(phenylmethyl)-, bis(phenylmethyl) ester, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

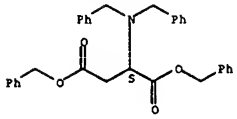
L25 ANSWER 16 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Starting from aspartic acid, an efficient synthesis of enantiomerically pure β-proline and homo-β-proline is described. The key step of the synthesis includes formation of the 1,4-bis-electrophile I, followed by rearrangement via the aziridinium intermediate II and ring closure to give the pyrrolidinium salt III which serves as a common precursor for both target compds.
ACCESSION NUMBER: 1998:677975 CAPLUS
DOCUMENT NUMBER: 130:25296
TITLE: A practical ex-chiral-pool synthesis of β-proline and homo-β-proline
AUTHOR(S): Thomas, Christoph; Orecher, Florian; Gmeiner, Peter
CORPORATE SOURCE: Institut Pharmazie Lebensmittelchemie, Friedrich-Alexander-Universitaet, Erlangen, D-91052, Germany
SOURCE: Synthesis (1998), (10), 1491-1496
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:25296
IT 159497-65-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of β-proline and homo-β-proline)
RN 159497-65-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

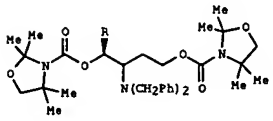
Absolute stereochemistry. Rotation (-).

L25 ANSWER 16 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

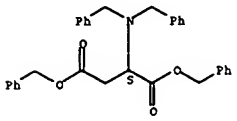
L25 ANSWER 17 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB The 1,4-O,O'-dicarbamate I (R = H), derived from (S)-2-(dibenzylamino)butane-1,4-diol, is prepared from L-aspartate in 3 steps. Deprotonation with sec-BuLi removes the 1-pro-S proton with essentially complete substrate-controlled diastereoselectivity. The resulting chiral Li compound I (R = Li) is configurationally stable and reacts stereospecifically with retention of the configuration at C(1) with a large number of electrophiles. A good level of enantiofacial selectivity is observed in the addition reaction of I (R = Li) with achiral aldehydes. Medium
kinetic resolution was observed with racemic 2-alkylcyclohexanones. Quite generally, the reagent I (R = Li) achieves the nucleophilic introduction of the (protected) stereohomogeneous 2-amino-1,4-dihydroxybutanide fragment. Decarbamoylation is best achieved by reduction with LiAlH4. The deuteration of the 1-pro-S position provides efficient protection against deprotonation in the 1-position owing to a large kinetic H/D-isotope effect. The (-)-sparteine-mediated deprotonation therein removes the 4-pro-S-H, which also was achieved for the 1-methylthio- and the 1-phenylthio derivative The combined strategy permits the stereocontrolled chain-elongation of the 2-amino-1,4-dihydroxybutane unit at both termini by C-electrophiles.
ACCESSION NUMBER: 1998:600329 CAPLUS
DOCUMENT NUMBER: 130:3597
TITLE: Regio- and stereoselective lithiation and C-substitution of (S)-2-(dibenzylamino)butane-1,4-diol via dicarbamates
AUTHOR(S): Guarnieri, Walter; Sendzik, Martin; Froehlich, Roland; Hoppe, Dieter
CORPORATE SOURCE: Organisch-Chemisches Institut, Universitaet Muenster, Muenster, D-48149, Germany
SOURCE: Synthesis (1998), (9), 1274-1286
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:3597
IT 159497-65-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(regio- and stereoselective lithiation and substitution of (benzylamino)butanediol via dicarbamates)
RN 159497-65-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

L25 ANSWER 17 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 18 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

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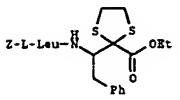


I

AB Di-, tri-, and tetrapeptide α-ketoamides M1-AA-NHCHR2COCONR3R4, M1-AA2-AA-CONR3R4, M1-AA1-AA2-AA3-CONR3R4, and M1-AA1-AA2-AA3-AA4-CONR3R4 (M1 = H, NH2CO, NHZCS, NH2SO2, XNHCO, X2NCO, XNHCS, X2NCS, XNHSO2, X2NSO2, XCO, XCS, XSO2, XO2C, XOCS; X = (un)substituted C1-10 alkyl, (un)substituted C1-10 fluoroalkyl, 1-adamantyl, 9-fluorenyl, (un)substituted Ph, (un)substituted naphthyl; AA, AA1, AA2, AA3, AA4 = independently side-chain (un)blocked amino acid; R2 = C1-8 (un)branched alkyl, C1-8 (un)branched cycloalkyl, C1-8 (un)branched fluoroalkyl; R3, R4 = independently H, C1-20 alkyl, C3-20 cycloalkyl, C1-20 arylalkyl, C1-10 heterocycloalkyl] are useful for selectively inhibiting serine proteases, selectively inhibiting cysteine proteases, generally inhibiting all serine proteases, and generally inhibiting all cysteine proteases. Thus, condensation of protected peptidyl ketoester I (Z = PhCH2O2C) (prepared in 3 steps from Z-Phe-Leu-OH, Et oxalyl chloride, and 1,2-ethanedithiol) with alkylamines RNH2 (R = Et, Pr, Bu, CH2CHMe2, CH2Ph, CH2CH2Ph) gave peptidyl ketoamides Z-Phe-Leu-CONHR (II). Peptidyl ketoamides II inhibited chymotrypsin with Ki = 8-73 nM, and had half-lives in liver and plasma of >60.

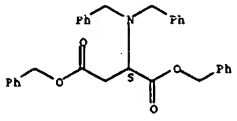
ACCESSION NUMBER: 1998:397812 CAPLUS
DOCUMENT NUMBER: 129:54609
TITLE: Preparation of peptide α-ketoamides as serine and cysteine protease inhibitors
INVENTOR(S): Powers, James C.
PATENT ASSIGNEE(S): Georgia Tech Research Corp., USA
SOURCE: U.S., 25 pp., Cont.-in-part of U. S. 5,650,508. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5763576	A	19980609	US 1996-777208	19961227
US 5650508	A	19970722	US 1995-539944	19951006
PRIORITY APPLN. INFO.:			US 1995-539944	A2 19951006
			US 1991-815073	B1 19911227
			US 1993-118997	B1 19930909
			US 1994-246511	B1 19940520

OTHER SOURCE(S): MARPAT 129:54609
IT 159497-65-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide ketoamides as serine and cysteine protease inhibitors)
RN 159497-65-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI)

L25 ANSWER 18 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(CA INDEX NAME)

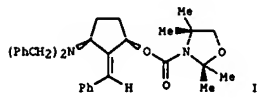
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 19 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

GI

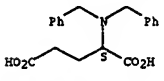


I

AB The asym. deprotonation mediated by the chiral base s-butyllithium/(-)-sparteine of 4-substituted 5-hexynyl carbamates, e.g., (S)-PhC.tplbond.CCH(NCH2Ph)2(CH2)3OCby (Cby = Q), permits the synthesis of enantioenriched carbanionic pairs which undergo a regioselective 5-exo-dig ring closure with the triple bond acting as an internal electrophile. The functionalized five-membered rings, e.g., I, are formed with complete stereoselectivity in high yields.

ACCESSION NUMBER: 1998:176783 CAPLUS
DOCUMENT NUMBER: 128:243978
TITLE: (-)-Sparteine-mediated stereoselective intramolecular carbolithiation of alkynes
AUTHOR(S): Oestreich, Martin; Frohlich, Roland; Hoppe, Dieter
CORPORATE SOURCE: Organisch-chemisches Institut, Westfalische Wilhelms-Universitat, Munster, 48149, Germany
SOURCE: Tetrahedron Letters (1998), 39(13), 1745-1748 CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 14464-18-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(stereoselective intramol. carbolithiation-cyclization of alkynes mediated by sparteine)
RN 14464-18-7 CAPLUS
CN L-Glutamic acid, N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

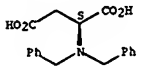
Absolute stereochemistry.



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

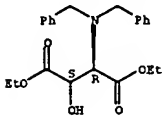
L25 ANSWER 20 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB A review with 41 refs. on reactions of N,N-protected asparagine and aspartic acid to give β,γ-diaminobutanenitriles and -butyric acids, α-substituted β-amino nitriles and β-amino acids, etc.
ACCESSION NUMBER: 1997:562047 CAPLUS
DOCUMENT NUMBER: 127:191001
TITLE: Selective transformations of N,N-dibenzyl protected asparagine and aspartic acid derivatives
AUTHOR(S): Gmeiner, Peter
CORPORATE SOURCE: Institut fur Pharmazie und Lebensmittelchemie, Universitat Erlangen-Nurnberg, Erlangen, 91052, Germany
SOURCE: Enantioselective Synthesis of β-Amino Acids (1997), 67-81. Editor(s): Juaristi, Eusebio. Wiley-VCH: New York, N. Y.
CODEN: 64VSAP
DOCUMENT TYPE: Conference; General Review
LANGUAGE: English
IT 14464-17-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(selective transformations of N,N-dibenzyl protected asparagine and aspartic acid derivs.)
RN 14464-17-6 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



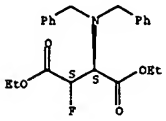
L25 ANSWER 21 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB The two isomers (2S,3S) and (2S,3R) of 3-fluoro-D-aspartic acid were synthesized by two independent routes both starting from D-tartaric acid esters.
ACCESSION NUMBER: 1996:454872 CAPLUS
DOCUMENT NUMBER: 125:222374
TITLE: Synthesis of nonracemic 3-fluoroaspartic acids
AUTHOR(S): Charvillon, F. Burgat; Amouroux, R.
CORPORATE SOURCE: Lab. Chim. Org. Phys. Synth., Univ. Claude Bernard-Lyon I, Villeurbanne, 69 622, Fr.
SOURCE: Tetrahedron Letters (1996), 37(29), 5103-5106
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 125:222374
IT 181309-83-1P 181309-84-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of fluoroaspartic acid stereoisomers)
RN 181309-83-1 CAPLUS
CN D-Aspartic acid, 3-hydroxy-N,N-bis(phenylmethyl)-, diethyl ester, erythro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

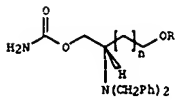


RN 181309-84-2 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, diethyl ester, erythro- (9CI) (CA INDEX NAME)

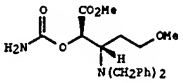
Absolute stereochemistry. Rotation (+).



L25 ANSWER 22 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



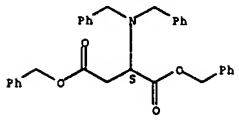
I



II

AB Monoprotection and carbamoylation of (S)-2-[bis(phenylmethyl)amino]-1,ω-alkanediols gave 1-(carbamoyloxy)-2-[bis(phenylmethyl)amino]-1,ω-alkanediol derivs. I (R = protective group; n = 1,2). Treatment of I (R = Me, n = 1) with sec-BuLi followed by addition of an electrophile gave the carbamate II stereoselectively and regioselectively.
ACCESSION NUMBER: 1995:579928 CAPLUS
DOCUMENT NUMBER: 123:198387
TITLE: Regio- and stereoselective electrophilic C-substitution of 2-(N,N-dibenzylamino)-1,ω-alkanediols by lithiation of their carbamates
AUTHOR(S): Guarnieri, Walter; Grehl, Matthias; Hoppe, Dieter
CORPORATE SOURCE: Organisch-Chem. Inst., Univ. Corrensstrasse, Muenster, D-48159, Germany
SOURCE: Angewandte Chemie (1994), 106(17), 1815-18, (See also Angew. Chem., Int. Ed. Engl., 1994, 33(17), 1734-7)
CODEN: ANCEAD; ISSN: 0044-8249
PUBLISHER: VCH
DOCUMENT TYPE: Journal
LANGUAGE: German
IT 159497-65-1 167905-35-3, (S)2-[Bis(phenylmethyl)amino]-1,6-Hexanediol
RL: RCT (Reactant); RACT (Reactant or reagent)
(electrophilic substitution of (dibenzylamino)-1,ω-alkanediols)
RN 159497-65-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

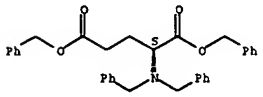
Absolute stereochemistry. Rotation (-).



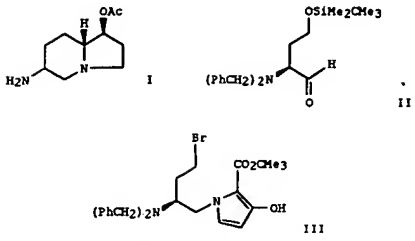
RN 167905-35-3 CAPLUS
CN L-Glutamic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 22 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 23 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Starting from natural aspartic acid a practical method for the synthesis of enantiomerically pure 3-amino alcs. including 3,4-diamino derivs. is described. After perbenzylation of aspartic acid and reduction of both carboxylates, position of the resultant (dibenzylamino)butanediol could be regioselectively blocked to afford the silyloxy-protected intermediate. Functionalization of position 1 was accomplished by nucleophilic displacement reactions including a 2-fold migration of the dibenzylamino substituent or by reductive amination of the amino aldehyde. Both routes proceeded under complete preservation of the optical purity. For envisioned SAR studies, we, furthermore, report on the application of this method to a chirospecific synthesis of epi- and diepislaframine (I) as diastereomers of the highly bioactive indolizidine alkaloid slaframine. The first approach including reductive coupling of the chiral amino aldehyde II with 3-hydroxypyrrolidine failed when formation of a quaternary ammonium salt occurred, preventing the anticipated anionic cyclization. The methodol. developed by Wasserman was used. Introduction of a 3-hydroxypyrrole-2-carboxylate fragment gave a cyclization precursor III which could be successfully transformed into epi- and diepislaframine.

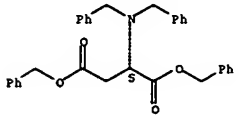
ACCESSION NUMBER: 1995:126010 CAPLUS
DOCUMENT NUMBER: 122:56275
TITLE: Enantiomerically Pure Amino Alcohols and Diamino Alcohols from L-Aspartic Acid. Application to the Synthesis of Epi- and Diepislaframine
AUTHOR(S): Gmeiner, Peter; Junge, Dagmar; Kaertner, Annerose
CORPORATE SOURCE: Pharmazeutisches Institut, Universitaet Bonn, Bonn, 53121, Germany
SOURCE: Journal of Organic Chemistry (1994), 59(22), 6766-76
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:56275

IT 159497-65-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantiomerically pure amino alcs. and diamino alcs. from aspartic

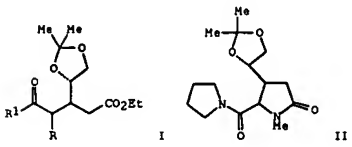
L25 ANSWER 23 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
acid, application to the synthesis of epi- and diepislaframine)

RN 159497-65-1 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L25 ANSWER 24 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB RCH2COR1 [R = OMe, R1 = NMe2; R = N(CH2Ph)2, R1 = OCMe3; R = NHMe, R1 = pyrrolidino], after lithiation with LDA in THF at -78 °C, undergo highly syn- or anti-selective Michael addns. to Et (E)-3-[(S)-2,2-dimethyl-1,3-dioxolan-4-yl]propenoate to give adducts I and II, while similar reactions of RCH2CONMe2 (R = H, Me) are poor in selectivity.

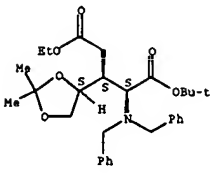
ACCESSION NUMBER: 1994:298513 CAPLUS
DOCUMENT NUMBER: 120:298513
TITLE: Michael additions of the lithium enolates of α-heterosubstituted esters and amides to a chiral α,β-unsaturated carbonyl acceptor, ethyl (E)-3-[(S)-2,2-dimethyl-1,3-dioxolan-4-yl]propenoate. High stereoselection and chiral induction
AUTHOR(S): Nomura, Masafumi; Kanemasa, Shuji
CORPORATE SOURCE: Interdiscip. Grad. Sch. Eng. Sci., Kyushu Univ., Kasuga, 816, Japan
SOURCE: Tetrahedron Letters (1994), 35(1), 143-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 120:298513

IT 154771-74-1P 154902-27-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 154771-74-1 CAPLUS
CN L-Glutamic acid, 3-(2,2-dimethyl-1,3-dioxolan-4-yl)-N,N-bis(phenylmethyl)-, 1-(1,1-dimethylethyl) 5-ethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

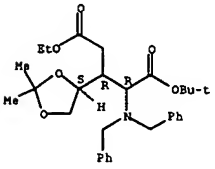
Absolute stereochemistry.

L25 ANSWER 24 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 154902-27-9 CAPLUS
CN L-Glutamic acid, 3-(2,2-dimethyl-1,3-dioxolan-4-yl)-N,N-bis(phenylmethyl)-, 1-(1,1-dimethylethyl) 5-ethyl ester, [S-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 25 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

AB Lipase from C. cylindracea allows discrimination between the 2 connectively non-equivalent hydroxy groups in primary diols or their esters via acylation-hydrolysis, with high regioselectivity. The same technique was used to distinguish between hydroxy groups of different nature in phenolic compds.

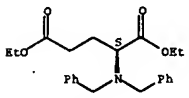
ACCESSION NUMBER: 1992:485788 CAPLUS
DOCUMENT NUMBER: 117:85788
TITLE: Regio- and chemiselective properties of lipase from Candida cylindracea
AUTHOR(S): Pedrocchi-Fantoni, Giuseppe; Servi, Stefano
CORPORATE SOURCE: Dip. Chim., Politec. Milano, Milan, 20133, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1992), (8), 1029-33
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 142784-75-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 142784-75-6 CAPLUS

CN L-Glutamic acid, N,N-bis(phenylmethyl)-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

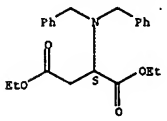


IT 142784-76-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)

RN 142784-76-7 CAPLUS

CN L-Aspartic acid, N,N-bis(phenylmethyl)-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 26 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

AB The synthesis of enantiomerically pure β-amino acids (R)-RCH2CH(NH2)CO2H (I; R = Me, Bu, Ph) via an O-activated equivalent of β-homoserine is discussed. The chiral synthon I (R = leaving group) was planned to be represented by (S)-(PhCH2)2NCH(CH2R1)CH2O3SMe (II; R1 = CN, CO2Me). Only nitrile II (R1 = CN) was suitable for the envisioned β-amino acid synthesis, since alc. (S)-(PhCH2)2NCH(CH2OH)CH2CH2CONH2 cyclized to the corresponding aminolactone. Reaction of mesylate II (R2 = CN) with different Gilman cuprates afforded the dibenzylamino nitrile derivs., which could be readily deprotected to give the target compds. in 23-36% overall yield from asparagine. In contrast, Me2Cu(CN)Li2, as an example for higher order Lipshutz cuprates, did not afford the desired substitution product.

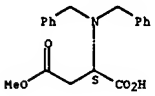
ACCESSION NUMBER: 1991:656603 CAPLUS
DOCUMENT NUMBER: 115:256603
TITLE: An efficient and practical EPC synthesis of β-amino acids from L-asparagine
AUTHOR(S): Gmeiner, Peter
CORPORATE SOURCE: Inst. Pharm. Lebensmittelchem., Ludwig-Maximilians-Univ., Munich, D-8000/2, Germany
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1991), 324(9), 551-7
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:256603

IT 137428-31-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydride reduction of)

RN 137428-31-0 CAPLUS

CN L-Aspartic acid, N,N-bis(phenylmethyl)-, 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 27 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

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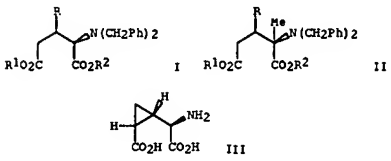


AB Threo adducts I (R = R1 = Me, R2 = Et; R = Me, R1 = R2 = CMe3; R = Bu, n - C7H15, R1 = Et, R2 = CMe3) were prepared by the stereoselective Michael addition of the Li enolates of glycinates (PhCH2)2NCH2CO2R2 to RCH:CHCO2R1. Threo adducts II (R = R1 = Me, R2 = Me, CMe3; R = R2 = Me, R1 = CMe3; R = Bu, n - C7H15, R1 = Et, R2 = Me) were prepared similarly from the Li enolates of alaninates (PhCH2)2NCHMeCO2R2 and RCH:CHCO2R1. The above reaction was employed in a concise and stereoselective synthesis of (±)-CCG-II (III).

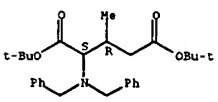
ACCESSION NUMBER: 1990:532730 CAPLUS
DOCUMENT NUMBER: 113:132730
TITLE: threo-Selective Michael addition of N,N-dibenzylglycinate and alaninate enolates to α,β-unsaturated esters. A concise and stereoselective synthesis of (±)-CCG-II
AUTHOR(S): Yamaguchi, Masahiko; Torisu, Kazuhiko; Minami, Toru
CORPORATE SOURCE: Dep. Appl. Chem., Kyushu Inst. Technol., Kitakyushu, 804, Japan
SOURCE: Chemistry Letters (1990), (3), 377-80
CODEN: CMLTAG; ISSN: 0366-7022
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:132730

IT 129397-19-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and de-tert-butylation of)

RN 129397-19-9 CAPLUS

CN D-Glutamic acid, 3-methyl-N,N-bis(phenylmethyl)-, bis(1,1-dimethylethyl) ester, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 129397-27-9P
RL: SPN (Synthetic preparation); PREP (Preparation)

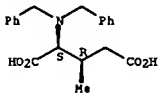
L25 ANSWER 27 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(prepn. and hydrogenalysis of)

RN 129397-27-9 CAPLUS

CN D-Glutamic acid, 3-methyl-N,N-bis(phenylmethyl)-, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

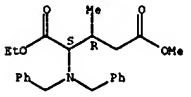


IT 129397-17-7P 129397-18-8P 129397-20-2P
129397-21-3P 129397-22-4P 129397-23-5P
129397-24-6P 129397-25-7P 129397-26-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 129397-17-7 CAPLUS

CN D-Glutamic acid, 3-methyl-N,N-bis(phenylmethyl)-, 1-ethyl 5-methyl ester, (3S)-rel- (9CI) (CA INDEX NAME)

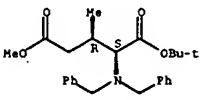
Relative stereochemistry.



RN 129397-18-8 CAPLUS

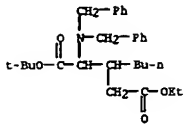
CN D-Glutamic acid, 3-methyl-N,N-bis(phenylmethyl)-, 1-(1,1-dimethylethyl) 5-methyl ester, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

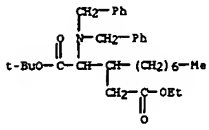


RN 129397-20-2 CAPLUS

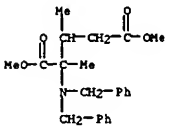
CN D-Glutamic acid, 3-butyl-N,N-bis(phenylmethyl)-, 1-(1,1-dimethylethyl) 5-ethyl ester, (3S)-rel- (9CI) (CA INDEX NAME)



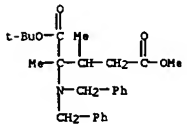
RN 129397-21-3 CAPLUS
CN D-Glutamic acid, 3-heptyl-N,N-bis(phenylmethyl)-, 1-(1,1-dimethylethyl) 5-ethyl ester, (3S)-rel- (9CI) (CA INDEX NAME)



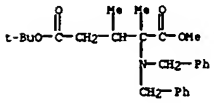
RN 129397-22-4 CAPLUS
CN Glutamic acid, 2,3-dimethyl-N,N-bis(phenylmethyl)-, dimethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)



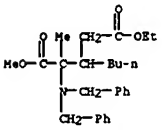
RN 129397-23-5 CAPLUS
CN Glutamic acid, 2,3-dimethyl-N,N-bis(phenylmethyl)-, 1-(1,1-dimethylethyl) 5-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)



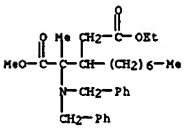
RN 129397-24-6 CAPLUS
CN Glutamic acid, 2,3-dimethyl-N,N-bis(phenylmethyl)-, 5-(1,1-dimethylethyl) 1-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

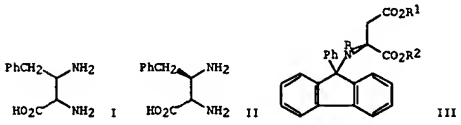


RN 129397-25-7 CAPLUS
CN Glutamic acid, 3-butyl-2-methyl-N,N-bis(phenylmethyl)-, 5-ethyl 1-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)



RN 129397-26-8 CAPLUS
CN Glutamic acid, 3-heptyl-2-methyl-N,N-bis(phenylmethyl)-, 5-ethyl 1-methyl ester, (R*,S*)- (9CI) (CA INDEX NAME)





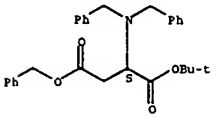
AB The title 2,3-diamino acids I and II were prepared from aspartic acid. N-Protected aspartates III (R = H, R1 = Me, R2 = CMe3; R = CH2Ph, R1 = Me, CH2Ph, R2 = CMe3; R = R1 = CH2Ph, R2 = Me) were regioselectively benzylated at C-3 by using KHMDS and benzyl bromide or iodide. Whereas the alkylation of compds. III (R = H, CH2Ph; R1 = Me, R2 = CMe3) proceeds in low to moderate diastereoselectivities, compds. III (R = R1 = CH2Ph, R2 = CMe3, Me) gave the corresponding diastereomers in ratios of up to 30/1. Selective cleavage of the β ester followed by Curtius degradation using di-Ph phosphorazidate gave rise to 2,3-diamino derivs. that were transformed into I or III.

ACCESSION NUMBER: 1990:497991 CAPLUS
DOCUMENT NUMBER: 113:97991
TITLE: Stereoselective synthesis of 2,3-diamino acids. 2,3-Diamino-4-phenylbutanoic acid
AUTHOR(S): Dunn, Peter J.; Haener, Robert; Rapoport, Henry
CORPORATE SOURCE: Dep. Chem., Univ. California, Berkeley, CA, 94720, USA
SOURCE: Journal of Organic Chemistry (1990), 55(17), 5017-25
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:97991

IT 128576-66-9P 128576-89-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

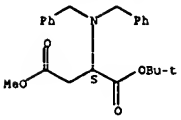
RN 128576-66-9 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, 1-(1,1-dimethylethyl) 4-(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 128576-89-6 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)-, 1-(1,1-dimethylethyl) 4-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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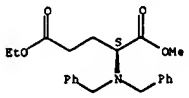
AB A variety of tertiary amides were converted to chromium aminocarbene complexes by reaction with Na2Cr(CO)5 and Me3SiCl. Photolysis of these carbene complexes in MeOH or Me3COH produced α-amino esters in good to excellent yields. Aminocarbene complexes containing chiral oxazolidine groups were synthesized and photolyzed in alc. to produce chiral α-amino esters in 50-93% diastereomeric excesses. Pentacarbonyl[(dibenzylaminomethyl)carbene]chromium(0) was prepared in high yield by the N-benzylation of the corresponding monobenzyl amino complex. Base-assisted alkylation of the Me group with a variety of halides followed by photolysis in MeOH produced the alkylated alanine Me ester in excellent overall yield. Other aminocarbene complexes underwent similar reactions. With chiral, optically active aminocarbene complexes, the alkylated alanine derivative was produced with high diastereoselectivity.

ACCESSION NUMBER: 1990:139775 CAPLUS
DOCUMENT NUMBER: 112:139775
TITLE: Photolytic reactions of chromium aminocarbene complexes. Conversion of amides to α-amino acids
AUTHOR(S): Hegedus, Louis S.; Schwindt, Mark A.; De Lombaert, Stephane; Imwinkelried, Rene
CORPORATE SOURCE: Dep. Chem., Colorado State Univ., Fort Collins, CO, 80523, USA
SOURCE: Journal of the American Chemical Society (1990), 112(6), 2264-73
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:139775

IT 124619-85-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 124619-85-8 CAPLUS
CN L-Glutamic acid, N,N-bis(phenylmethyl)-, 5-ethyl 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 30 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

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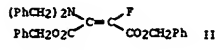


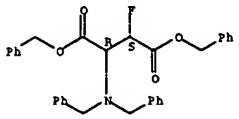
AB 3-Fluoroaspartic acid (I) was prepared from difluoromaleic anhydride in 5 steps. A key step was the treatment of dibenzyl difluoromaleate with (PhCH2)2NH to give maleate II. II was reduced with NaBH3CN to give (PhCH2)2NCH(CO2CH2Ph)CHFCO2CH2Ph (III) as an 85:15 mixture of threo/erythro isomers. III was debenzylated by hydrogenolysis to give I as the threo isomer.

ACCESSION NUMBER: 1990:36415 CAPLUS
Correction of: 1989:154824
DOCUMENT NUMBER: 112:36415
Correction of: 110:154824
TITLE: The synthesis of 3-fluoroaspartic acid
AUTHOR(S): Hudlicky, M.
CORPORATE SOURCE: Dep. Chem., Virginia Polytech. Inst. and State Univ., Blacksburg, VA, 24061, USA
SOURCE: Journal of Fluorine Chemistry (1988), 40(2-3), 99-108
CODEN: JFLCAR; ISSN: 0022-1139
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:36415

IT 119767-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

RN 119767-78-1 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

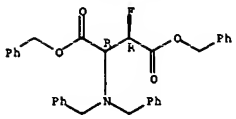


IT 119767-79-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 119767-79-2 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3S)-rel- (9CI) (CA INDEX NAME)

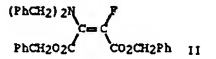
Relative stereochemistry.

L25 ANSWER 30 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 31 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

GI



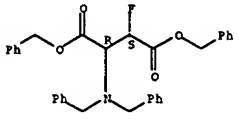
AB 3-Fluoroaspartic acid (I) was prepared from difluoromaleic anhydride in 5 steps. A key step was the treatment of dibenzyl difluoromaleate with (PhCH2)2NH to give maleate II. II was reduced with NaBH3CN to be (PhCH2)2NCH(CO2CH2Ph)CHFCO2CH2Ph (III) as an 85:15 mixture of threo/erythro isomers. III was debenzylated by hydrogenolysis to give I as the threo isomer.

ACCESSION NUMBER: 1989:595368 CAPLUS
Correction of: 1989:458310
DOCUMENT NUMBER: 111:195368
Correction of: 111:58310
TITLE: The synthesis of 3-flouroaspartic acid
AUTHOR(S): Hudlicky, M.
CORPORATE SOURCE: Dep. Chem., Virginia Polytech. Inst. and State Univ., Blacksburg, VA, 24061, USA
SOURCE: Journal of Fluorine Chemistry (1988), 40(2-3), 99-108
CODEN: JFLCAR; ISSN: 0022-1139
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 119767-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

RN 119767-78-1 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

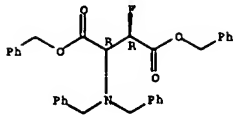


IT 119767-79-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

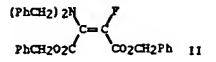
RN 119767-79-2 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L25 ANSWER 31 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



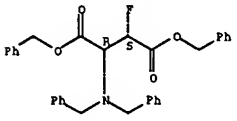
L25 ANSWER 32 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB 3-Fluoroaspartic acid (I) was prepared from difluoromaleic anhydride in 5 steps. A key step was the treatment of dibenzyl difluoromaleate with (PhCH2)2NH to give maleate II. II was reduced with NaBH3CN to give (PhCH2)2NCH(CO2CH2Ph)CHFCO2CH2Ph (III) as an 85:15 mixture of threo/erythro isomers. III was debenzylated by hydrogenolysis to give I as the threo isomer.

ACCESSION NUMBER: 1989:458310 CAPLUS
Correction of: 1989:154824
DOCUMENT NUMBER: 111:58310
Correction of: 110:154824
TITLE: The synthesis of 3-fluoroaspartic acid.
AUTHOR(S): Hudlicky, M.
CORPORATE SOURCE: Dep. Chem., Virginia Polytech. Inst. and State Univ., Blacksburg, VA, 24061, USA
SOURCE: Journal of Fluorine Chemistry (1988), 40(2-3), 99-108
CODEN: JFLCAR; ISSN: 0022-1139
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 119767-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 119767-78-1 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3R)-rel- (9CI) (CA INDEX NAME)

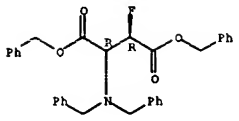
Relative stereochemistry.



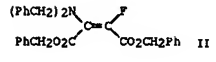
IT 119767-79-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 119767-79-2 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L25 ANSWER 32 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



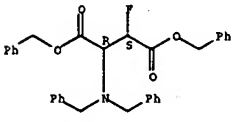
L25 ANSWER 33 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB 3-Fluoroaspartic acid (I) was prepared from difluoromaleic anhydride in 5 steps. A key step was the treatment of dibenzyl difluoromaleate with (PhCH2)2NH to give maleate II. II was reduced with NaBH3CN to give (PhCH2)2NCH(CO2CH2Ph)CHFCO2CH2Ph (III) as an 85:15 mixture of threo/erythro isomers. III was debenzylated by hydrolysis to give I as the threo isomer.

ACCESSION NUMBER: 1989:154824 CAPLUS
DOCUMENT NUMBER: 110:154824
TITLE: The synthesis of 3-fluoroaspartic acid
AUTHOR(S): Hudlicky, M.
CORPORATE SOURCE: Dep. Chem., Virginia Polytech. Inst. and State Univ., Blacksburg, VA, 24061, USA
SOURCE: Journal of Fluorine Chemistry (1988), 40(2-3), 99-108
CODEN: JFLCAR; ISSN: 0022-1139
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:154824
IT 119767-78-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 119767-78-1 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3R)-rel- (9CI) (CA INDEX NAME)

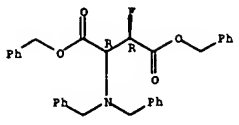
Relative stereochemistry.



IT 119767-79-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 119767-79-2 CAPLUS
CN D-Aspartic acid, 3-fluoro-N,N-bis(phenylmethyl)-, bis(phenylmethyl) ester, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L25 ANSWER 33 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 34 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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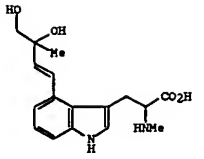
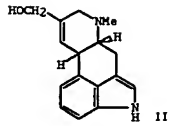


I

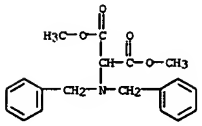


II

AB The total synthesis of diastereomers of tryptophan I and their N-trideuteriomethyl analogs has been carried out. These compds. represent possible intermediates along the biosynthetic pathway from 4-(γ,γ-dimethylallyl)tryptophan to the ergot alkaloids. The synthetic scheme features the preparation of an (indolylvinyl)metallic reagent from 4-ethynylindole via a hydrostannylation/metal-metal exchange sequence, as well as the preparation of di-Me [N-methyl-N-(2,2,2-trichloroethoxycarbonyl)amino]malonate, a new amidomalonate reagent for tryptophan elaboration. Incorporation expts. with Claviceps sp. SD58 followed by GC-MS anal. of the major alkaloid, elymoclavine (II), showed that neither diastereomer of the N-trideuteriomethyl analog of I is an ergot alkaloid precursor.

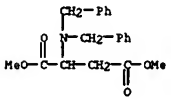
ACCESSION NUMBER: 1988:94891 CAPLUS
DOCUMENT NUMBER: 108:94891
TITLE: Probing ergot alkaloid biosynthesis: synthesis and feeding of a proposed intermediate along the biosynthetic pathway. A new amidomalonate for tryptophan elaboration
AUTHOR(S): Kozikowski, Alan P.; Okita, Makoto; Kobayashi, Motomasa; Floss, Heinz G.
CORPORATE SOURCE: Dep. Chem., Univ. Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Journal of Organic Chemistry (1988), 53(4), 863-9
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:94891
IT 112152-39-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with trichloroethyl chloroformate)
RN 112152-39-3 CAPLUS
CN Propanedioic acid, [bis(phenylmethyl)amino]-, dimethyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 34 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

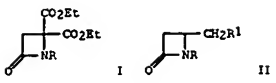


L25 ANSWER 35 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB (PhCH2)2NCH2CO2R (I; R = Me, CH2CH2SiMe3) were deprotonated with LDA and then alkylated with electrophiles, e.g. R1X (R1 = CH2Ph, allyl), to give α-amino acid derivs., e.g. (PhCH2)2NCHR1CO2R. I (R = Me) was also deprotonated and condensed with PhCHO to give (PhCH2)2NCH(CO2Me)CH(OH)Me.

ACCESSION NUMBER: 1988:38337 CAPLUS
DOCUMENT NUMBER: 108:38337
TITLE: Alkylation and condensation reactions of N,N-dibenzylglycine esters: synthesis of α-amino acid derivatives
AUTHOR(S): Gray, Brian D.; Jeffs, Peter W.
CORPORATE SOURCE: Dep. Phys. Struct. Chem., Smith Kline and French Lab., Swedeland, PA, 19479, USA
SOURCE: Journal of the Chemical Society, Chemical Communications (1987), (18), 1329-30
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:38337
IT 112302-84-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via C-alkylation of glycine derivative)
RN 112302-84-8 CAPLUS
CN Aspartic acid, N,N-bis(phenylmethyl)-, dimethyl ester (9CI) (CA INDEX NAME)



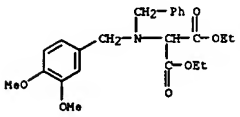
L25 ANSWER 36 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I II

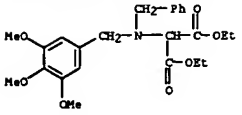
AB A series of new N-aryl- and N-aralkyl-4-oxoazetidine-2,2-dicarboxylates I [R = Ph, substituted Ph, (un)substituted CH2Ph] has been obtained by the Bose-Sheehan synthesis. Partial deethoxycarbonylation of I by Krapcho's method furnished the monocarboxylic esters. Reduction of the ester group of the latter gave the hydroxymethyl derivs., whose hydroxyl groups were derivatized and replaced to give II (R1 = ONO2, OAc, O2CNHPh, O3SMe, halogen, cyano, N3, NH2, pyridinium). The N-substituent of II [R = CH2C6H4(OMe)2-2,4, R1 = O3SMe, cyano] was removed by the peroxydisulfate oxidation method.

ACCESSION NUMBER: 1986:514779 CAPLUS
DOCUMENT NUMBER: 105:114779
TITLE: Simple and condensed β-lactams. II. The synthesis of new diethyl 4-oxoazetidine-2,2-dicarboxylates and some manipulations of their functional groups and N-substituents
AUTHOR(S): Simig, Gyula; Fetter, Jozsef; Hornyak, Gyula; Zauer, Karoly; Doleschall, Gabor; Lempert, Karoly; Nyitrai, Jozsef; Gombos, Zsuzsa; Gizur, Tibor; et al.
CORPORATE SOURCE: Res. Group Alkaloid Chem., Hung. Acad. Sci., Budapest, H-1521, Hung.
SOURCE: Acta Chimica Hungarica (1985), 119(1), 17-32
CODEN: ACHUDC; ISSN: 0231-3146
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 94271-49-5P 94271-55-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 94271-49-5 CAPLUS
CN Propanedioic acid, [[(3,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)

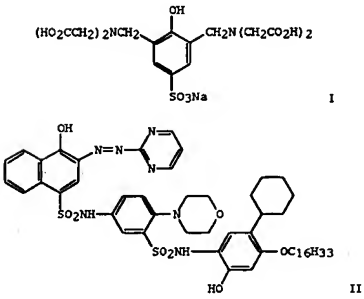


RN 94271-55-3 CAPLUS
CN Propanedioic acid, [(phenylmethyl)[(3,4,5-trimethoxyphenyl)methyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 36 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 37 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I II

AB Photog. recording materials giving dye images with improved light stability consist of a support carrying thereon an image receptor layer containing a coordination compound consisting of a metal ion and a ligand consisting of a carboxylic acid or sulfonic acid group or salts thereof, linked by a multivalent organic moiety to a group capable of giving multiple coordination to a multivalent metal ion. The coordination compound, which may also be contained in a layer adjacent to the image receptor layer, shows a high metal ion-fixing capability and gives a fast metal chelating reaction with a dye ligand. Thus, a transparent PET support was coated with a mordant layer containing divinylbenzene-styrene-N-benzyl-N,N-dimethyl-N-vinylbenzylammonium chloride copolymer 3, gelatin 3 g, I 4, and Ni acetate 2 mmol/m2, a white reflecting layer containing TiO2 20 and gelatin 3 g/m2, a light-screening layer containing gelatin 0.75 and C black 1.5 g, a layer containing gelatin 3 and II 0.48 g/m2, a direct-pos. gelatin-AgBr emulsion layer of the latent inner-image type, and a gelatin overcoating layer. The resultant material was then exposed, combined with a top film containing a neutralization layer and a neutralization speed-controlling layer, and developed to produce a 89% chelation and a Dmax of 1.51 vs. 95% chelation and a Dmax of 0.62 for a 1-free control.

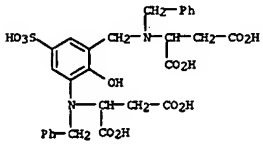
ACCESSION NUMBER: 1986:415170 CAPLUS
DOCUMENT NUMBER: 105:15170
TITLE: Photographic recording materials
INVENTOR(S): Okamura, Hisashi; Nakamura, Shigeru; Maekawa, Yukio
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd. , Japan
SOURCE: Ger. Offen., 45 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German

L25 ANSWER 37 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3511677	A1	19851003	DE 1985-3511677	19850329
JP 60205537	A2	19851017	JP 1984-62822	19840330

PRIORITY APPLN. INFO.: JP 1984-62822 A 19840330

IT 102715-07-1P
RL: PREP (Preparation)
(preparation and color diffusion photog. application of)
RN 102715-07-1 CAPLUS
CN Aspartic acid, N-[[3-[(1,2-dicarboxyethyl)(phenylmethyl)amino]-2-hydroxy-5-sulfophenyl]methyl]-N-(phenylmethyl)-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L25 ANSWER 38 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

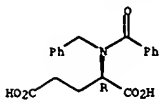
AB RR1NCH(CO2H)(CH2)2CONR2 [R = aralkyl; R1 = H, aroyl, (substituted) alkanoyl; R2 = H, (substituted) anilino, (substituted) alkylamino], useful as immunosuppressant (passive cutaneous and fluxes test data given), were prepared Thus, 321 mg PhCHO was added to a mixture of 463 mg N-(γ-L-glutamyl)-L-tyrosine, 10 mL MeOH, and 3 mL H2O at 0°, the resulting mixture stirred at the same temperature for 20 min, 140 mg NaBH3CN added, the resulting mixture stirred for 15 h, 157 mg PhCHO and 70 mg NaBH3CN were added, and the resulting mixture stirred at room temperature for 3 h to give 150 mg N-(N-benzyl-γ-L-glutamyl)-L-tyrosine.

ACCESSION NUMBER: 1985:422936 CAPLUS
DOCUMENT NUMBER: 103:22936
TITLE: Glutamic acid derivatives
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59130253	A2	19840726	JP 1983-252519	19831226
PRIORITY APPLN. INFO.:			GB 1983-11 A	19830104

IT 96991-98-9P 96991-99-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 96991-98-9 CAPLUS
CN D-Glutamic acid, N-benzoyl-N-(phenylmethyl)-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

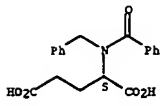


●2 Na

RN 96991-99-0 CAPLUS
CN L-Glutamic acid, N-benzoyl-N-(phenylmethyl)-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 38 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 Na

L25 ANSWER 39 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

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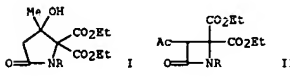
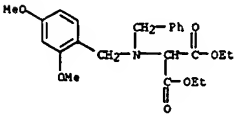


AB Acylation of RNHCH(CO2Et)2 [R = Ph, 4-MeOC6H4, PhCH2, 2,4-(MeO)2C6H3CH2] with diketene furnished the ring tautomers I of the expected acetoacetyl derivs. MeCOCH2CONRCH(CO2Et)2. By treatment with iodine and NaOEt, I are smoothly converted into the β-lactam derivs. II. Deethoxycarbonylation of the ethylene ketals of II furnishes mixts. of the diastereomeric monoesters. The ethoxycarbonyl groups of the trans esters are more reactive than those of the cis isomers. This permits, under appropriate conditions, selective alkaline hydrolysis and NaBH4 reduction of the trans esters in the presence of the cis esters. Reduction of a cis ester under more forceful conditions furnishes the trans hydroxymethyl derivative

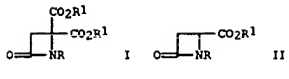
ACCESSION NUMBER: 1985:406095 CAPLUS
DOCUMENT NUMBER: 103:6095
TITLE: Simple and condensed β-lactams - I. The application of diketene in β-lactam synthesis. The synthesis and functional group manipulations of diethyl 3-acetyl-4-oxoazetidine-2,2,-dicarboxylates
AUTHOR(S): Simig, Gyula; Doleschall, Gabor; Hornyak, Gyula; Fetter, Jozsef; Lempert, Karoly; Nyitrai, Jozsef; Huszthy, Peter; Gizur, Tibor; Kajtar-Peredy, Maria
CORPORATE SOURCE: Res. Group Alkaloid Chem., Hung. Acad. Sci., Budapest, H-1521, Hung.
SOURCE: Tetrahedron (1985), 41(2), 479-84
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:6095

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 40 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

GI



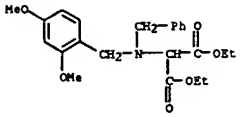
AB The malonates RNHCH(CO2R1)2 (R = CHPh2, alkoxyphenyl, alkoxybenzyl; R1 = C1-4 alkyl) were acylated to give R2CH2CONRCH(CO2R1)2 (R2 = halo) which were cyclized in presence of an acid acceptor, preferably a tertiary amine, to give I. I were treated with NaCl in pyridine or aqueous Me2SO, to give II. Thus, 89.6 g BrCH(CO2Et)2 was mixed with 175 g 2,4-(MeO)2C6H3CH2NHCH2Ph, to yield 81% 2,4-(MeO)2C6H3CH2N(CH2Ph)CH(CO2Et)2. The product was hydrogenolyzed to yield 97% 2,4-(MeO)2C6H3CH2NHCH(CO2Et)2. The product (47 g) was refluxed with 13.8 mL ClCH2COCl in 200 mL C6H6 to give 66% 2,4-(MeO)2C6H3CH2N(COCH2Cl)CH(CO2Et)2, which upon refluxing with Et3N in C6H6 gave 89% I [R = 2,4-(MeO)2C6H3CH2, R1 = Et]. The product (66.2 g) was stirred with 12.7 g NaCl, in 70 mL Me2SO and 6.5 g water, to give, after workup, 90% II [R = 2,4-(MeO)2C6H3CH2, R1 = Et].

ACCESSION NUMBER: 1985:61998 CAPLUS
DOCUMENT NUMBER: 102:61998
TITLE: Azetidinonecarboxylic acid esters
INVENTOR(S): Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Gyula; Visky, Gyorgy, Mrs.; Barta Szalai, Gizella
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.; Biogal Gyogyszergyar
SOURCE: Hung. Teljes, 29 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

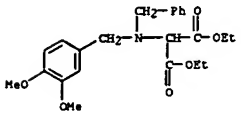
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 32344	O	19840730	HU 1982-3768	19821124
PRIORITY APPLN. INFO.:			HU 1982-3768	19821124

IT 83304-61-4P 94271-49-5P 94271-55-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)

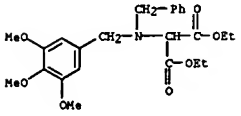
L25 ANSWER 40 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



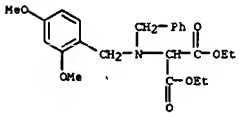
RN 94271-49-5 CAPLUS
CN Propanedioic acid, [[(3,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



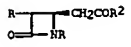
RN 94271-55-3 CAPLUS
CN Propanedioic acid, [(phenylmethyl)[(3,4,5-trimethoxyphenyl)methyl]amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 41 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 41 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB The azetidinoneoxobutanoates I (R = H; R1 = H, C1-4 alkyl; R2 = CN2CO2R3; R3 = substituted benzyl) were prepared from the azetidinoneacetates I (R = Ph, alkoxybenzyl; R2 = OH) by reaction with a malonic acid monoester salt, to give I (R2 = CH2CO2R3), which were treated with azide, in the presence of a tertiary amine, and deblocked at R to give I (R2 = CN2CO2R3). Thus, a mixture of 2.23 g I [R = 2,4-(MeO)2C6H3CH2, R1 = H, R2 = OH] (preparation given), 1.45 g 98% carbonyldiimidazole and 30 mL anhydrous THF, was treated with 2.2 g Mg p-nitrobenzyl malonate, to give 2.91 g I [R = , 2,4-(MeO)2C6H3CH2, R1 = H, R2 = CH2CO2CH2C6H4NO2-4]. The product (2.28 g) in 15 mL MeCN was treated with 0.69 mL Et3N and 0.99 g 4-MeC6H4SO2N3, to give 2.11 g I [R = 2,4-(MeO)2C6H3CH2, R1 = H, R2 = CN2CO2CH2C6H4NO2-4]. Refluxing 2.41 g of this compound with 5.4 g K2S2O8, 7.2 g NaZHPO4, 30 mL MeCN and 18 mL H2O, for 10 h, gave, after workup, 0.32 g I (R = R1 = H, R2 = CN2CO2CH2C6H4NO2-4].

ACCESSION NUMBER: 1985:45703 CAPLUS
DOCUMENT NUMBER: 102:45703
TITLE: Azetidinoneoxobutanoic acid derivatives
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.; Biogal Gyogyszergyar
SOURCE: Hung. Teljes, 28 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 32341	0	19840730	HU 1982-3562	19821105

PRIORITY APPLN. INFO.: HU 1982-3562 19821105

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 42 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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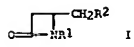


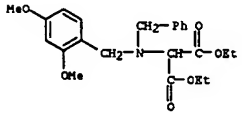
AB Reaction of an azetidinone derivative I (R = halogen; R1 = Ph, methoxybenzyl); with an alkali metal cyanide, yields the cyanomethylazetidinone I (R = cyano). Thus, a mixture of 1.2 g I [R = iodo, R1 = 2,4-(MeO)2C6H3CH2] (preparation given), 0.35 g NaCN, and 5 mL DMF, was stirred for 48 h, diluted with 30 mL H2O, and extracted with Et2O, to give 0.6 g I [R = cyano, R1 = 2,4-(MeO)2C6H3CH2].

ACCESSION NUMBER: 1985:45702 CAPLUS
DOCUMENT NUMBER: 102:45702
TITLE: Cyanomethylazetidinone derivatives
INVENTOR(S): Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Gyula; Visky, Gyorgy, Mrs.; Barta Szalai, Gizella
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.; Biogal Gyogyszergyar
SOURCE: Hung. Teljes, 14 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 32343	0	19840730	HU 1982-3564	19800915

PRIORITY APPLN. INFO.: HU 1982-3564 19800915

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 43 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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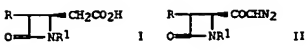


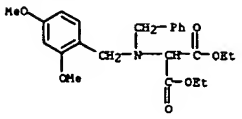
AB The azetidinones I (R = H, C1-4 alkyl, R1 = H) were prepared from II by way of I (R1 = protective group, such as alkoxybenzyl). Thus, 13.2 g I (R = H, R1 = 2,4-(MeO)2C6H3CH2) (preparation given) in 150 mL anhydrous THF, was treated with 7.3 mL Et3N and 5 mL ClCO2Et, followed by cooling to -15°, filtration under Ar, and treatment with 22.5 g CH2N2 in 230 mL Et2O, to give, after workup, 10.5 g II [R = H, R1 = 2,4-(MeO)2C6H3CH2]. The product (2 g) in 100 mL THF and 50 mL H2O was irradiated with a Hg lamp, under Ar, for 4 h, followed by concentration to 120 mL, addition of 2.8 mL 10% NaOH, 3 washings with 20 mL CH2Cl2, pH adjustment of the aqueous phase to 2 with HCl, 3 extraction with CH2Cl2 and drying and evaporation of the organic phase, to give 1.63 g I [R = H, R1 = 2,4-(MeO)2C6H3CH2]. The product (2.79 g) in 25 mL CH2Cl2 was treated with 2.04 g Ph2CN2, to give, after workup, 1.7 g benzhydryl ester, which upon hydrogenolysis, gave I (R = R1 = H) quant.

ACCESSION NUMBER: 1985:45701 CAPLUS
DOCUMENT NUMBER: 102:45701
TITLE: Azetidinoneacetic acid derivatives
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.; Biogal Gyogyszergyar
SOURCE: Hung. Teljes, 32 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 32340	O	19840730	HU 1982-3561	19821105
HU 186986	B	19851028		
PRIORITY APPLN. INFO.:			HU 1982-3561	19821105

OTHER SOURCE(S): CASREACT 102:45701
IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 43 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 44 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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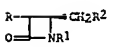
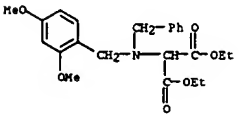


AB The hydroxyethylazetidinone derivs. I (R = H, C1-4 alkyl; R1 = H; R2 = CH2OH) were prepared from thioesters I (R1 = Ph, alkoxybenzyl; R2 = COSR3; R3 = aryl) (prepared from the corresponding carboxylic acids or amides by known methods) by removal of the protective group and reduction Thus, 2.09 I [R = H, R1 = 2,4-(MeO)2C6H3CH2, R2 = COSPh] (preparation given) in 30 mL MeCN and 20 mL H2O was boiled for 4 h with 3.05 g K2S2O8 and 4.01 g Na2HPO4, followed by further addition of 3.05 g K2S2O8 and 4.01 g Na2HPO4 and boiling for 2 more hours, to give 0.66 g I (R = R1 = H, R2 = COSPh). The product (0.44 g) in 5 mL MeOH was stirred for 4 h with 0.16 g NaBH4, to give, after workup, 0.156 g I (R = R1 = H, R2 = CH2OH).

ACCESSION NUMBER: 1985:45700 CAPLUS
DOCUMENT NUMBER: 102:45700
TITLE: Hydroxyethylazetidinone derivatives
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.; Biogal Gyogyszergyar
SOURCE: Hung. Teljes, 19 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

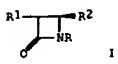
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 32342	O	19840730	HU 1982-3563	19821105
HU 186987	B	19851028		
PRIORITY APPLN. INFO.:			HU 1982-3563	19821105

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 44 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L25 ANSWER 45 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Azetidinones I (R = protective group; R1 = H, alkyl; R2 = CH2CO2H, COCHN2, CO2H) were prepared as intermediates for thienamycin and PS-5. Thus 2,4-(MeO)2C6H3CHO was reductively aminated with PhCH2NH2 and treated with BrCH(CO2Et)2 to give 2,4-(MeO)2C6H3CH2N(CH2Ph)CH(CO2Et)2, which was debenzylated and treated with ClCOCH2Cl to give 2,4-(MeO)2C6H3CH2N(COCH2Cl)CH(CO2Et)2 (II). Cyclization of II with base and decarboxylation gave I [R = 2,4-(MeO)2C6H3CH2, R1 = H, R2 = CO2Et] which was hydrolyzed to the acid and treated with ClCO2Et and CH2N2 to give I [R = 2,4-(MeO)2C6H3CH2, R1 = H, R2 = COCHN2] (III). Photolysis of III in aqueous
THF gave I [R = 2,4-(MeO)2C6H3CH2, R1 = H, R2 = CH2CO2H].

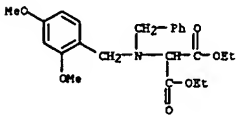
ACCESSION NUMBER: 1984:530506 CAPLUS
DOCUMENT NUMBER: 101:130506
TITLE: Heterocyclic acetic acid derivatives
INVENTOR(S): Lempert, Karoly; Bertha, Ferenc; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.; Biogal Gyogyszergyar
SOURCE: Ger. Offen., 29 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3339651	A1	19840510	DE 1983-3339651	19831102
HU 34157	O	19850228	HU 1982-3560	19821105
HU 187424	B	19860128		
AT 8303678	A	19870115	AT 1983-3678	19831017
AT 383802	B	19870825		
GB 2131797	A1	19840627	GB 1983-28090	19831020
GB 2131797	B2	19860508		
ZA 8307971	A	19841224	ZA 1983-7971	19831026
BE 898112	A1	19840430	BE 1983-10897	19831028
FI 8303956	A	19840506	FI 1983-3956	19831028
FR 2535716	A1	19840511	FR 1983-17390	19831102
FR 2535716	B1	19870731		
JP 59139356	A2	19840810	JP 1983-205007	19831102
SE 8306048	A	19840506	SE 1983-6048	19831103
SE 453496	B	19880208		
SE 453496	C	19880519		
AU 8321004	A1	19840510	AU 1983-21004	19831104
AU 561697	B2	19870514		
NL 8303802	A	19840601	NL 1983-3802	19831104
ES 527042	A1	19850416	ES 1983-527042	19831104
CH 655928	A	19860530	CH 1983-5963	19831104

L25 ANSWER 45 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PL 139670	B1	19870228	PL 1983-250736		19831104
PL 141309	B1	19870731	PL 1983-244426		19831104
US 4587049	A	19860506	US 1983-549681		19831107
AT 8602286	A	19870315	AT 1986-2286		19860825
AT 384215	B	19871012			
PRIORITY APPLN. INFO.:			HU 1982-3560	A	19821105
			AT 1983-3678	A	19831017

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 46 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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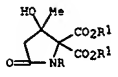


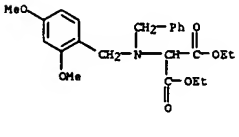
II

AB The aminomalonates MeCOCH2CONRCH(CO2R1)2 (I) or II [R = PhCH2, Ph, 4-MeOC6H4, 4-MeOC6H4CH2, 3,4-(MeO)2C6H3, 3,4-(MeO)2C6H3CH2, etc.; R1 = Me, Et, etc.] are prepared by the reaction of RNHCH(CO2R1)2 with diketene in an organic solvent. Thus, 2.52 g PhCH2NHCH(CO2Et)2 (III) in 10 mL HOAc was refluxed with 0.8 g diketene for 2 h, to give 3.06 g I and II (R = CH2Ph, R1 = Et). III was obtained by aminating BrCH(CO2Et)2. I and II are intermediates in the synthesis of thienamycin.

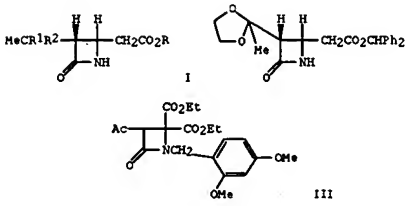
ACCESSION NUMBER: 1984:6195 CAPLUS
DOCUMENT NUMBER: 100:6195
TITLE: Acyl derivatives of substituted aminomalonates
INVENTOR(S): Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Gyula; Visky, Gyorgy, Mrs.; Barta, Szalai Gizella, Mrs.
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.
SOURCE: Hung. Teljes, 14 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 25070	O	19830530	HU 1982-1283	19800915
PRIORITY APPLN. INFO.:			HU 1982-1283	19800915

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with diketene)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 47 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I II III

AB Azetidinoneacetates I (R = selectively removable esterifying group; R1R2 = removable CO group-protecting substituents), useful as synthons for thienamycin and analogs, were prepared by 2 methods. Thus, benzhydryl azetidinoneacetate II was prepared in 12 steps from 2,4-(MeO)2C6H3CHO and PhCH2NH2 via key intermediate III.

ACCESSION NUMBER: 1983:612339 CAPLUS
DOCUMENT NUMBER: 99:212339
TITLE: Heterocyclic acetic acid derivatives
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly; Gizur, Tibor; Harsanyi, Kalman; et al.
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3248675	A1	19830707	DE 1982-3248675	19821230
HU 27705	O	19831028	HU 1981-4014	19811230
HU 185081	B	19841128		
AT 8204533	A	19850415	AT 1982-4533	19821214
AT 379148	B	19851125		
JP 58118563	A2	19830714	JP 1982-234851	19821227
BE 895490	A1	19830628	BE 1982-10677	19821228
FR 2518995	A1	19830701	FR 1982-21973	19821229
FR 2518995	B1	19860418		
SE 8207477	A	19830701	SE 1982-7477	19821229
SE 453085	B	19880111		
SE 453085	C	19880421		
CH 660186	A	19870331	CH 1982-7604	19821229
FI 8204517	A	19830701	FI 1982-4517	19821230
AU 8291970	A1	19830707	AU 1982-91970	19821230
AU 557596	B2	19861224		

L25 ANSWER 47 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

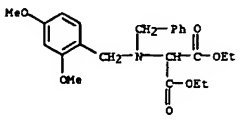
NL 8205070	A	19830718	NL 1982-5070		19821230
GB 2113215	A1	19830803	GB 1982-36911		19821230
GB 2113215	B2	19851002			
ZA 8209595	A	19831026	ZA 1982-9595		19821230
ES 518722	A1	19840616	ES 1982-518722		19821230
CA 1199644	A1	19860121	CA 1982-418741		19821230
PL 137737	B1	19860731	PL 1982-239882		19821230

PRIORITY APPLN. INFO.: HU 1981-4014 A 19811230

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and de-N-benzylation of)

RN 83304-61-4 CAPLUS

CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 48 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

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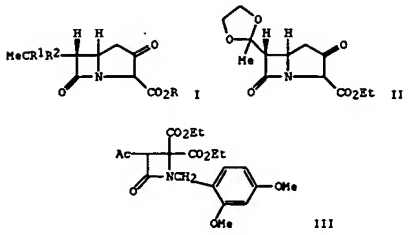


AB Azabicycloheptanes I (R = C1-5 alkyl, substituted PhCH2; R1R2 = removable CO group-protecting substituent), useful as synthons for antibiotics, including thienamycin and analogs, were prepared Thus, II was prepared in 14 steps from 2,4-(MeO)2C6H3CHO and PhCH2NH2 via the key intermediate azetidinonedicarboxylate III.

ACCESSION NUMBER: 1983:612338 CAPLUS
DOCUMENT NUMBER: 99:212338
TITLE: Heterocyclic bicyclic compounds
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Huszthy, Peter; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly; Gizur, Tibor; et al.
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3248677	A1	19830707	DE 1982-3248677	19821230
HU 29975	O	19840228	HU 1981-4016	19811230
HU 185492	B	19850228		
AT 8204535	A	19840315	AT 1982-4535	19821214
AT 376218	B	19841025		
BE 895491	A1	19830628	BE 1982-10678	19821228
JP 58118589	A2	19830714	JP 1982-235160	19821228
FR 2519003	A1	19830701	FR 1982-21979	19821229
SE 8207479	A	19830701	SE 1982-7479	19821229
FI 8204521	A	19830701	FI 1982-4521	19821230
AU 8291972	A1	19830707	AU 1982-91972	19821230
NL 8205066	A	19830718	NL 1982-5066	19821230
GB 2114123	A1	19830817	GB 1982-36913	19821230

L25 ANSWER 48 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

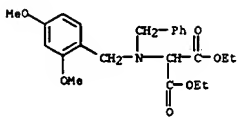
GB 2114123	B2	19851002			
ZA 8209599	A	19831026	ZA 1982-9599		19821230
ES 518724	A1	19840716	ES 1982-518724		19821230
CA 1191854	A1	19850813	CA 1982-418743		19821230

PRIORITY APPLN. INFO.: HU 1981-4016 A 19811230

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)

RN 83304-61-4 CAPLUS

CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 49 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN

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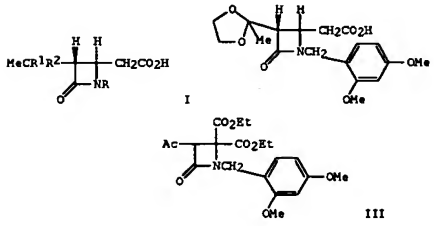


AB Azetidinoneacetic acids I (R = removable amide-protecting group; R1R2 = a removable carbonyl group-protecting substituent), useful as intermediates for thienamycin and its analogs, were prepared Thus, azetidinoneacetic acid II was prepared in 10 steps from 2,4-(MeO)2C6H3CHO and PhCH2NH2 via the key intermediate acetylazetidinedicarboxylate III.

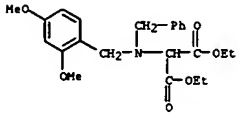
ACCESSION NUMBER: 1983:612337 CAPLUS
DOCUMENT NUMBER: 99:212337
TITLE: Heterocyclic acetic acid derivatives
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Huszthy, Peter; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly; Gizur, Tibor; et al.
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.
SOURCE: Ger. Offen., 29 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3248672	A1	19830707	DE 1982-3248672	19821230
HU 25933	O	19830829	HU 1981-4012	19811230
HU 184495	B	19840828		
AT 8204507	A	19850415	AT 1982-4507	19821210
AT 379147	B	19851125		
JP 58118566	A2	19830714	JP 1982-235006	19821224
BE 895489	A1	19830628	BE 1982-10676	19821228
FR 2518998	A1	19830701	FR 1982-21978	19821229
FR 2518998	B1	19860228		
SE 8207475	A	19830701	SE 1982-7475	19821229
SE 453083	B	19880111		
SE 453083	C	19880421		
CH 655926	A	19860530	CH 1982-7602	19821229
FI 8204516	A	19830701	FI 1982-4516	19821230

L25 ANSWER 49 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AU 8291968	A1	19830707	AU 1982-91968		19821230
AU 554739	B2	19860904			
NL 8205064	A	19830718	NL 1982-5064		19821230
GB 2112393	A1	19830720	GB 1982-36917		19821230
GB 2112393	B2	19850814			
ZA 8209593	A	19831026	ZA 1982-9593		19821230
ES 518720	A1	19840616	ES 1982-518720		19821230
CA 1189865	A1	19850702	CA 1982-418739		19821230
PL 137593	B1	19860630	PL 1982-239885		19821230
PRIORITY APPLN. INFO.:			HU 1981-4012	A	19811230

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 50 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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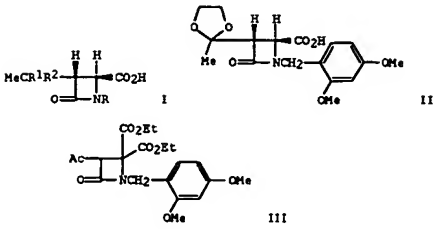


AB Azetidinones I (R = removable amide- protecting group; R1R2 = removable CO-group protecting substituents), useful as intermediates for broad-spectrum antibiotics, were prepared Thus, II was prepared in 8 steps from 2,4-(MeO)2C6H3CHO and PhCH2NH2 via the key intermediate acetylazetidinone III.

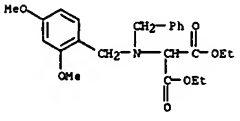
ACCESSION NUMBER: 1983:612336 CAPLUS
DOCUMENT NUMBER: 99:212336
TITLE: Heterocyclic carboxylic acids
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Huszthy, Peter; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly; Gizur, Tibor; et al.
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3248671	A1	19830707	DE 1982-3248671	19821230
HU 25934	O	19830829	HU 1981-4011	19811230
HU 184494	B	19840828		
AT 8204506	A	19850215	AT 1982-4506	19821210
AT 378769	B	19850925		
JP 58118565	A2	19830714	JP 1982-235005	19821224
BE 895493	A1	19830628	BE 1982-10680	19821228
FR 2518997	A1	19830701	FR 1982-21977	19821229
FR 2518997	B1	19850906		
SE 8207474	A	19830701	SE 1982-7474	19821229
SE 453082	B	19880111		
SE 453082	C	19880421		
CH 655927	A	19860530	CH 1982-7603	19821229
FI 8204515	A	19830701	FI 1982-4515	19821230

L25 ANSWER 50 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AU 8291967	A1	19830707	AU 1982-91967		19821230
AU 556905	B2	19861127			
NL 8205069	A	19830718	NL 1982-5069		19821230
GB 2112392	A1	19830720	GB 1982-36916		19821230
GB 2112392	B2	19850814			
ZA 8209592	A	19831026	ZA 1982-9592		19821230
ES 518719	A1	19850416	ES 1982-518719		19821230
CA 1189864	A1	19850702	CA 1982-418738		19821230
PL 137738	B1	19860731	PL 1982-239884		19821230
PRIORITY APPLN. INFO.:			HU 1981-4011	A	19811230

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 51 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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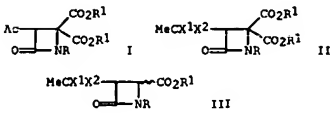


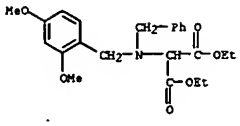
AB The azetidinone dicarboxylates I (R1 = C1-4 alkyl; R = methoxybenzyl) are treated with HOCH2CH2OH or HSCH2CH2OH, in the presence of BF3.Et2O or p-MeC6H4SO3H to give II [R and R1 as above, X1X2 = O(CH2)2O, S(CH2)2O]. II are converted into the azetidinone monocarboxylates III with alkali metal halides in pyridine or aqueous Me2SO. Thus, 25.5 g I [R = 2,4-(MeO)2C6H3CH2, R1 = Et], was kept with 6.8 mL HOCH2CH2OH and 17.9 g BF3.Et2O in 100 mL anhydrous dioxane for 3 days to give 23.6 g II [R,R1 as above, X1X2 = O(CH2)2O]. The product (20.9 g) was heated with 3.24 g NaCl in 21 mL Me2SO at 1.64 mL H2O at 170-180°, for 8 h to give 13.3 g III [R,R1,X1X2 as above], which is an intermediate in thienamycin synthesis.

ACCESSION NUMBER: 1983:575577 CAPLUS
DOCUMENT NUMBER: 99:175577
TITLE: Azetidinone derivatives with a protected C-acetyl group
INVENTOR(S): Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Gyula; Visky, Gyorgy, Mrs.; Barta, Szalai Gizella, Mrs.
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.
SOURCE: Hung. Teljes, 16 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 25087	O	19830530	HU 1982-1728	19800915
CH 651547	A	19850930	CH 1982-12	19820104
PRIORITY APPLN. INFO.:			HU 1982-1728	19800915

IT 83304-61-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and hydrogenalysis of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 51 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 52 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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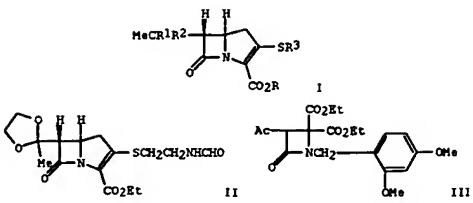


AB β-Lactams I [R = H, C1-5 alkyl, substituted PhCH2, alkali metal cation; R1, R2 = removable, CO group- protecting substituents; R3 = (un)substituted hydrocarbyl], β-lactamase inhibitors (no data), were prepared by 6 methods. Azabicycloheptene II was prepared in 16 steps from 2,4-(MeO)2C6H3CHO and PhCH2NH2 via the key intermediate azetidinone III.

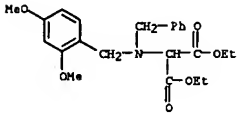
ACCESSION NUMBER: 1983:575466 CAPLUS
DOCUMENT NUMBER: 99:175466
TITLE: Bicyclic compounds
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly; Harsanyi, Kalman; Fekete, Gyorgy; et al.
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.
SOURCE: Ger. Offen., 48 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3248678	A1	19830707	DE 1982-3248678	19821230
HU 30030	O	19840228	HU 1981-4017	19811230
HU 185493	B	19850228		
AT 8204509	A	19840215	AT 1982-4509	19821210
AT 375944	B	19840925		
BE 895488	A1	19830628	BE 1982-10675	19821228
JP 58118588	A2	19830714	JP 1982-235159	19821228
FR 2519002	A1	19830701	FR 1982-21976	19821229
SE 8207480	A	19830701	SE 1982-7480	19821229
FI 8204518	A	19830701	FI 1982-4518	19821230
AU 8291973	A1	19830707	AU 1982-91973	19821230
NL 8205065	A	19830718	NL 1982-5065	19821230
GB 2112391	A1	19830720	GB 1982-36912	19821230
GB 2112391	B2	19851016		
ZA 8209600	A	19831026	ZA 1982-9600	19821230
ES 518725	A1	19840616	ES 1982-518725	19821230

L25 ANSWER 52 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CA 1192202	A1	19850820	CA 1982-418737	19821230
PRIORITY APPLN. INFO.:			HU 1981-4017 A	19811230

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 53 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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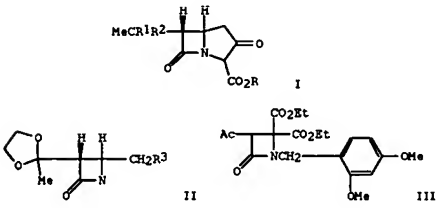


AB Lactams I [R = C1-5 alkyl, (un)substituted PhCH2; R1R2 = removable carbonyl group protective substituents], were prepared Azetidinone II (R3 = CO2H), prepared in 11 steps from 2,4-(MeO)2C6H3CHO and PhCH2NH2 via the key intermediate III, was treated with carbonyldiimidazole in THF, then with 4-O2NC6H4CH2CH(CO2)2Mg, hydrolyzed, and decarboxylated to give 62.1% II (R3 = COCH2CO2CH2C6H4NO2-4). Treatment with tosyl azide gave 63.4% II (R3 = COCN2CO2CH2C6H4NO2-4), which was cyclized with Ph2(OAc)4.2THF to give 84.6% I (R = 4-O2NC6H4CH2, R1R2 = OCH2CH2O).

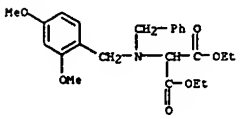
ACCESSION NUMBER: 1983:575465 CAPLUS
DOCUMENT NUMBER: 99:175465
TITLE: Bicyclic compounds
INVENTOR(S): Lempert, Karoly; Doleschall, Gabor; Fetter, Jozsef; Hornyak, Gyula; Nyitrai, Jozsef; Simig, Gyula; Zauer, Karoly; Harsanyi, Kalman; Fekete, Gyorgy; et al.
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.
SOURCE: Ger. Offen., 46 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3248676	A1	19830707	DE 1982-3248676	19821230
HU 30010	O	19840228	HU 1981-4015	19811230
HU 185491	B	19850228		
AT 8204508	A	19840615	AT 1982-4508	19821210
AT 376982	B	19850125		
BE 895492	A1	19830628	BE 1982-10679	19821228
JP 58118587	A2	19830714	JP 1982-235158	19821228
FR 2519001	A1	19830701	FR 1982-21975	19821229
FR 2519001	B1	19851025		
SE 8207478	A	19830701	SE 1982-7478	19821229
FI 8204520	A	19830701	FI 1982-4520	19821230
AU 8291971	A1	19830707	AU 1982-91971	19821230
NL 8205067	A	19830718	NL 1982-5067	19821230

L25 ANSWER 53 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GB 2114124	A1	19830817	GB 1982-36914		19821230
ZA 8209596	A	19831026	ZA 1982-9596		19821230
ES 518723	A1	19841216	ES 1982-518723		19821230
CA 1190931	A1	19850723	CA 1982-418742		19821230
PRIORITY APPLN. INFO.:			HU 1981-4015	A	19811230

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 54 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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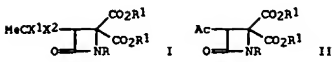


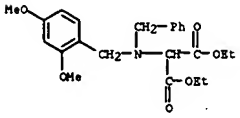
AB The title compds. I [R = methoxybenzyl, R1 = C1-6 alkyl; X1X2 = O(CH2)2O, O(CH2)2S] are prepared by the reaction of II with ethylene glycol or HSCH2CH2OH in the presence of BF3.Et2O. Thus, 0.5 g di-Et 3-acetyl-1-(2,4-dimethoxybenzyl)-4-oxo-2,2-azetidine-2,2-dicarboxylate (preparation given) was refluxed with 0.53 g BF3.Et2O and 0.29 g HSCH2CH2OH, in 3 mL anhydrous THF, for 4 h, to give 0.3 g I [R = 2,4-(MeO)2C6H3CH2, R1 = Et, X1X2 = C(CH2)2O] an intermediate in thienamycin synthesis.

ACCESSION NUMBER:	1983:539748 CAPLUS
DOCUMENT NUMBER:	99:139748
TITLE:	Azetidinone derivatives with a protected C-acetyl group
INVENTOR(S):	Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Cyula; Visky, Gyorgy, Mrs.; Barta, Szalai Gizella, Mrs.
PATENT ASSIGNEE(S):	Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.
SOURCE:	Hung. Teljes, 15 pp. CODEN: HUXXBU
DOCUMENT TYPE:	Patent
LANGUAGE:	Hungarian
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 25086	O	19830530	HU 1982-1633	19800915
PRIORITY APPLN. INFO.:			HU 1982-1633	19800915

IT 83304-61-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and hydrogenalysis of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 55 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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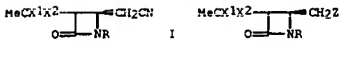


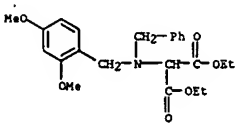
AB The title compds. I (R1 = methoxybenzyl, X1X2 = ethyleneketal or its thio analog) are prepared from II (Z = halo) by reaction with an alkali metal cyanide. Thus, 1 g trans-1-(2,4-dimethoxybenzyl)-3-(2-methyl-1,3-dioxan-2-yl)-4-iodomethyl-2-azetidinone (preparation given) was stirred with 0.25 g NaCN in 5 mL anhydrous DMF for 24 h to give 0.46 g I [R = 2,4-(MeO)2C6H3CH2, X1X2 = O(CH2)2O].

ACCESSION NUMBER:	1983:539747 CAPLUS
DOCUMENT NUMBER:	99:139747
TITLE:	Azetidinone derivatives containing protected C-acetyl and cyano groups
INVENTOR(S):	Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly
PATENT ASSIGNEE(S):	Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.
SOURCE:	Hung. Teljes, 26 pp. CODEN: HUXXBU
DOCUMENT TYPE:	Patent
LANGUAGE:	Hungarian
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 25069	O	19830530	HU 1980-1533	19800915
HU 183486	B	19840528		
PRIORITY APPLN. INFO.:			HU 1980-1533	19800915
OTHER SOURCE(S):		CASREACT 99:139747		

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 56 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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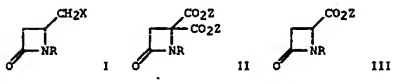


AB The azetidinones I [R = Ph, PhCH2, 2,4-(HO)2C6H3CH2, etc; X = HO, halo, or OSO2R2; R2 = C1-4 alkyl or tolyl] were prepared from the corresponding azetidinedicarboxylates II (Z = C1-4 alkyl). II, prepared by cyclization of the corresponding acetylaminomalonates, were treated with alkali metal halides, in pyridine or Me2SO, to give the corresponding monocarboxylates III, which were converted into I by reduction with NaBH4. Thus, 66.2 g di-Et 1-(2,4-dimethoxybenzyl)-4-oxo-2,2-azetidinedicarboxylate [prepared from di-Et N-(2,4-dimethoxybenzyl)-N-(chloroacetyl)aminomalonate] was heated with 70 mL Me2SO, 12.7 g NaCl and 6.5 mL water, at 170-180° for 6 h, to give 47.6 g Et 1-(2,4-dimethoxybenzyl)-4-oxo-2-azetidinecarboxylate. The monocarboxylate (47.6 g) in 200 mL MeOH was reduced with 12.4 g NaBH4 to give 39.1 g 1-(2,4-dimethoxybenzyl)-4-hydroxymethyl-2-azetidinone. The azetidinone was mesylated to give 1-(2,4-dimethoxybenzyl)-4-(methylsulfonyloxymethyl)-2-azetidinone, which with K2S2O8 gave 4-(methylsulfonyloxymethyl)-2-azetidinone, which was further converted into 4-iodomethyl-2-azetidinone. I are intermediates in the manufacture of thienamycin and related compds.

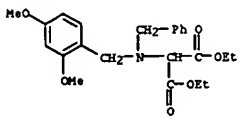
ACCESSION NUMBER:	1983:539745 CAPLUS
DOCUMENT NUMBER:	99:139745
TITLE:	Azetidinone derivatives
INVENTOR(S):	Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Cyula; Visky, Mrs. Gyurgy; Barta, Szalai Gizella
PATENT ASSIGNEE(S):	Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.
SOURCE:	Hung. Teljes, 35 pp. CODEN: HUXXBU
DOCUMENT TYPE:	Patent
LANGUAGE:	Hungarian
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 24293	O	19830128	HU 1980-2264	19800915
HU 181742	B	19831128		
US 4435322	A	19840306	US 1981-301191	19810911
AT 8103963	A	19840115	AT 1981-3963	19810914
AT 375640	B	19840827		
GB 2112772	A1	19830727	GB 1982-164	19820105
CA 1175847	A1	19841009	CA 1982-393595	19820105
PRIORITY APPLN. INFO.:			HU 1980-2264	A 19800915
OTHER SOURCE(S):		CASREACT 99:139745		

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

L25 ANSWER 56 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 57 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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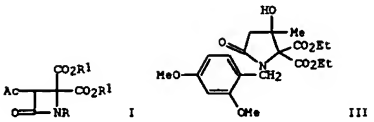
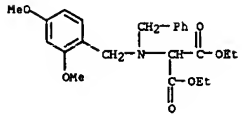


AB Acetylazetidinedicarboxylates I (R = protective group, aryl; R1 = alkyl) were prepared for use as antihypoxics (no data) and intermediates for thianamycins. Condensing 2,4-(MeO)2C6H3CHO with PhCH2NH2 in PhMe with 4-MeC6H4SO3H and reducing the product with NaBH4 gave 67% 2,4-(MeO)2C6H3CH2NHCH2Ph which was alkylated with BrCH(CO2Et)2 to give 81% 2,4-(MeO)2C6H3CH2N(CH2Ph)CH(CO2Et)2 (II). Hydrogenolysis of II gave 97% 2,4-(MeO)2C6H3CH2NHCH(CO2Et)2 which cyclized with diketene to give 60% III and (or) its tautomer. III treated with NaOEt-iodine in the presence of NaHSO3 in aqueous NaCl gave 54% I [R = 2,4-(MeO)2C6H3CH2, R1 = Et].
ACCESSION NUMBER: 1983:453467 CAPLUS
DOCUMENT NUMBER: 99:53467
TITLE: Heterocyclic compounds containing a C-acetyl group
INVENTOR(S): Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Gyula; Visky, Zsuzsanna; Barta, Gizella
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.
SOURCE: S. African, 23 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 8200042	A	19821124	ZA 1982-42	19820105
FR 2519339	A1	19830708	FR 1982-65	19820105
FR 2519339	B1	19860814		
DE 3200129	A1	19830714	DE 1982-3200129	19820105
PRIORITY APPLN. INFO.:			ZA 1982-42	19820105

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 57 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 58 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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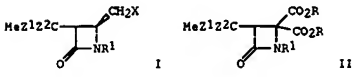
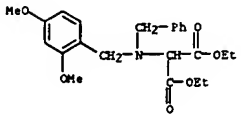


AB The acetyl-protected azetidinones I (R1 = H or methoxybenzyl; X = OH or OSO2R2; R2 = alkyl, Ph, naphthyl, etc.; Z1Z2 = ethylene ketal or thio analog) were prepared from the acetylazetidinedicarboxylates II (R1 = methoxybenzyl; R = Me or Et) by reaction with ethylene glycol or its thio analog, to give the acetyl-protected II, which when treated with an alkali metal halide in pyridine or Me2SO gave the corresponding monocarboxylates, as cis-trans-mixts. Reduction of the monocarboxylates with alkali metal tetrahydroborate gave trans-I. I are intermediates in thienamycin synthesis. Thus, 0.5 g di-Et 3-acetyl-1-(2,4-dimethoxybenzyl)-4-oxo-2,2-azetidinedicarboxylate (preparation given) was refluxed for 25 h with 0.2 mL ethylene glycol in toluene containing p-MeC6H4SO3H to give 0.11 g di-Et 1-(2,4-dimethoxybenzyl)-3-(2-methyl-1,3-dioxolan-2-yl)-4-oxo-2,2-azetidinedicarboxylate, which was heated with NaCl in Me2SO to give 75% of an isomeric mixture of Et
1-(2,4-dimethoxybenzyl)-3-(2-methyl-1,3-dioxolan-2-yl)-4-oxo-2-azetidinecarboxylate. The isomer mixture (13.3 g) in MeOH was treated with 2.66 g NaBH4 to give 5.15 g I (R1 = 2,4-dimethoxybenzyl, X = OH, Z1Z2 = OCH2CH2O).
ACCESSION NUMBER: 1983:197993 CAPLUS
DOCUMENT NUMBER: 98:197993
TITLE: Azetidinone derivatives containing protected C-acetyl groups
INVENTOR(S): Lempert, Karoly; Harsinyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Gyula; Visky, Mrs. Gyorgy; Bartone, Szalai Gizella
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.
SOURCE: Hung. Teljes, 37 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 22925	0	19820728	HU 1980-2263	19800915
HU 180608	B	19830328		
US 4434099	A	19840228	US 1981-301883	19810911
AT 8103962	A	19831215	AT 1981-3962	19810914
AT 375339	B	19840725		
AT 8103961	A	19840415	AT 1981-3961	19810914
AT 376419	B	19841126		
US 4541955	A	19850917	US 1983-458264	19830117
PRIORITY APPLN. INFO.:			HU 1980-2263 A	19800915
			US 1981-301883 A2	19810911

IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L25 ANSWER 58 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and hydrogenolysis of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



L25 ANSWER 59 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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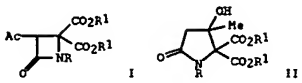


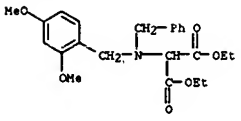
AB The azetidine derivs. I [R = benzyl, 2,4-dimethoxybenzyl (Q), Ph, 4-methoxyphenyl, etc.; R1 = Me, Et, etc.] were prepared from the malonates RNHCH(CO2R1)2 by cyclocondensation with diketene. The intermediate pyrrolidines II and/or their ring-chain tautomers MeCOCH2CONRCH(CO2R1)2 were treated with alkali metal alcoholates and iodide to give I. Thus, 39.6 g di-Et (2,4-dimethoxybenzylamino)malonate (preparation given) in HOAc was refluxed with 12.3 g diketene to give 29.6 g II (R = Q, R1 = Et) and/or its open ring tautomer. The product (20.5 g) in Et2O was treated with 3.45 g Na in anhydrous EtOH and 12.7 g iodine in Et2O, followed by the addition of 5 g NaHSO3 in saturated NaCl solution and workup, to give 10.9 g I (R = Q, R1 = Et). I are used as intermediates in the manufacture of thienamycin.

ACCESSION NUMBER: 1983:197992 CAPLUS
DOCUMENT NUMBER: 98:197992
TITLE: C-Acetylazetidinone derivatives
INVENTOR(S): Lempert, Karoly; Harsanyi, Kalman; Doleschall, Gabor; Hornyak, Gyula; Nyitrai, Jozsef; Zauer, Karoly; Fetter, Jozsef; Simig, Gyula; Visky, Mrs. Gyorgy; Bartane, Szalai Gizella
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt., Hung.
SOURCE: Hung. Teljes, 21 pp.
CODEN: HUXXBU
DOCUMENT TYPE: Patent
LANGUAGE: Hungarian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 23230	O	19820830	HU 1980-2262	19800915
HU 181186	B	19830628		
US 4432901	A	19840221	US 1981-301884	19810911
AT 8103960	A	19831015	AT 1981-3960	19810914
AT 374786	B	19840525		
JP 58118562	A2	19830714	JP 1982-123	19820105

PRIORITY APPLN. INFO.: HU 1980-2262 A 19800915
OTHER SOURCE(S): CASREACT 98:197992
IT 83304-61-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with diketene)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)

L25 ANSWER 59 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L25 ANSWER 60 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
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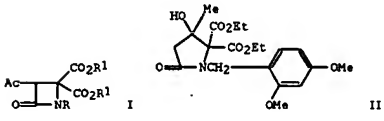
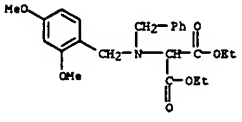


AB β-Lactams I (R = protective group, aryl; R1 = alkyl) were prepared Thus 2,4-(MeO)2C6H3CHO was reductively aminated with PhCH2NH2 and the resulting 2,4-(MeO)2C6H3CH2NHCH2Ph treated with BrCH(CO2Et)2, followed by debenzylation, to give 2,4-(MeO)2C6H3CH2NHCH(CO2Et)2 which was cyclized with diketene to give II or its tautomer AcCH2CON[CH(CO2Et)2]CH2C6H3(OMe)2-2,4. Ring contraction of II with NaOEt-iodine gave I [R = CH2C6H3(OMe)2-2,4, R1 = Et]. I are intermediates for thienamycins.

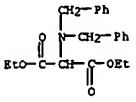
ACCESSION NUMBER: 1982:562686 CAPLUS
DOCUMENT NUMBER: 97:162686
TITLE: Heterocyclic compounds containing a C-acetyl group
PATENT ASSIGNEE(S): Richter, Gedeon, Vegyeszeti Gyar Rt. , Hung.
SOURCE: Belg., 19 pp.
CODEN: BEXXAL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 891687	A1	19820430	BE 1982-206983	19820105
NL 8200014	A	19830801	NL 1982-14	19820105

PRIORITY APPLN. INFO.: BE 1982-206983 19820105
IT 83304-61-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of)
RN 83304-61-4 CAPLUS
CN Propanedioic acid, [[(2,4-dimethoxyphenyl)methyl](phenylmethyl)amino]-, diethyl ester (9CI) (CA INDEX NAME)



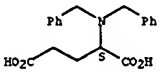
L25 ANSWER 61 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
GI For diagram(s), see printed CA Issue.
AB N-substituted aminomalonates react with KCNO or isocyanates to give directly 1-substituted (I, R = Me, PhCH2, R1 = H) or 1,3-disubstituted 5-hydantoincarboxylates (II, R = Me PhCH2, Ph; R1 = Me, PhCH2, Ph). The initial products, i.e., the hitherto unknown N-substituted or N,N'-disubstituted ureidomalonates R1NHCONRCH(CO2Et)2, cyclize spontaneously on heating under the exptl. conditions. This behavior is in contrast to that of ureidomalonate and N'-substituted ureidomalonates, which require base catalysis for cyclization. The benzylic protons of 1-benzyl-5-hydantoincarboxylates display chemical shift nonequivalence.
ACCESSION NUMBER: 1975:606160 CAPLUS
DOCUMENT NUMBER: 83:206160
TITLE: Synthesis of 1-substituted and 1,3-disubstituted 5-hydantoincarboxylates
AUTHOR(S): Li, J. P.
CORPORATE SOURCE: Res. Lab., Aldrich Chem. Co., Inc., Milwaukee, WI, USA
SOURCE: Journal of Organic Chemistry (1975), 40(23), 3414-17
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 83:206160
IT 56599-02-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and debenzylation of)
RN 56599-02-1 CAPLUS
CN Propanedioic acid, [bis(phenylmethyl)amino]-, diethyl ester, hydrochloride (9CI) (CA INDEX NAME)



● HCl

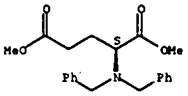
L25 ANSWER 62 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB The reactions of cyclic carboxyanhydrides and substituted cyclic carboxyanhydrides with Et sodiocyanoacetate were studied. The resulting dicarboxylic acid esters failed to undergo Dieckmann cyclization.
ACCESSION NUMBER: 1974:47775 CAPLUS
DOCUMENT NUMBER: 80:47775
TITLE: Reaction of cyclic acid anhydrides with ethyl cyanoacetate
AUTHOR(S): Smissman, Edward E.; Wachter, Michael; Barfknecht, Charles; Gabbard, R. Bruce
CORPORATE SOURCE: Sch. Pharm., Univ. Kansas, Lawrence, KS, USA
SOURCE: Journal of Pharmaceutical Sciences (1973), 62(11), 1772-5
CODEN: JPMSAE; ISSN: 0022-3549
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 14464-18-7P 51453-91-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14464-18-7 CAPLUS
CN L-Glutamic acid, N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



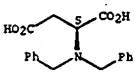
RN 51453-91-9 CAPLUS
CN L-Glutamic acid, N,N-bis(phenylmethyl)-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



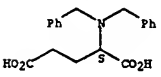
L25 ANSWER 63 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN
AB cf. CA 63: 7095g. Synthesis of N-allyl, N-2-(methylthio)ethyl-, and N-benzylamino acids was reported. In an example, 3.5 g. allyl bromide is added to a mixture of 4.08 g. DL-tryptophan, 1.2 g. NaOH, and 35 ml. 50% EtOH and the whole refluxed 2 hrs., concentrated in vacuo, and adjusted to pH 6.0 by dilute HCl to give 5.2 g. N-allyl-DL-tryptophan, m. 247° (EtOH). Similarly prepared are the following N-allylamino acids [name of amino acid, m.p. (°stands for sublimation point), and % yield given]: L-valine, °265°, 47; L-leucine, 268°, 46; L-isoleucine, °265°, 54; D-threonine, 257°, 56; L-phenylalanine, 274°, 58; L-tyrosine, 245°, 56; L-cystine, 224°, 52. Also prepared the following N-2-(methylthio)ethylamino acids (name of amino acid, m.p., and % yield given): L-leucine, 275°, 44; L-phenylalanine, 261°, 48; DL-tryptophan, 241°, 54; L-cystine, 221°, 80; DL-methionine, 243°, 45; L-arginine, (monopicrate m. 218°), .apprx.20. Further are prepared the following N-benzylamino acids (name of amino acid, m.p., and % yield given) (°stands for the N,N-dibenzyl derivs.): L-leucine, 226°, 63; °L-aspartic acid, 175°, 31; °L-glutamic acid, 215°, -; N-methyl-L-phenylalanine, 211-12°, 89; DL-tryptophan, 257°, 30; L-cystine, 224°, 90; DL-methionine, 226°, 37.
ACCESSION NUMBER: 1967:411712 CAPLUS
DOCUMENT NUMBER: 67:11712
TITLE: Substituted amino acids. VI
AUTHOR(S): Kanao, Seizo; Sakayori, Yasuko
CORPORATE SOURCE: Ajinomoto Co., Inc., Tokyo, Japan
SOURCE: Yakugaku Zasshi (1966), 86(11), 1105-8
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
IT 14464-17-6P 14464-18-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 14464-17-6 CAPLUS
CN L-Aspartic acid, N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 14464-18-7 CAPLUS
CN L-Glutamic acid, N,N-bis(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 63 OF 63 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

=>
=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	326.52	1371.42

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-45.99	-56.94

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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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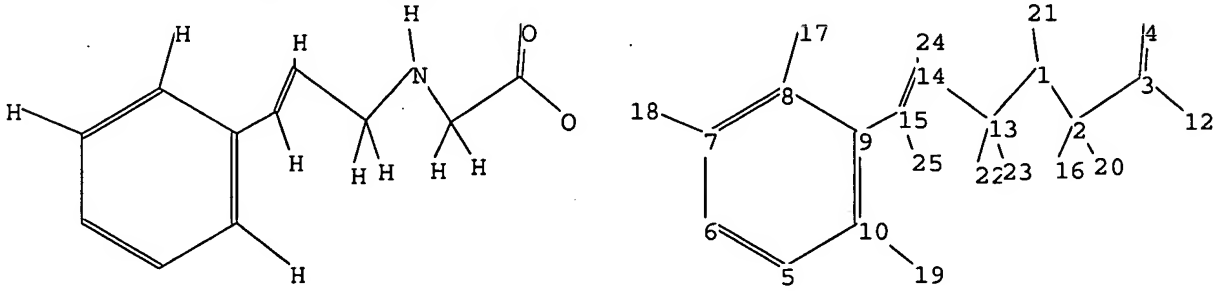
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22
13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12

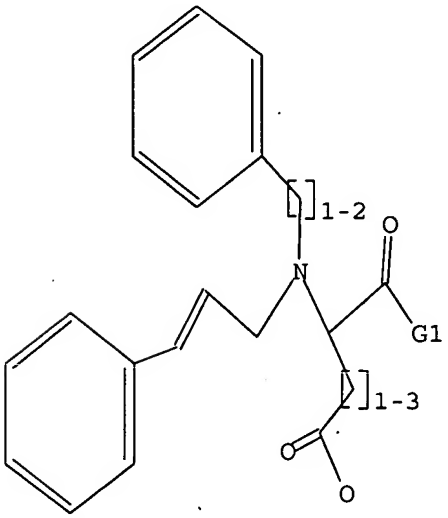
exact bonds :
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L26 STRUCTURE UPLOADED

=> d query
L26 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l26
SAMPLE SEARCH INITIATED 15:19:34 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 4 TO 200

PROJECTED ANSWERS: 1 TO 80

L27 1 SEA SSS SAM L26

=> s l26 full
FULL SEARCH INITIATED 15:19:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 219 TO ITERATE

100.0% PROCESSED 219 ITERATIONS 33 ANSWERS
SEARCH TIME: 00.00.01

L28 33 SEA SSS FUL L26

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	163.05	1534.47

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-56.94

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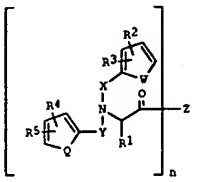
FILE COVERS 1907 - 9 Mar 2005 VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005 (20050308/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l28
L29 5 L28

=> d l29 1-5 abs ibib hitstr

L29 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
GI



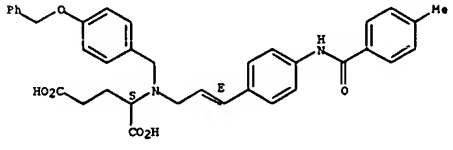
AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6310078	B1	20011030	US 2000-517976		20000303
US 2002016350	A1	20020207	US 2001-927111		20010810
US 6750369	B2	20040615			
US 2004248815	A1	20041209	US 2004-799324		20040312
PRIORITY APPLN. INFO.:			US 1998-82392P	P	19980420
			US 1999-294785	B2	19990419
			US 2000-517976	A3	20000303
			US 2001-927111	A3	20010810

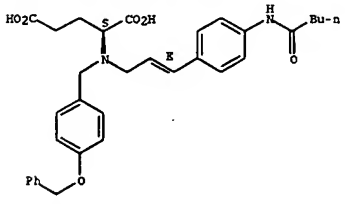
OTHER SOURCE(S): MARPAT 135:331670
IT **247203-41-4P 247203-42-5P 247203-44-7P 247203-45-8P 247203-46-9P 247203-47-0P**

L29 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



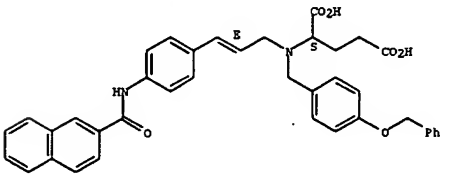
RN 247203-45-8 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(1-oxopentyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-46-9 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

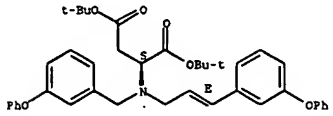


RN 247203-47-0 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(2-furanylcarbonyl)amino]phenyl]-2-

L29 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
247203-48-1P 247203-49-2P 247203-50-5P
247203-51-6P 247203-53-8P 247203-54-9P
247203-55-0P 247203-56-1P 247203-57-2P
247203-58-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amino acids as erythropoietin mimetics)

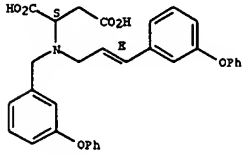
RN 247203-41-4 CAPLUS
CN L-Aspartic acid, N-[(3-phenoxyphenyl)methyl]-N-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-42-5 CAPLUS
CN L-Aspartic acid, N-[(3-phenoxyphenyl)methyl]-N-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

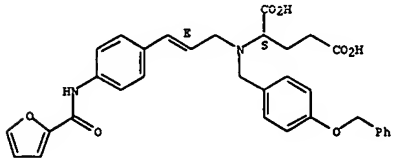


RN 247203-44-7 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(4-methylbenzoyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

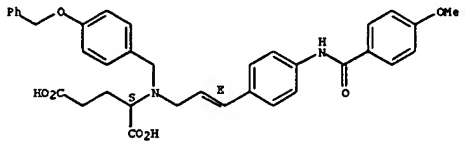
L29 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



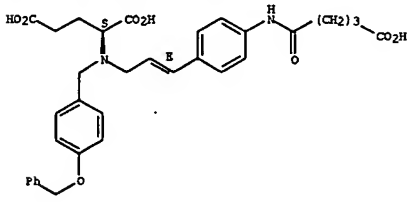
RN 247203-48-1 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



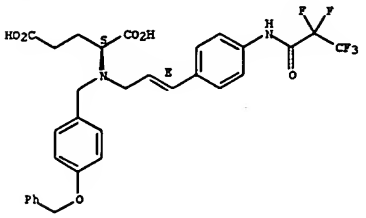
RN 247203-49-2 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(4-carboxy-1-oxobutyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



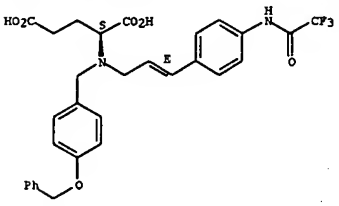
RN 247203-50-5 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(2,2,3,3,3-pentafluoro-1-oxopropyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-51-6 CAPLUS
CN L-Glutamic acid, N-[[4-(phenylmethoxy)phenyl]methyl]-N-[(2E)-3-[4-[(trifluoroacetyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

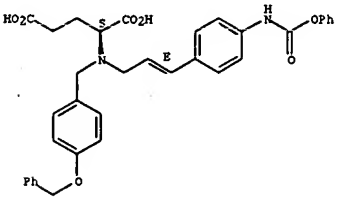
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-53-8 CAPLUS
CN L-Glutamic acid, N-[[4-(phenylmethoxy)phenyl]methyl]-N-[(2E)-3-[4-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

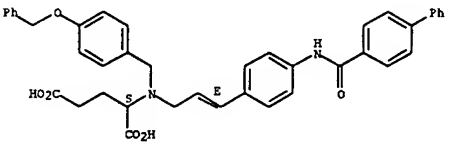
Absolute stereochemistry.
Double bond geometry as shown.

Double bond geometry as shown.



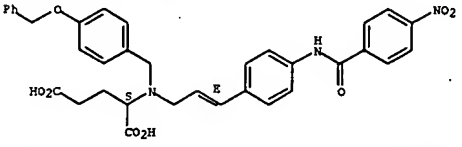
RN 247203-57-2 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[([1,1'-biphenyl]-4-ylcarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

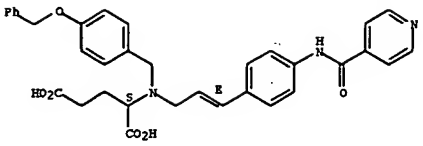


RN 247203-58-3 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(4-nitrobenzoyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

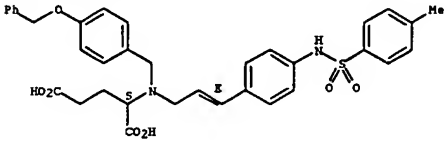


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



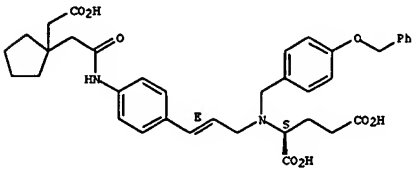
RN 247203-54-9 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-55-0 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[[[1-(carboxymethyl)cyclopentyl]acetyl]amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

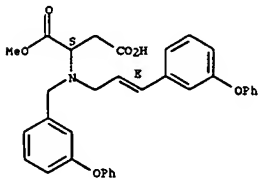


RN 247203-56-1 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(phenoxycarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L29 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.
ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171
IT 305647-69-2P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(erythropoietin receptor binding structure activity of disubstituted amino acids)
RN 305647-69-2 CAPLUS
CN L-Aspartic acid, N-[(3-phenoxyphenyl)methyl]-N-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

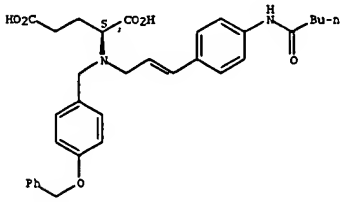
Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
AB Several variations of a solid-phase strategy for the synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivs. are presented. Starting from the corresponding Nα-Fmoc amino acids on Wang resin, reductive alkylation using nitrocinnamaldehyde or a substituted benzaldehyde was followed by nucleophilic displacement of a substituted benzyl halide or nitrocinnamyl bromide to provide resin-bound intermediates. Diversity was added by reduction of the nitro group and derivatization of the resulting aminocinnamyl moiety with a variety of acylating or sulfonylating reagents. Using an orthogonal protecting group strategy, Nε-Dde-protected lysine derivs. were further functionalized at the side-chain amino group prior to cleavage from resin. This method allows for the preparation of analog libraries having up to four points of diversity.
ACCESSION NUMBER: 2000:471558 CAPLUS
DOCUMENT NUMBER: 133:252676
TITLE: Solid-phase synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivatives
AUTHOR(S): Connolly, P. J.; Beers, K. N.; Wetter, S. K.; Murray, W. V.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Tetrahedron Letters (2000), 41(27), 5187-5191
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:252676
IT 295367-37-2P 295367-45-2P 295367-46-3P
295367-47-4P 295367-48-5P 295367-49-6P
295367-50-9P 295367-51-0P 295367-52-1P
295367-53-2P 295367-54-3P 295367-55-4P
295367-56-5P 295367-57-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivs.)
RN 295367-37-2 CAPLUS
CN L-Glutamic acid, N-[3-[4-[(1-oxopentyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

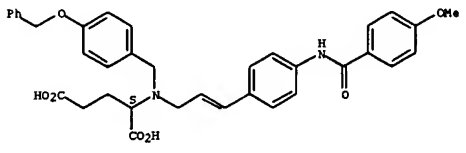
Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-45-2 CAPLUS

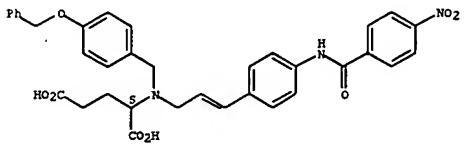
CN L-Glutamic acid, N-[3-[4-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



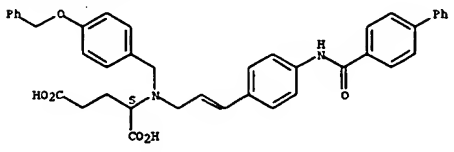
RN 295367-46-3 CAPLUS
CN L-Glutamic acid, N-[3-[4-[(4-nitrobenzoyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



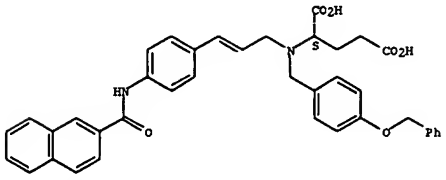
RN 295367-47-4 CAPLUS
CN L-Glutamic acid, N-[3-[4-[[1,1'-biphenyl]-4-ylcarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



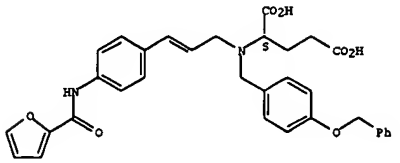
RN 295367-48-5 CAPLUS
CN L-Glutamic acid, N-[3-[4-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



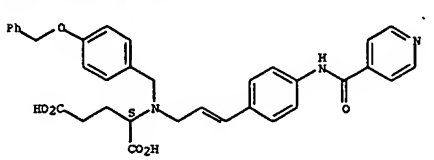
RN 295367-49-6 CAPLUS
CN L-Glutamic acid, N-[3-[4-[(2-furanylcarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-50-9 CAPLUS
CN L-Glutamic acid, N-[[4-(phenylmethoxy)phenyl]methyl]-N-[3-[4-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

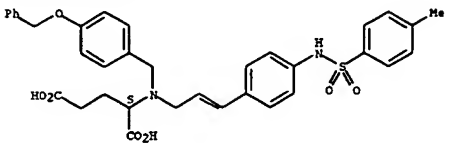
Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-51-0 CAPLUS
CN L-Glutamic acid, N-[3-[4-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-

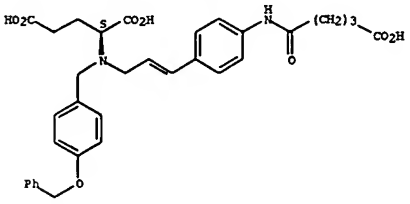
L29 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



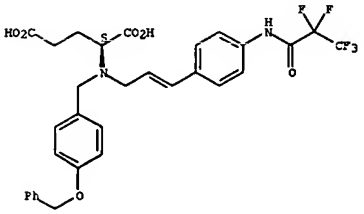
RN 295367-52-1 CAPLUS
CN L-Glutamic acid, N-[3-[4-[(4-carboxy-1-oxobutyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



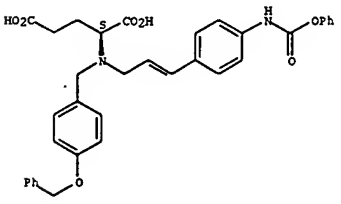
RN 295367-53-2 CAPLUS
CN L-Glutamic acid, N-[3-[4-[[[1-(carboxymethyl)cyclopentyl]acetyl]amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-56-5 CAPLUS
CN L-Glutamic acid, N-[3-[4-[(phenoxycarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

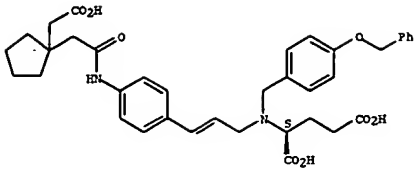
Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-57-6 CAPLUS
CN L-Glutamic acid, N-[3-[4-(benzoylamino)phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

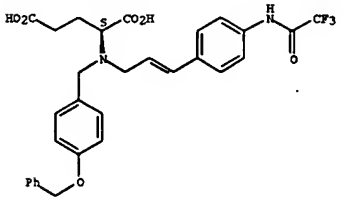
Absolute stereochemistry.
Double bond geometry unknown.

L29 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 295367-54-3 CAPLUS
CN L-Glutamic acid, N-[[4-(phenylmethoxy)phenyl]methyl]-N-[3-[4-[(trifluoroacetyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

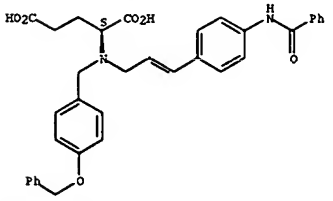
Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-55-4 CAPLUS
CN L-Glutamic acid, N-[3-[4-[(2,2,3,3,3-pentafluoro-1-oxopropyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

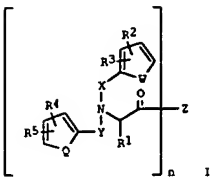
Absolute stereochemistry.
Double bond geometry unknown.

L29 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

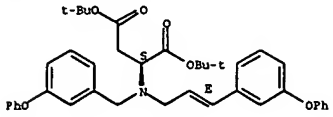
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L29 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833
IT 247203-41-4P 247203-42-5P 247203-44-7P
247203-45-8P 247203-46-9P 247203-47-0P
247203-48-1P 247203-49-2P 247203-50-5P
247203-51-6P 247203-53-8P 247203-54-9P
247203-55-0P 247203-56-1P 247203-57-2P
247203-58-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)

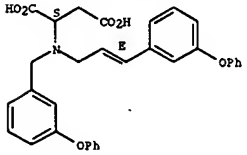
RN 247203-41-4 CAPLUS
CN L-Aspartic acid, N-[(3-phenoxyphenyl)methyl]-N-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-42-5 CAPLUS
CN L-Aspartic acid, N-[(3-phenoxyphenyl)methyl]-N-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

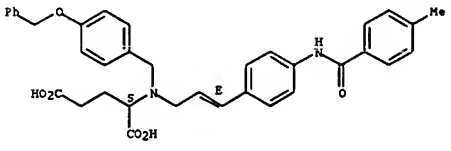
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-44-7 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(4-methylbenzoyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

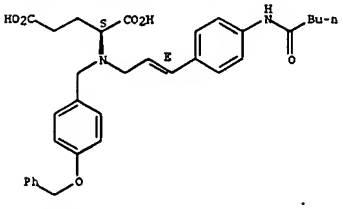
Absolute stereochemistry.
Double bond geometry as shown.

L29 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



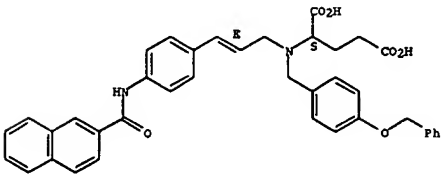
RN 247203-45-8 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(1-oxopentyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-46-9 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

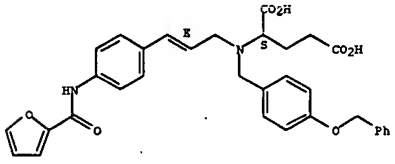
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-47-0 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(2-furanylcarbonyl)amino]phenyl]-2-

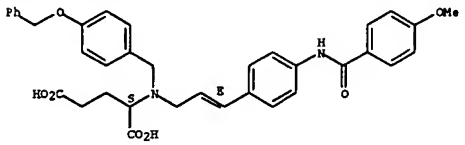
L29 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



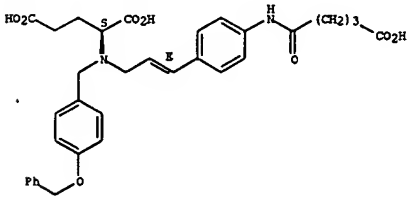
RN 247203-48-1 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



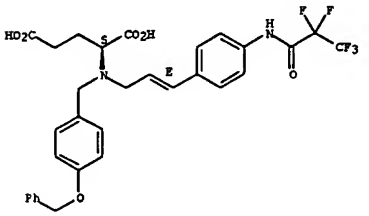
RN 247203-49-2 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(4-carboxy-1-oxobutyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



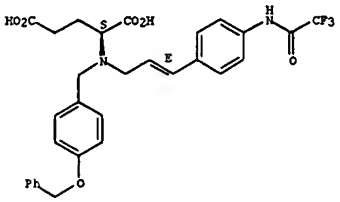
RN 247203-50-5 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(2,2,3,3,3-pentafluoro-1-oxopropyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-51-6 CAPLUS
CN L-Glutamic acid, N-[[4-(phenylmethoxy)phenyl]methyl]-N-[(2E)-3-[4-[(trifluoroacetyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

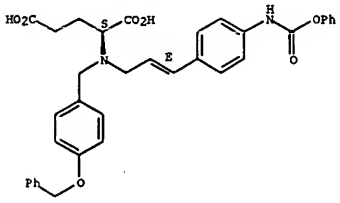
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-53-8 CAPLUS
CN L-Glutamic acid, N-[[4-(phenylmethoxy)phenyl]methyl]-N-[(2E)-3-[4-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

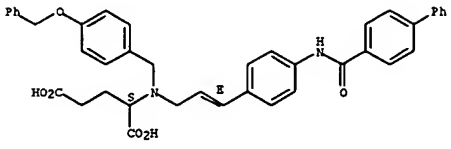
Absolute stereochemistry.
Double bond geometry as shown.

Double bond geometry as shown.



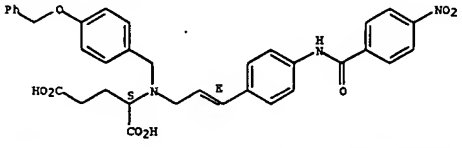
RN 247203-57-2 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[([1,1'-biphenyl]-4-ylcarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

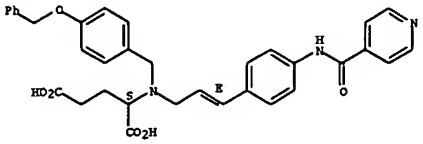


RN 247203-58-3 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(4-nitrobenzoyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

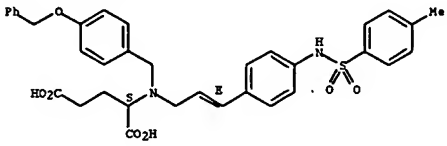


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



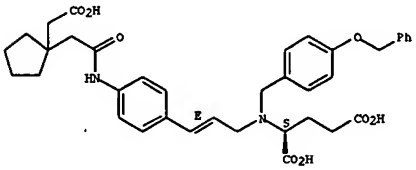
RN 247203-54-9 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[[[4-methylphenyl]sulfonyl]amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-55-0 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[[[1-(carboxymethyl)cyclopentyl]acetyl]amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

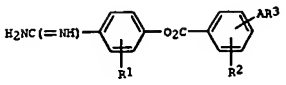
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-56-1 CAPLUS
CN L-Glutamic acid, N-[(2E)-3-[4-[(phenoxycarbonyl)amino]phenyl]-2-propenyl]-N-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L29 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Title compds. I (R1, R2 = H, C1-4 alkyl, C1-4 alkoxy, C2-5 acyl, halo, O2N, benzoyl, C1-3 alkyloxycarbonyl; A = bond, C1-4 alkylene, R5C:CR6 wherein R5, R6 = H, C1-4 alkyl; R3 = R7R8NCO, R7R8NC9CO, (substituted) heterocyclylcarbonyl wherein R7, R8 = H, Ph, C7-10 phenylalkyl, etc.; R9 = H, C1-8 alkyl, C7-10 phenylalkyl, C2-10 alkenyl having 1-3 double bonds, C2-10 alkynyl having 1-3 triple bonds, etc.) or a salt thereof, inhibiting phospholipase A2 (PLA2)and other proteases such as trypsin and useful for treatment if inflammatory and allergic diseases, etc., are prepared To p-carboxy-α-methylcinnamic acid N-ethoxycarbonylmethyl-N-phenylmethylamide (preparation given) were added p-amindinophenol and 1,3-dicyclohexylcarbodiimide to give I (R1 = R2 = H, AR3 = α-methylcinnamic acid N-ethoxycarbonylmethyl-N-phenylmethylamide).HCl. A similar prepared I (R1 = R2 = H, AR3 = β-methylcinnamic acid N-phenylmethyl-N-ethoxycarbonylmethylamide) methanesulfonate inhibited PLA2 with IC50 3.1μM. Pharmaceutical formulations comprising I are given.

ACCESSION NUMBER: 1995:248284 CAPLUS
DOCUMENT NUMBER: 122:31127
TITLE: Preparation od amidinophenol derivatives as drugs
INVENTOR(S): Nakai, Hisao; Kawamura, Masanori; Miyamoto, Tsumoru
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 95 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 588655	A1	19940323	EP 1993-307354	19930917
EP 588655	B1	19961204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5432178	A	19950711	US 1993-121499	19930916
JP 08109164	A2	19960430	JP 1993-252178	19930916
JP 2736952	B2	19980408		
CA 2106452	AA	19940319	CA 1993-2106452	19930917
CA 2106452	C	19991109		
AT 145894	E	19961215	AT 1993-307354	19930917
ES 2097457	T3	19970401	ES 1993-307354	19930917
KR 210355	B1	19990715	KR 1993-19019	19930918
US 5622984	A	19970422	US 1995-396784	19950301
US 5614555	A	19970325	US 1995-464206	19950605
JP 08259512	A2	19961008	JP 1996-20606	19960112
JP 2736967	B2	19980408		

PRIORITY APPLN. INFO.: JP 1992-274992 A 19920918

JP 1993-96758 A 19930331
US 1993-121499 A3 19930916
US 1995-396784 A3 19950301

OTHER SOURCE(S): MARPAT 122:31127

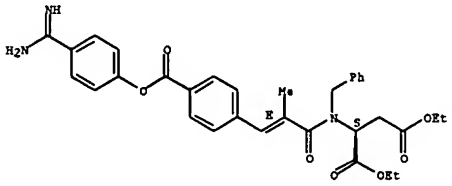
IT 159673-41-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as drug)

RN 159673-41-3 CAPLUS
CN L-Aspartic acid, N-[3-[4-[[4-(aminoiminomethyl)phenoxy]carbonyl]phenyl]-2-methyl-1-oxo-2-propenyl]-N-(phenylmethyl)-, diethyl ester, (E)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 159673-40-2
CMF C33 H35 N3 O7

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 64-19-7
CMF C2 H4 O2

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FULL ESTIMATED COST                                    26.50    1560.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                    -3.65     -60.59

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STRUCTURE FILE UPDATES:     7 MAR 2005  HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES:    7 MAR 2005  HIGHEST RN 844431-17-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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Uploading C:\Program Files\Stnexp\Queries\10799324.str
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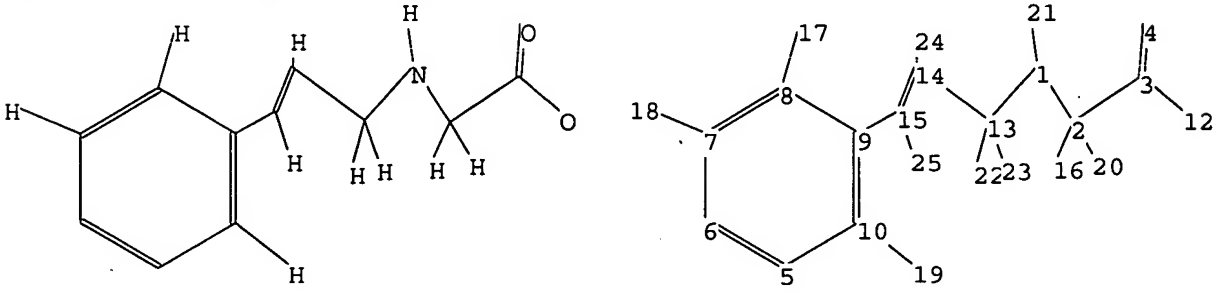


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1  2  3  4  12  13  14  15  16  17  18  19  20  21  22  23  24  25
ring nodes :
5  6  7  8  9  10
chain bonds :
1-13  1-2  1-21  2-3  2-16  2-20  3-4  3-12  7-18  8-17  9-15  10-19  13-14  13-22
13-23  14-15  14-24  15-25
ring bonds :
5-6  5-10  6-7  7-8  8-9  9-10
exact/norm bonds :
1-13  1-2  3-4  3-12
exact bonds :
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15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L30 STRUCTURE UPLOADED

=> d query
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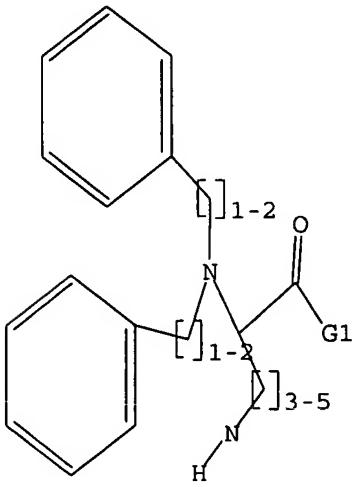

G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 13641 TO ITERATE

7.3% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 265824 TO 279816
PROJECTED ANSWERS: 0 TO 0

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FULL SCREEN SEARCH COMPLETED - 274006 TO ITERATE

100.0% PROCESSED  274006 ITERATIONS                          70 ANSWERS
SEARCH TIME: 00.00.02

L32            70 SEA SSS FUL L30

=> fil caplus
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                                                   ENTRY    SESSION
FULL ESTIMATED COST                             162.62    1723.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
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FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l32
L33             13 L32

=> d l33 1-13 abs ibib hitstr
```
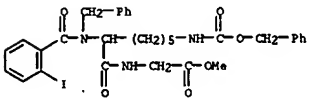

L33 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Methods for the synthesis of dihydroazaphenanthrene fused to macrocycles I [X = CH2, O, Y = (CH2)m, m = 1,2,4,6, n = 1,2] and medium-ring heterocycles II (R1 = H, Me, CH2Ph, etc., R2 = Me, n-Bu, CH2Ph, etc.) as well as 1,4-benzodiazepine-2,5-diones, e.g. III, are developed. A distinctly different catalytic property of palladium and copper catalysts was uncovered that leads to the development of a divergent synthesis of two different heterocyclic scaffolds from the same starting materials, simply by metal-switching. Thus, starting from linear amide IV, palladium acetate triggers a domino intramol. N-arylation/C-H activation/aryl-aryl bond-forming process to provide II, while copper iodide promotes only the intramol. N-arylation reaction leading to the 1,4-benzodiazepine-2,5-diones. In combination with the Ugi multicomponent reaction (Ugi-4CR) for the preparation of the linear amides, a two-step synthesis of either the 5,6-dihydro-8H-5,7a-diazacyclohepta[jk]phenanthrene-4,7-dione (4) or 1,4-benzodiazepine-2,5-diones (5), by appropriate choice of metal catalyst, is subsequently developed from very simple starting materials.
ACCESSION NUMBER: 2004:832531 CAPLUS
DOCUMENT NUMBER: 142:38222
TITLE: Palladium- and Copper-Catalyzed Synthesis of Medium- and Large-Sized Ring-Fused Dihydroazaphenanthrenes and 1,4-Benzodiazepine-2,5-diones. Control of Reaction Pathway by Metal-Switching
AUTHOR(S): Cuny, Guylaine; Bois-Choussy, Michele; Zhu, Jieping
CORPORATE SOURCE: Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SOURCE: Journal of the American Chemical Society (2004), 126(44), 14475-14484
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 807354-95-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of linear α-acetamido amides via Ugi 4-component coupling and their palladium- and copper-catalyzed cyclization to give fused azaphenanthrenes and benzodiazepinediones)
RN 807354-95-6 CAPLUS
CN Glycine, N-[2-[(2-iodobenzoyl)(phenylmethyl)amino]-1-oxo-7-[[(phenylmethoxy)carbonyl]amino]heptyl]-, methyl ester (9CI) (CA INDEX NAME)



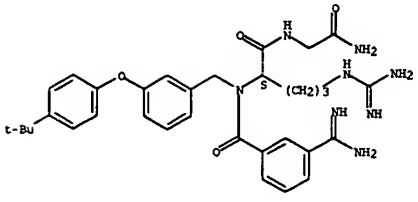
L33 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 152 THERE ARE 152 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
AB A method of treating hyperresorptive bone disorders through the direct inhibition of the Src protein tyrosine kinase involves administering a pharmaceutically effective amount of certain amide, sulfonamide, and urea compds., whereas, these compds. may also be used for inhibiting the Src protein tyrosine kinase generally in humans for therapeutic purposes. An exemplary amide compound is N-[4-amidinobenzoyl]-N-[3-phenoxybenzyl]-3-(4-biphenyl)alanylglycylamide.
ACCESSION NUMBER: 2003:174468 CAPLUS
DOCUMENT NUMBER: 138:215278
TITLE: Method of treating hyperresorptive bone disorders by inhibition of Src protein tyrosine kinase
INVENTOR(S): Safar, Pavel; Walser, Armin
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003045480	A1	20030306	US 2002-191446	20020709
PRIORITY APPLN. INFO.:			US 2001-303851P P	20010709

OTHER SOURCE(S): MARPAT 138:215278
IT 488839-44-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method of treating hyperresorptive bone disorders)
RN 488839-44-7 CAPLUS
CN Glycinamide, N2-[3-(aminoiminomethyl)benzoyl]-N2-[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

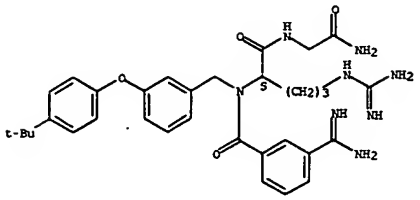


L33 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
AB Amino acid derivs., e.g., H2NC(:NH)C6H4CONR1CHR2CONH(CH2)1-6CONH2 [R1 = m-PhOC6H4CH2, p-PhC6H4CH2 (C6H4 may be alkyl-substituted); R2 = (CH2)1-4NHC(:NH)NH2, (CH2)1-4cycloalkyl, (CH2)0-1Ar1, where Ar1 = aminophenyl, biphenylyl, naphthyl, 2- or 3-indolyl], including enantiomers, stereoisomers and tautomers as well as pharmaceutically-acceptable salts, were prepared for inhibiting Src protein tyrosine kinase. Thus, N-(4-amidinobenzoyl)-N-(3-phenoxybenzyl)-3-(4-biphenylalanyl)glycylamide, prepared by the solid-phase method of peptide synthesis using polystyrene-RAM, showed IC50 = 22 μM for inhibition of Src kinase.
ACCESSION NUMBER: 2003:58070 CAPLUS
DOCUMENT NUMBER: 138:122861
TITLE: Preparation of substituted amides, sulfonamides and ureas useful for inhibiting kinase activity
INVENTOR(S): Safar, Pavel; Walser, Armin; Shimshock, Stephen J.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006444	A2	20030123	WO 2002-US21525	20020709
WO 2003006444	A3	20040311		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003087832	A1	20030508	US 2002-191718	20020709
US 6777577	B2	20040817		
EP 1423373	A2	20040602	EP 2002-749842	20020709
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504023	T2	20050210	JP 2003-512216	20020709
US 2004204582	A1	20041014	US 2004-835630	20040430
PRIORITY APPLN. INFO.:			US 2001-304020P P	20010709
			GB 2001-27615 A	20011119
			US 2002-191718 A3	20020709
			WO 2002-US21525 W	20020709

OTHER SOURCE(S): MARPAT 138:122861
IT 488839-44-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amides, sulfonamides and ureas useful for inhibiting kinase activity)
RN 488839-44-7 CAPLUS
CN Glycinamide, N2-[3-(aminoiminomethyl)benzoyl]-N2-[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]-L-arginyl- (9CI) (CA INDEX NAME)

L33 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



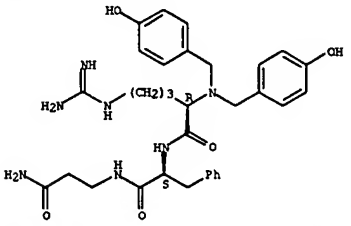
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AB Ten Tyr-D-Arg-Phe-βAla-NH2 (YRFB) analogs in which specific amino acid side chains are shifted to the Nα-position were synthesized, and the binding to these analogs to the μ receptor and their in vitro biol. properties were evaluated. Some analogs in which a N,N-bis(p-hydroxybenzyl)-Gly residue was substituted for Tyr1 exhibited μ receptor antagonist activities (pA2) between 5.3 and 6.1. Of these analogs, [N,N-bis(p-hydroxybenzyl)-Gly1]YRFB was found to be the most potent specific antagonist for the μ-opioid receptor.

ACCESSION NUMBER: 2002:858562 CAPLUS
DOCUMENT NUMBER: 138:188055
TITLE: Novel [D-Arg2]dermorphin(1-4) analogs with μ-opioid receptor antagonist activity
AUTHOR(S): Ambo, Akihiro; Terashima, Takanori; Sasaki, Yusuke
CORPORATE SOURCE: Tohoku Pharmaceutical University, Sendai, 981-8558, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2002), 50(10), 1401-1403
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:188055
IT 499771-41-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of dermorphin analogs and μ-opioid receptor-binding structure-activity relationship)
RN 499771-41-4 CAPLUS
CN β-Alaninamide, N2,N2-bis[(4-hydroxyphenyl)methyl]-D-arginyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



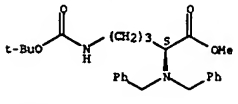
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AB Selective N-monoalkylation of α-amino esters with activated alkyl bromides was studied using various alkali or alkali earth metal bases. In the production of N-monoalkylated amino ester derivs. and suppression of N,N-dialkylation, lithium hydroxide was more effective than any other alkali or alkali earth bases examined. Using this protocol, a variety of N-alkylated α-amino esters and even dipeptide esters have been successfully prepared using various activated alkyl bromides.

ACCESSION NUMBER: 2002:97576 CAPLUS
DOCUMENT NUMBER: 136:402004
TITLE: LiOH-mediated N-monoalkylation of α-amino acid esters and a dipeptide ester using activated alkyl bromides
AUTHOR(S): Cho, Jong Hyun; Kim, B. Moon
CORPORATE SOURCE: School of Chemistry and Molecular Engineering, Center for Molecular Catalysis, Seoul National University, Seoul, 151-747, S. Korea
SOURCE: Tetrahedron Letters (2002), 43(7), 1273-1276
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:402004
IT 431935-28-3P
RL: BYP (Byproduct); PREP (Preparation)
(preparation of monoalkylated amino esters and dipeptide esters by alkylation with alkyl bromides)
RN 431935-28-3 CAPLUS
CN L-Ornithine, N5-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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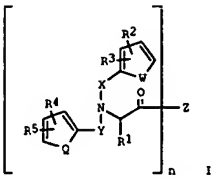
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312
PRIORITY APPLN. INFO.:			US 1998-82392P	P 19980420
			US 1999-294785	B2 19990419
			US 2000-517976	A3 20000303
			US 2001-927111	A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
IT 247203-78-7P 247203-79-8P 247203-80-1P 247203-81-2P 247203-82-3P 247203-83-4P

247203-84-5P 247203-85-6P 247203-87-8P
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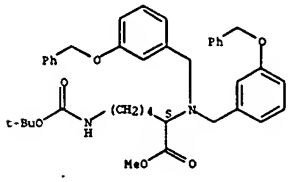
247205-40-9P 247205-41-0P 247205-42-1P
247205-43-2P 247205-44-3P 247205-45-4P
247205-46-5P 247205-47-6P 247205-48-7P
247205-49-8P 247205-50-1P 247205-51-2P
247205-52-3P 247205-53-4P 247205-54-5P
247205-55-6P 247205-56-7P 247205-57-8P
247205-58-9P 247205-59-0P 247205-60-3P
247205-61-4P 247205-62-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amino acids as erythropoietin mimetics)

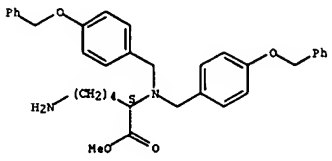
RN 247203-78-7 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

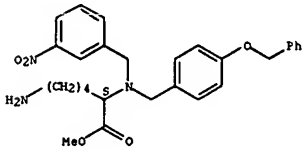


RN 247203-79-8 CAPLUS
CN L-Lysine, N2,N2-bis[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

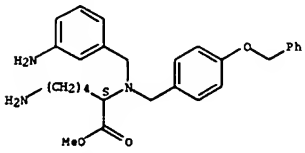


Absolute stereochemistry.



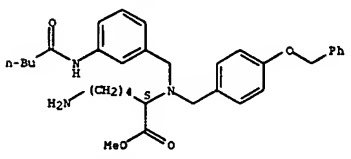
RN 247203-84-5 CAPLUS
CN L-Lysine, N2-[(3-aminophenyl)methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247203-85-6 CAPLUS
CN L-Lysine, N2-[[3-[(1-oxopentyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

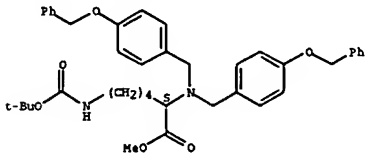


RN 247203-87-8 CAPLUS
CN L-Lysine, N2-[[3-[(2-furanylcarbonyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

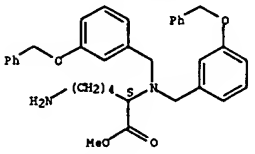
RN 247203-80-1 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



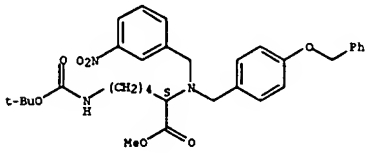
RN 247203-81-2 CAPLUS
CN L-Lysine, N2,N2-bis[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

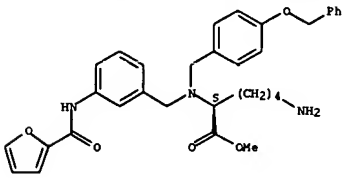


RN 247203-82-3 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(3-nitrophenyl)methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

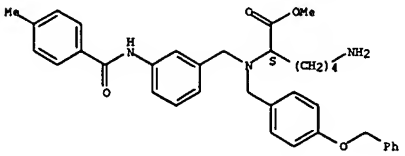


RN 247203-83-4 CAPLUS
CN L-Lysine, N2-[(3-nitrophenyl)methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



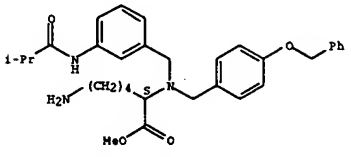
RN 247203-88-9 CAPLUS
CN L-Lysine, N2-[[3-[(4-methylbenzoyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



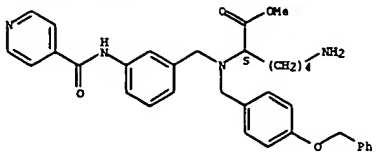
RN 247203-89-0 CAPLUS
CN L-Lysine, N2-[[3-[(2-methyl-1-oxopropyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



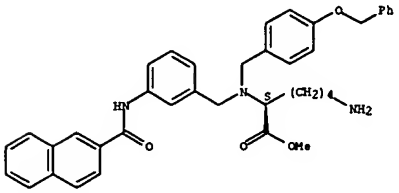
RN 247203-90-3 CAPLUS
CN L-Lysine, N2-[[4-(phenylmethoxy)phenyl]methyl]-N2-[[3-[(4-pyridinylcarbonyl)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



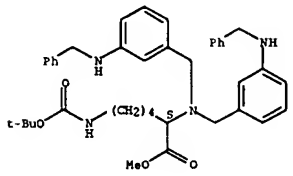
RN 247203-91-4 CAPLUS
CN L-Lysine, N2-[[3-[(2-naphthalenylcarbonyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

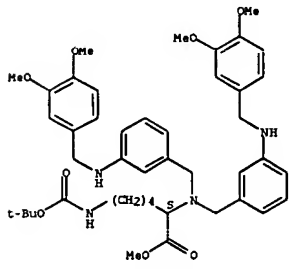


RN 247203-92-5 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-[(phenylmethyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

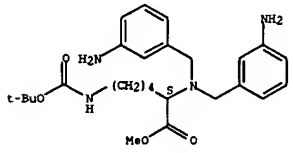


RN 247203-93-6 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-[[(2-methylphenyl)methyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



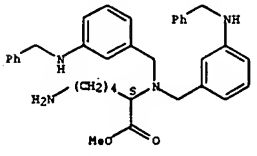
RN 247203-96-9 CAPLUS
CN L-Lysine, N2,N2-bis[(3-aminophenyl)methyl]-N6-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



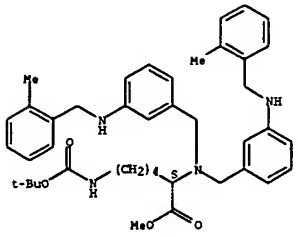
RN 247203-98-1 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[(phenylmethyl)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



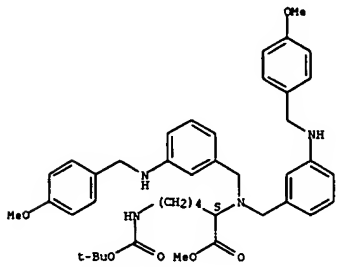
RN 247203-99-2 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[[(2-methylphenyl)methyl]amino]phenyl]methyl]-,

Absolute stereochemistry.



RN 247203-94-7 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-[[(4-methoxyphenyl)methyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

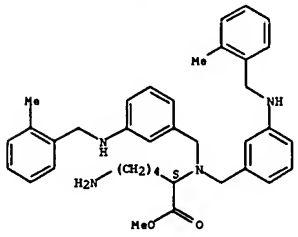


RN 247203-95-8 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[[(3,4-dimethoxyphenyl)methyl]amino]phenyl]methyl]-N6-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

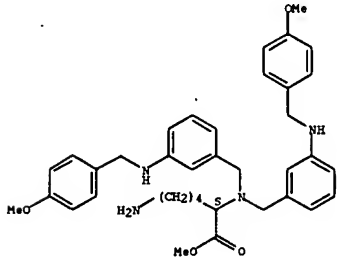
methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



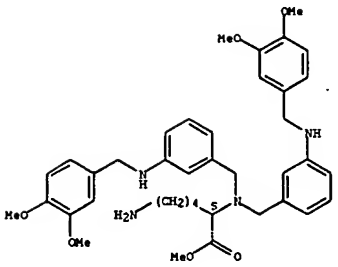
RN 247204-00-8 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[[(4-methoxyphenyl)methyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



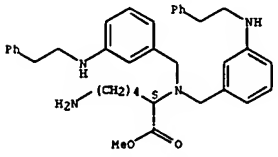
RN 247204-01-9 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[[(3,4-dimethoxyphenyl)methyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



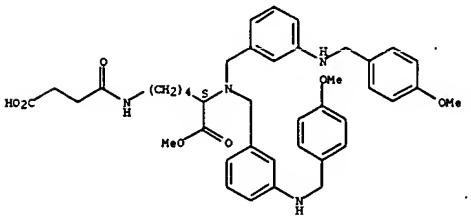
RN 247204-02-0 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[(2-phenylethyl)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247204-03-1 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[(phenylmethyl)amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

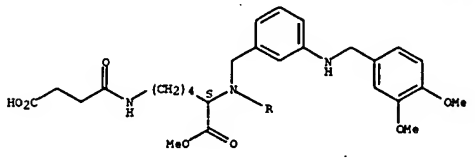
Absolute stereochemistry.

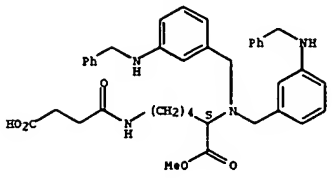


RN 247204-07-5 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[[(3,4-dimethoxyphenyl)methyl]amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

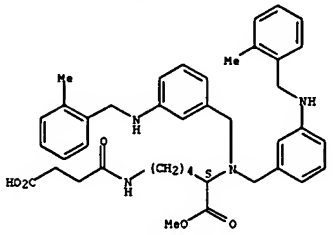
PAGE 1-A





RN 247204-04-2 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[[(2-methylphenyl)methyl]amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

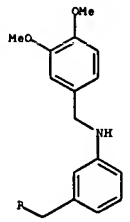
Absolute stereochemistry.



RN 247204-06-4 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[[(4-methoxyphenyl)methyl]amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

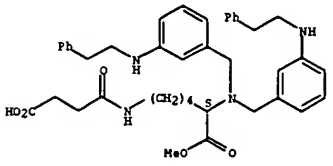
Absolute stereochemistry.

PAGE 2-A



RN 247204-08-6 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[(2-phenylethyl)amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

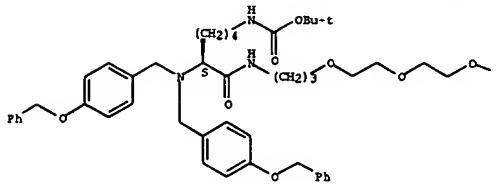


RN 247205-35-2 CAPLUS
CN 13,16,19-Trioxa-2,9,23,30-tetraazahentriacontanedioic acid, 7,25-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,24-dioxo-, bis(1,1-dimethylethyl) ester, (7S,25S)- (9CI) (CA INDEX NAME)

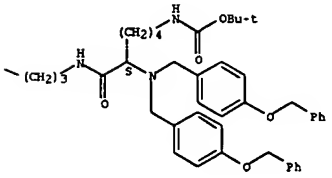
Absolute stereochemistry.

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



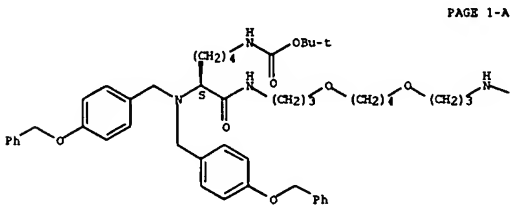
PAGE 1-B



RN 247205-36-3 CAPLUS
CN 13,18-Dioxa-2,9,22,29-tetraazatriacontanedioic acid, 7,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,23-dioxo-, bis(1,1-dimethylethyl) ester, (7S,24S)- (9CI) (CA INDEX NAME)

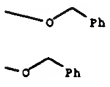
Absolute stereochemistry.

PAGE 1-A



L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

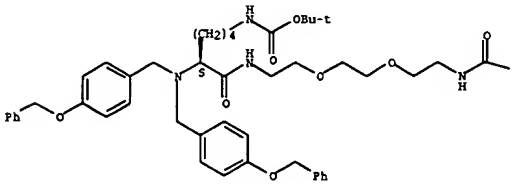
PAGE 1-B



RN 247205-38-5 CAPLUS
CN 12,15-Dioxa-2,9,18,25-tetraazahexacosanedioic acid, 7,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,19-dioxo-, bis(1,1-dimethylethyl) ester, (7S,20S)- (9CI) (CA INDEX NAME)

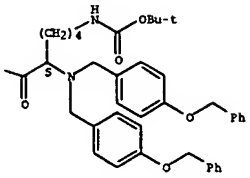
Absolute stereochemistry.

PAGE 1-A



L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

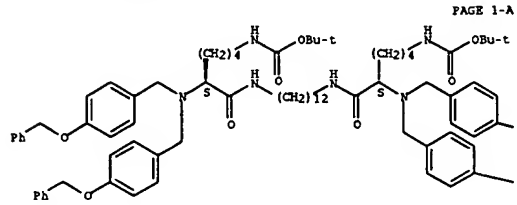
PAGE 1-B



RN 247205-37-4 CAPLUS
CN 2,9,22,29-Tetraazatriacontanedioic acid, 7,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,23-dioxo-, bis(1,1-dimethylethyl) ester, (7S,24S)- (9CI) (CA INDEX NAME)

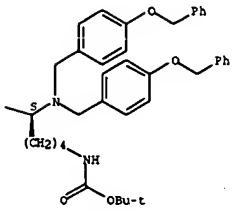
Absolute stereochemistry.

PAGE 1-A



L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

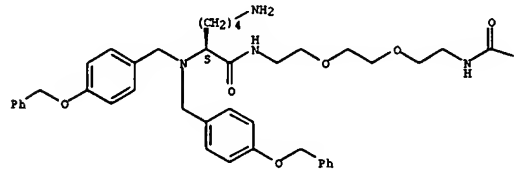
PAGE 1-B



RN 247205-39-6 CAPLUS
CN Hexanamide, N,N'-[1,2-ethanediylbis(oxy-2,1-ethanediyl)]bis[6-amino-2-[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-, (2S,2'S)- (9CI) (CA INDEX NAME)

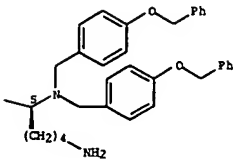
Absolute stereochemistry.

PAGE 1-A



L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

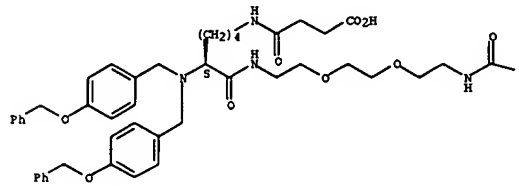
PAGE 1-B



RN 247205-40-9 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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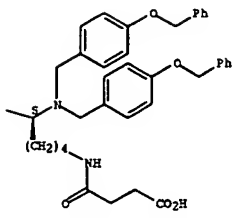

RN 247205-41-0 CAPLUS
CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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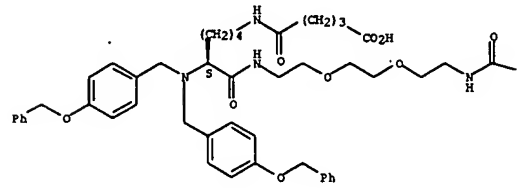
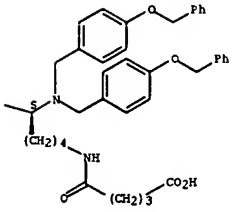


L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



RN 247205-42-1 CAPLUS
CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,32,32-tetramethyl-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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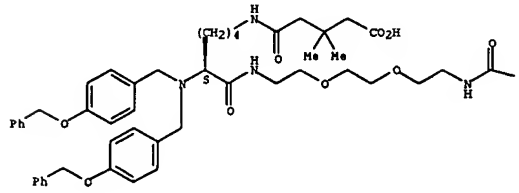

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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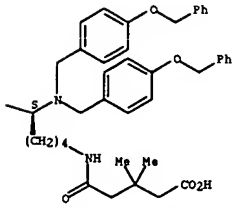

RN 247205-43-2 CAPLUS
CN 16,21-Dioxa-5,12,25,32-tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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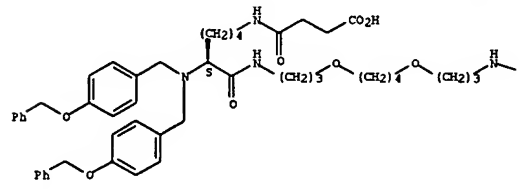

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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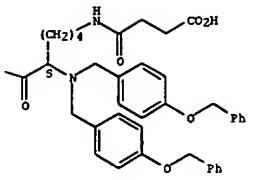
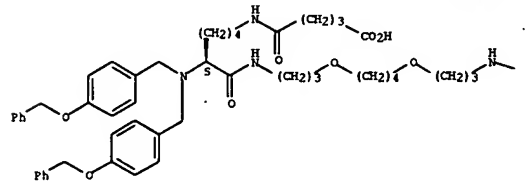


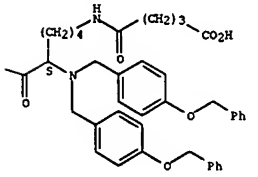
RN 247205-44-3 CAPLUS
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

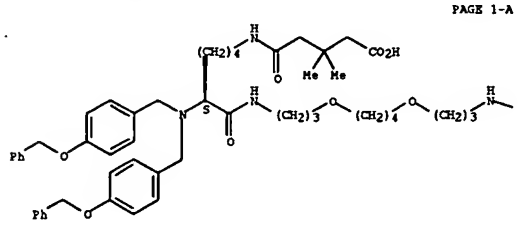


L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 247205-45-4 CAPLUS
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,36,36-tetramethyl-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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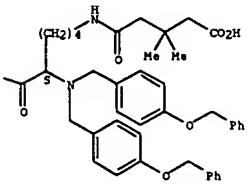

RN 247205-46-5 CAPLUS
CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,36,36-tetramethyl-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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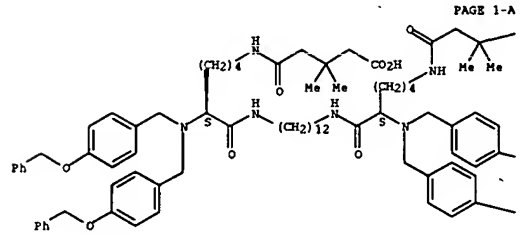

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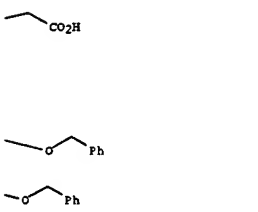

RN 247205-47-6 CAPLUS
CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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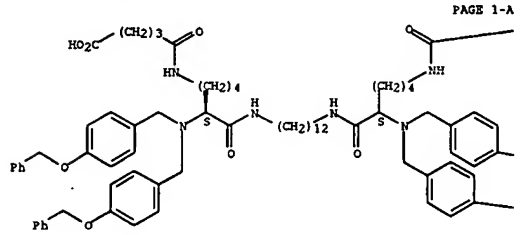

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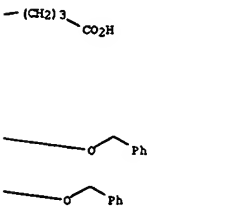

RN 247205-48-7 CAPLUS
CN 5,12,25,32-Tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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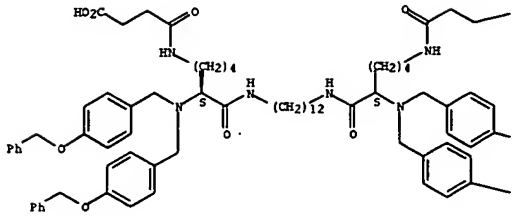

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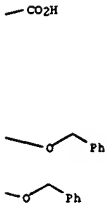

RN 247205-49-8 CAPLUS
CN 16,19,22-Trioxa-5,12,26,33-tetraazaheptatriacontanedioic acid, 10,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,27,34-tetraoxo-, (10S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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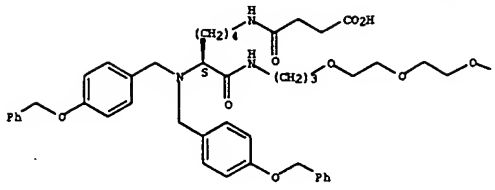

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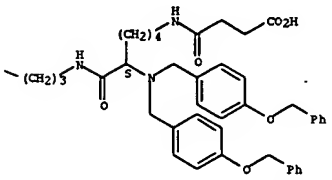

RN 247205-50-1 CAPLUS
CN 17,20,23-Trioxa-6,13,27,34-tetraazanonatriacontanedioic acid, 11,29-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,28,35-tetraoxo-, (11S,29S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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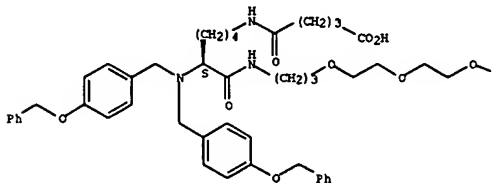

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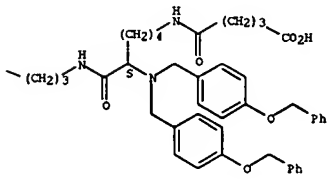

RN 247205-51-2 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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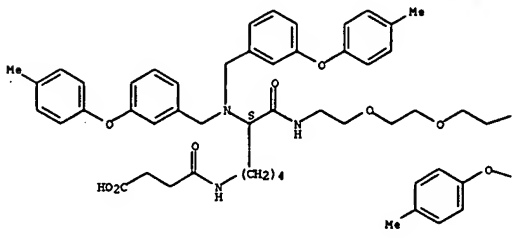

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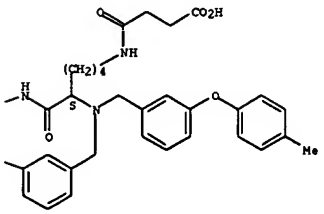

RN 247205-52-3 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[(3-phenoxyphenyl)methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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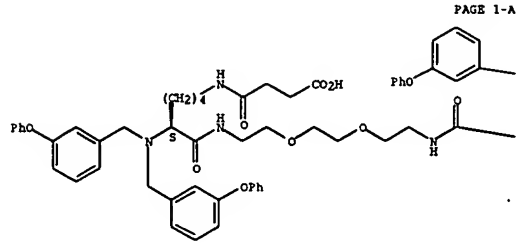

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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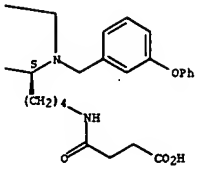

RN 247205-53-4 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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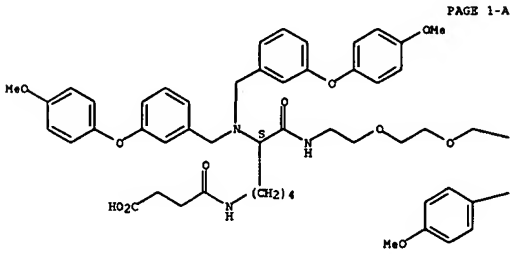

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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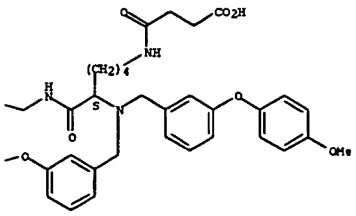

RN 247205-54-5 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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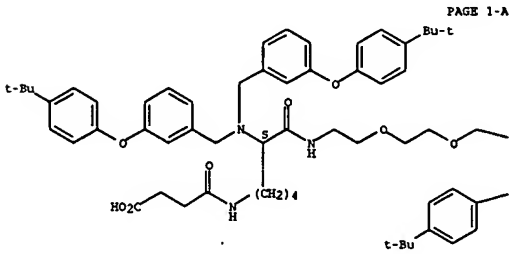

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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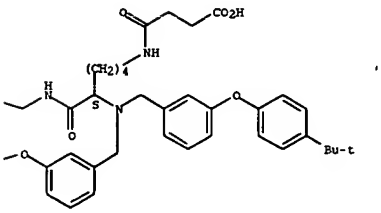

RN 247205-55-6 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[(3-phenoxyphenyl)methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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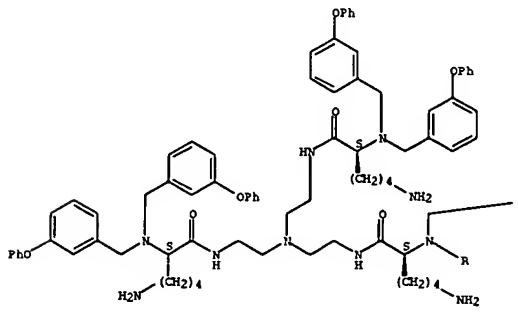

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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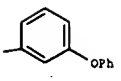

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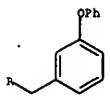

RN 247205-56-7 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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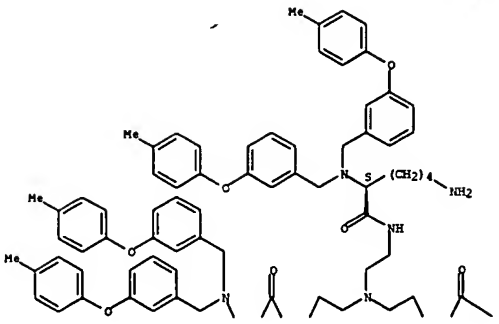
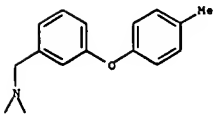


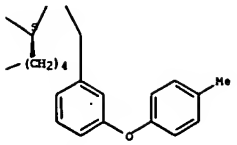
PAGE 1-B



L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A

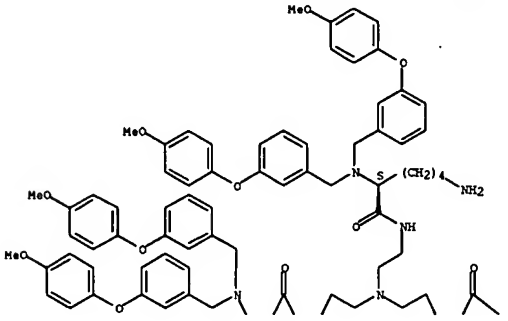
PAGE 2-B



RN 247205-57-8 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

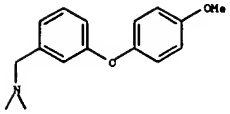
Absolute stereochemistry.

PAGE 1-A



L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



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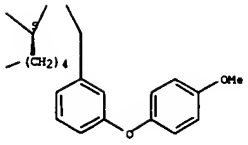
PAGE 2-B

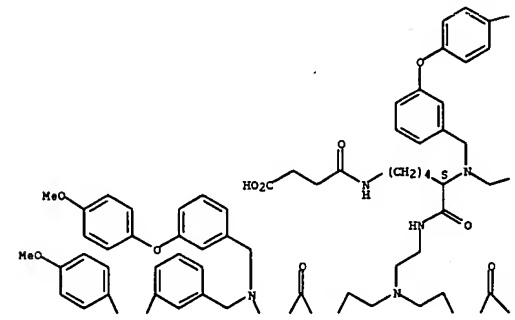


RN 247205-58-9 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-15-[2-[[(2S)-2-[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A



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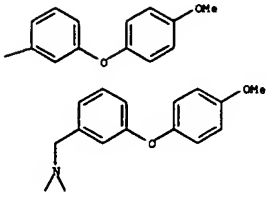
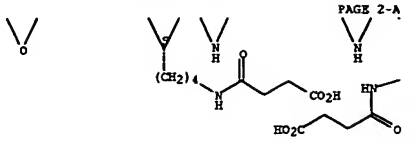


L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A



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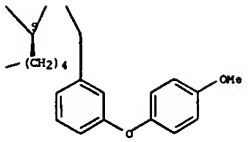
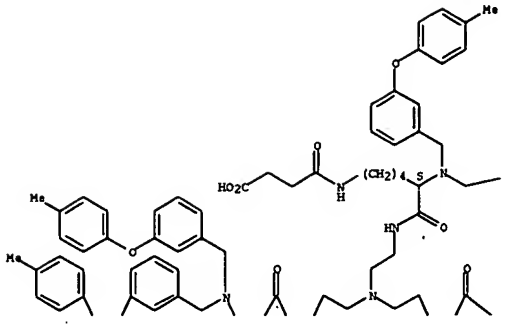


RN 247205-59-0 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-15-[2-[[(2S)-2-[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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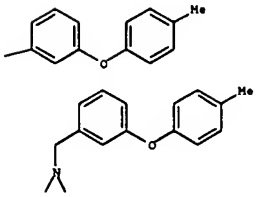
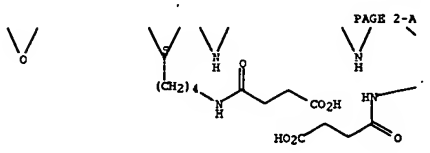


L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A



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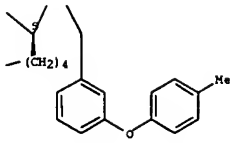
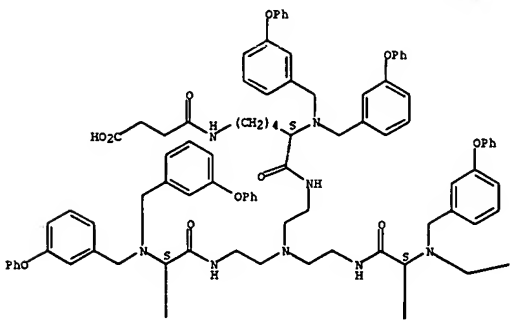


RN 247205-60-3 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[(3-phenoxyphenyl)methyl]amino]-15-[2-[[(2S)-2-[bis[(3-phenoxyphenyl)methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

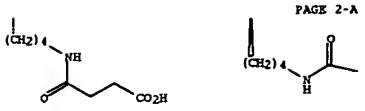
L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

PAGE 2-A



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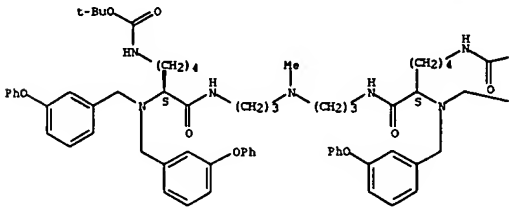
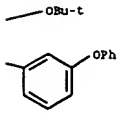
RN 247205-61-4 CAPLUS
CN 2,9,13,17,24-Pentaazapentacosanedioic acid, 7,19-bis[bis[(3-phenoxyphenyl)methyl]amino]-13-methyl-8,18-dioxo-, bis(1,1-dimethylethyl) ester, (7S,19S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



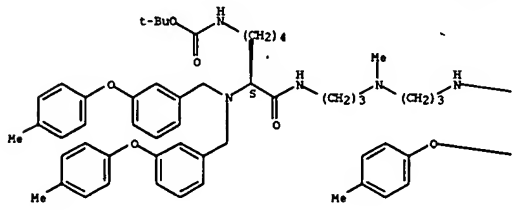
PAGE 1-B



RN 247205-62-5 CAPLUS
CN 2,9,13,17,24-Pentaazapentacosanedioic acid, 7,19-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-13-methyl-8,18-dioxo-, bis(1,1-dimethylethyl) ester, (7S,19S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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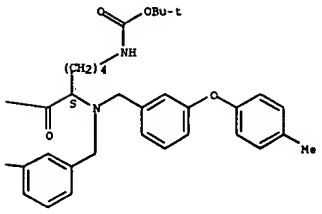
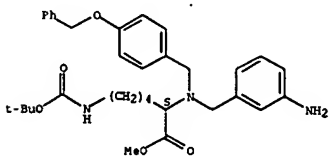


IT 247202-81-9P 247205-68-1P 247205-78-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acids as erythropoietin mimetics)

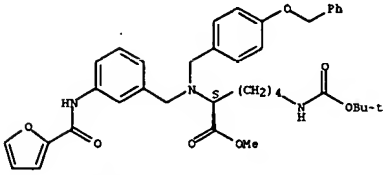
RN 247202-81-9 CAPLUS
CN L-Lysine, N2-[(3-aminophenyl)methyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247205-68-1 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[3-[(2-furanylcarbonyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

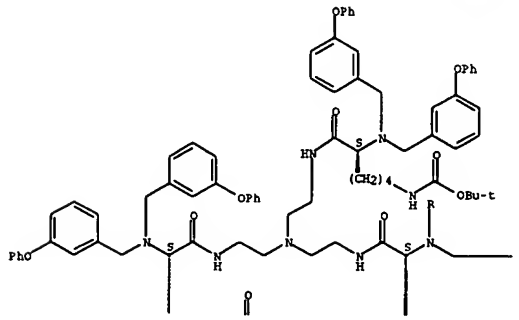
Absolute stereochemistry.



RN 247205-78-3 CAPLUS
CN 2,9,12,15,22-Pentaazatricosanedioic acid, 7,17-bis[bis[(3-phenoxyphenyl)methyl]amino]-12-[2-[[(2S)-2-[bis[(3-phenoxyphenyl)methyl]amino]-6-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]ethyl]-8,16-dioxo-, bis(1,1-dimethylethyl) ester, (7S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L33 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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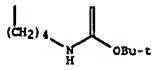
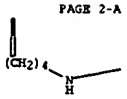
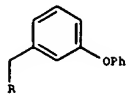
PAGE 2-A







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REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

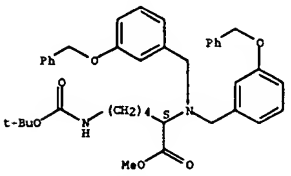
AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171

IT 247203-78-7P, L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester 247203-79-8P 247203-80-1P 247203-81-2P 247203-82-3P 247203-83-4P 247205-39-6P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(erythropoietin receptor binding structure activity of disubstituted amino acids)

RN 247203-78-7 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

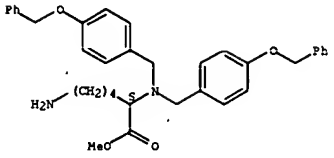
Absolute stereochemistry.



RN 247203-79-8 CAPLUS
CN L-Lysine, N2,N2-bis[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

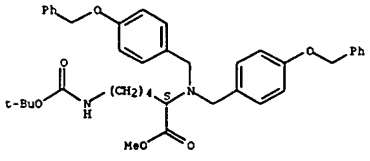
Absolute stereochemistry.

L33 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



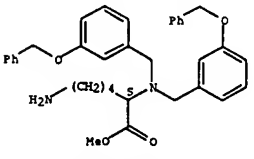
RN 247203-80-1 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247203-81-2 CAPLUS
CN L-Lysine, N2,N2-bis[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

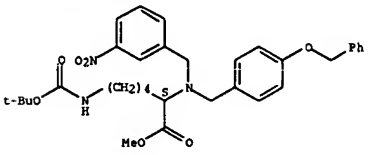
Absolute stereochemistry.



RN 247203-82-3 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(3-nitrophenyl)methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

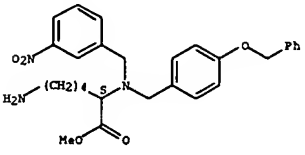
Absolute stereochemistry.

L33 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 247203-83-4 CAPLUS
CN L-Lysine, N2-[(3-nitrophenyl)methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

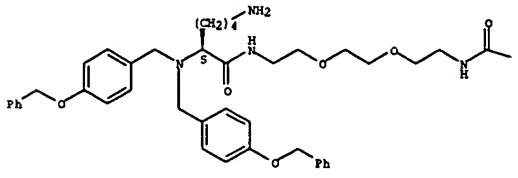
Absolute stereochemistry.



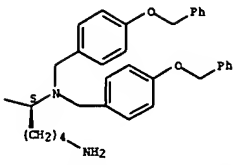
RN 247205-39-6 CAPLUS
CN Hexanamide, N,N'-[1,2-ethanediylbis(oxy-2,1-ethanediyl)]bis[6-amino-2-[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-, (2S,2'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



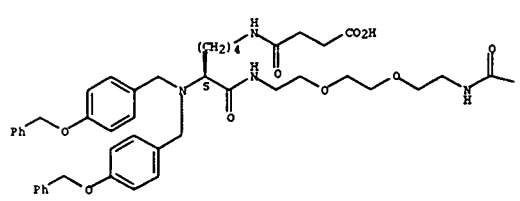
IT 247205-40-9P 247205-41-0P 247205-43-2P
247205-44-3P 247205-47-6P 247205-48-7P
247205-49-8P 247205-50-1P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(erythropoietin receptor binding structure activity of disubstituted amino acids)

RN 247205-40-9 CAPLUS

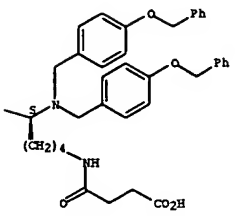
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

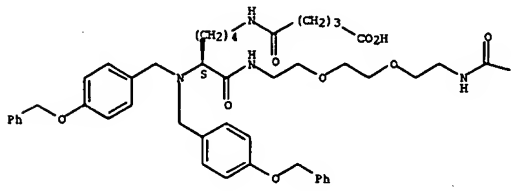


RN 247205-41-0 CAPLUS

CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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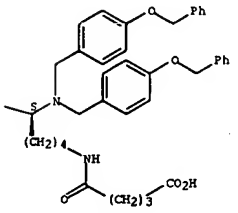
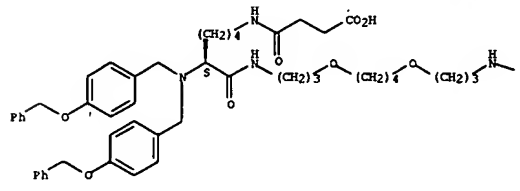


RN 247205-43-2 CAPLUS

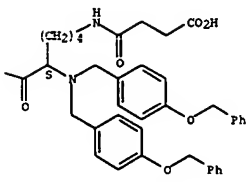
CN 16,21-Dioxa-5,12,25,32-tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

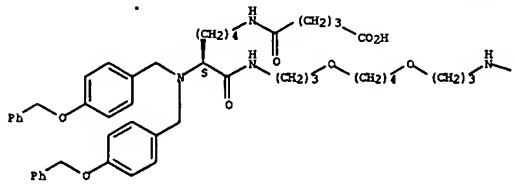


RN 247205-44-3 CAPLUS

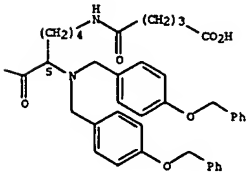
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

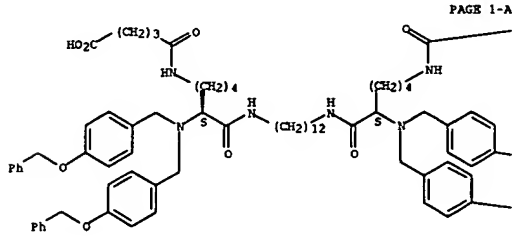


L33 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

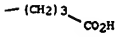
RN 247205-47-6 CAPLUS
CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

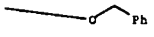
Absolute stereochemistry.

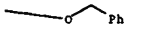
PAGE 1-A



PAGE 1-B





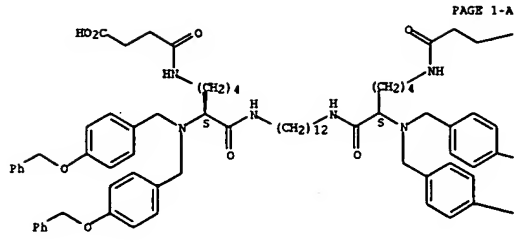


RN 247205-48-7 CAPLUS
CN 5,12,25,32-Tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

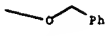
Absolute stereochemistry.

L33 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

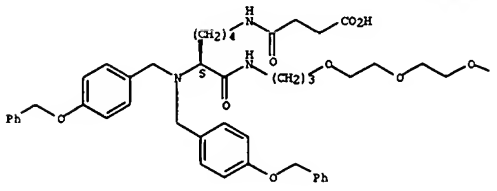


RN 247205-49-8 CAPLUS
CN 16,19,22-Trioxa-5,12,26,33-tetraazaheptatriacontanedioic acid, 10,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,27,34-tetraoxo-, (10S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L33 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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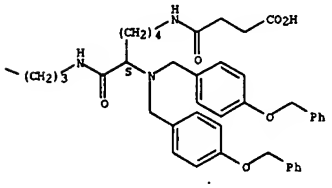
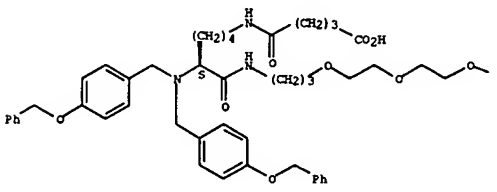


RN 247205-50-1 CAPLUS
CN 17,20,23-Trioxa-6,13,27,34-tetraazanonatriacontanedioic acid, 11,29-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,28,35-tetraoxo-, (11S,29S)- (9CI) (CA INDEX NAME)

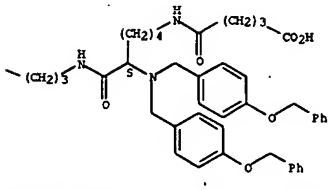
Absolute stereochemistry.

PAGE 1-A



L33 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

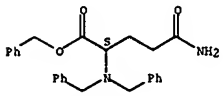
L33 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
GI

I

AB The synthesis of the (aminomethyl)indolizine I [R = (PhCH2)2N] was accomplished by starting from natural glutamine. The ring system was constructed by employing a cationic 6-exo π-cyclization of an intermediate aziridinium salt. Transformation of the N,N-dibenzyl protected amine I [R = (PhCH2)2N] into the pharmacol. relevant target compound I (R = n-Pr2N) is also described.

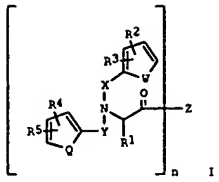
ACCESSION NUMBER: 2000:397849 CAPLUS
DOCUMENT NUMBER: 133:177085
TITLE: Synthesis of enantiopure 8-aminomethylindolizines from glutamine by stereoelectronically controlled cationic cyclization
AUTHOR(S): Lehmann, Thomas; Gmeiner, Peter
CORPORATE SOURCE: Department of Medicinal Chemistry, Emil Fischer Center, Friedrich-Alexander University, Erlangen, D-91052, Germany
SOURCE: Heterocycles (2000), 53(6), 1371-1378
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:177085
IT 235425-06-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of enantiopure 8-aminomethylindolizines from glutamine by stereoelectronically controlled cationic cyclization)
RN 235425-06-6 CAPLUS
CN L-Glutamine, N2,N2-bis(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, {NH(CH2)s}3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833
IT 247203-78-7P 247203-79-8P 247203-80-9P
247203-81-2P 247203-83-4P 247203-84-5P
247203-85-6P 247203-87-8P 247203-88-9P
247203-89-0P 247203-90-3P 247203-91-4P
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247203-95-8P 247203-96-9P 247203-98-1P
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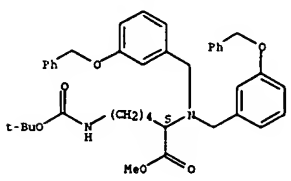
247205-56-7P 247205-57-8P 247205-58-9P
247205-59-0P 247205-60-3P 247205-61-4P
247205-62-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247203-78-7 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

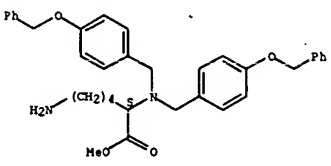
Absolute stereochemistry.



RN 247203-79-8 CAPLUS
CN L-Lysine, N2,N2-bis[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

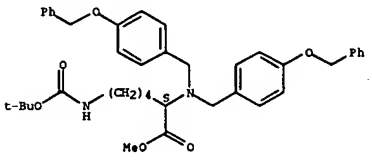
Absolute stereochemistry.

L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



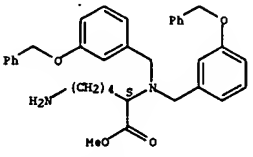
RN 247203-80-1 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



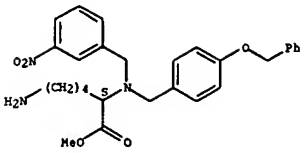
RN 247203-81-2 CAPLUS
CN L-Lysine, N2,N2-bis[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



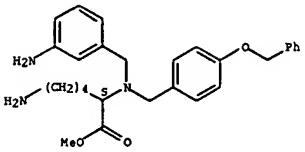
RN 247203-83-4 CAPLUS
CN L-Lysine, N2-[(3-nitrophenyl)methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



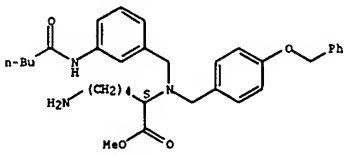
RN 247203-84-5 CAPLUS
CN L-Lysine, N2-[(3-aminophenyl)methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



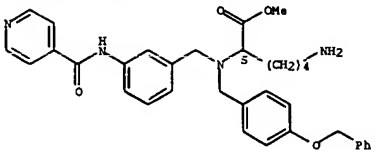
RN 247203-85-6 CAPLUS
CN L-Lysine, N2-[[3-[(1-oxopentyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



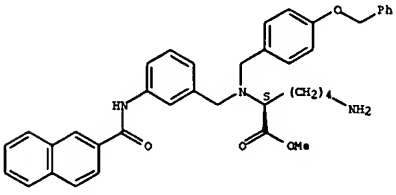
RN 247203-87-8 CAPLUS
CN L-Lysine, N2-[[3-[(2-furanylcarbonyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



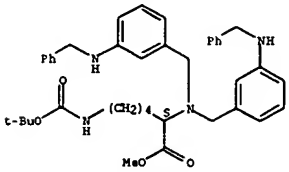
RN 247203-91-4 CAPLUS
CN L-Lysine, N2-[[3-[(2-naphthalenylcarbonyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

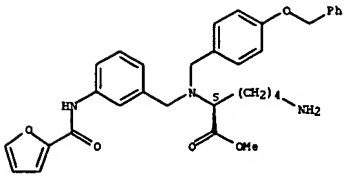


RN 247203-92-5 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-[(phenylmethyl)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

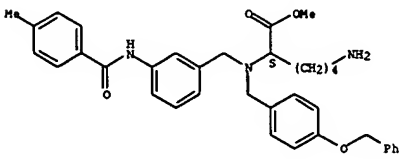


RN 247203-93-6 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-[[(2-methylphenyl)methyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



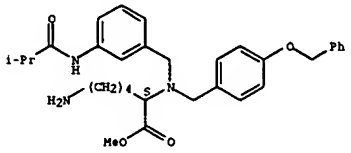
RN 247203-88-9 CAPLUS
CN L-Lysine, N2-[[3-[(4-methylbenzoyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247203-89-0 CAPLUS
CN L-Lysine, N2-[[3-[(2-methyl-1-oxopropyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

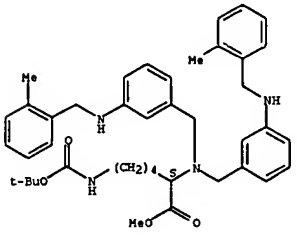
Absolute stereochemistry.



RN 247203-90-3 CAPLUS
CN L-Lysine, N2-[[4-(phenylmethoxy)phenyl]methyl]-N2-[[3-[(4-pyridinylcarbonyl)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

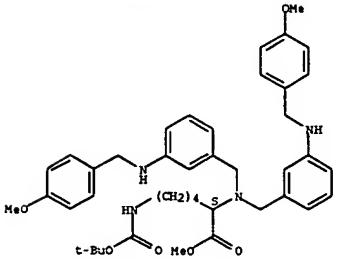
Absolute stereochemistry.

Absolute stereochemistry.



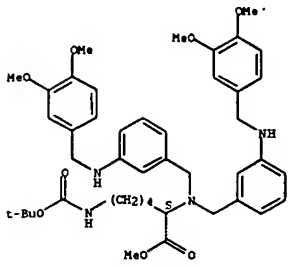
RN 247203-94-7 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[[3-[[(4-methoxyphenyl)methyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



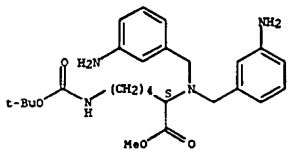
RN 247203-95-8 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[[(3,4-dimethoxyphenyl)methyl]amino]phenyl]methyl]-N6-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



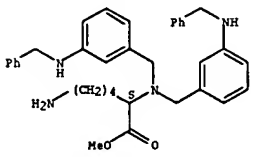
RN 247203-96-9 CAPLUS
CN L-Lysine, N2,N2-bis[(3-aminophenyl)methyl]-N6-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

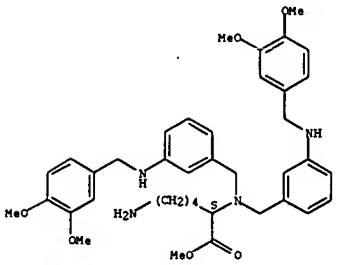


RN 247203-98-1 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[(phenylmethyl)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

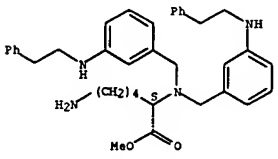


RN 247203-99-2 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[[(2-methylphenyl)methyl]amino]phenyl]methyl]-,



RN 247204-02-0 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[(2-phenylethyl)amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

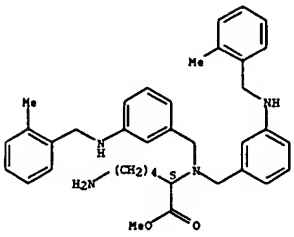


RN 247204-03-1 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[(phenylmethyl)amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

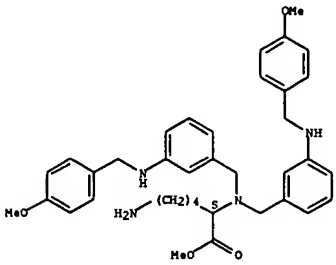
methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



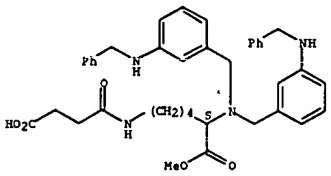
RN 247204-00-8 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[[(4-methoxyphenyl)methyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



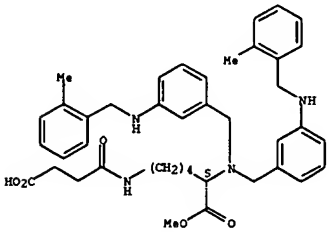
RN 247204-01-9 CAPLUS
CN L-Lysine, N2,N2-bis[[3-[[(3,4-dimethoxyphenyl)methyl]amino]phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



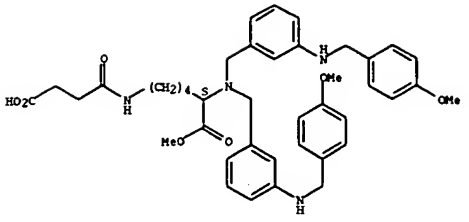
RN 247204-04-2 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[[(2-methylphenyl)methyl]amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247204-06-4 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[[(4-methoxyphenyl)methyl]amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247204-07-5 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[[(3,4-dimethoxyphenyl)methyl]amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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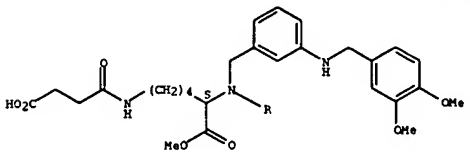

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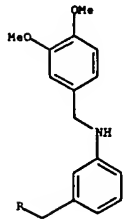
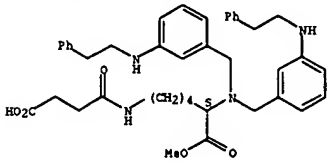


RN 247204-08-6 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2,N2-bis[[3-[(2-phenylethyl)amino]phenyl]methyl]-, 1-methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247205-35-2 CAPLUS
CN 13,16,19-Trioxa-2,9,23,30-tetraazahentriacontanedioic acid, 7,25-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,24-dioxo-, bis(1,1-dimethylethyl) ester, (7S,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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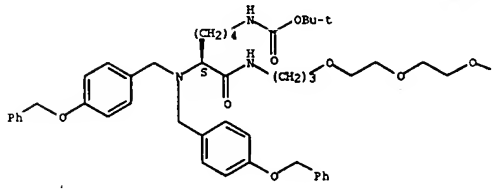

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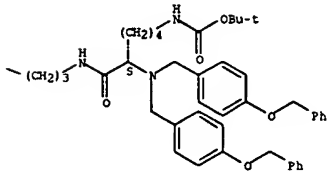

RN 247205-36-3 CAPLUS
CN 13,18-Dioxa-2,9,22,29-tetraazatriacontanedioic acid, 7,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,23-dioxo-, bis(1,1-dimethylethyl) ester, (7S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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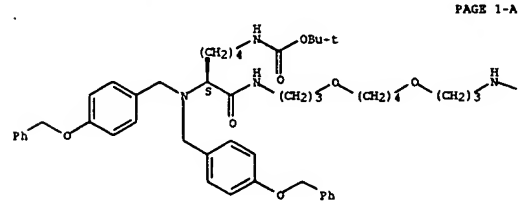

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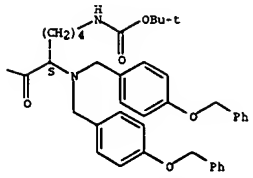

RN 247205-37-4 CAPLUS
CN 2,9,22,29-Tetraazatriacontanedioic acid, 7,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,23-dioxo-, bis(1,1-dimethylethyl) ester, (7S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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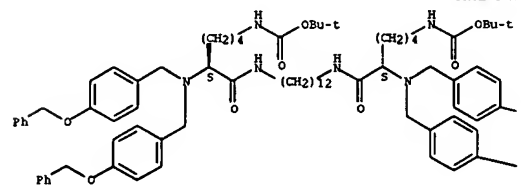

L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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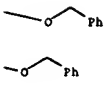

RN 247205-38-5 CAPLUS
CN 12,15-Dioxa-2,9,18,25-tetraazahexacosanedioic acid, 7,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,19-dioxo-, bis(1,1-dimethylethyl) ester, (7S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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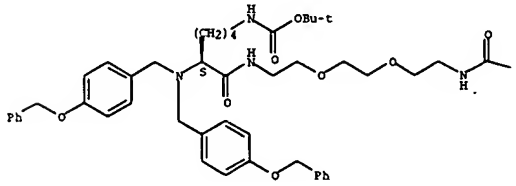
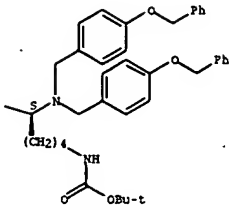


L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



RN 247205-39-6 CAPLUS
CN Hexanamide, N,N'-[1,2-ethanediylbis(oxy-2,1-ethanediyl)]bis[6-amino-2-[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-, (2S,2'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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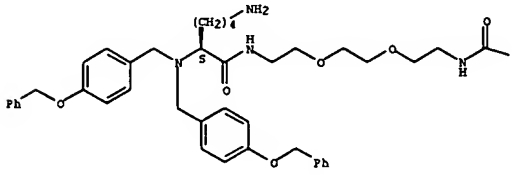
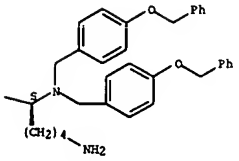


L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



RN 247205-40-9 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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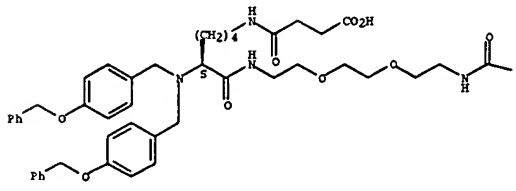

L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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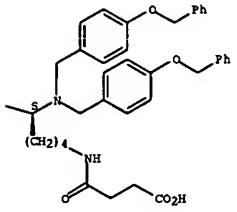

RN 247205-41-0 CAPLUS
CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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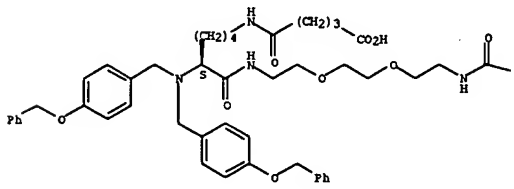

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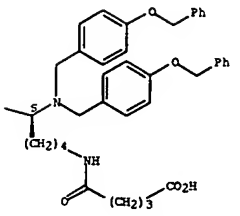

RN 247205-42-1 CAPLUS
CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,32,32-tetramethyl-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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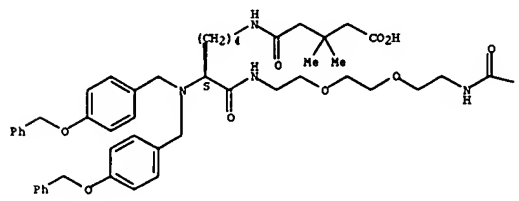

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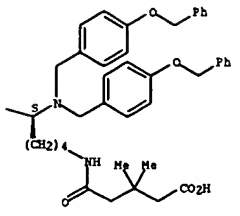

RN 247205-43-2 CAPLUS
CN 16,21-Dioxa-5,12,25,32-tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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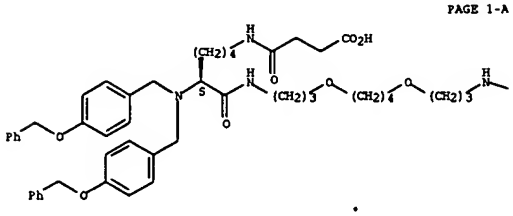

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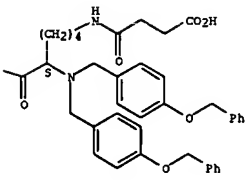

RN 247205-44-3 CAPLUS
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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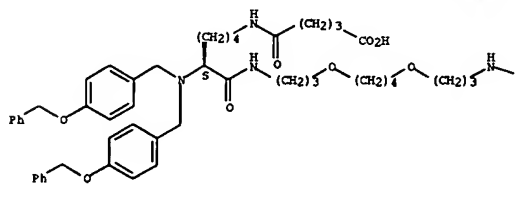

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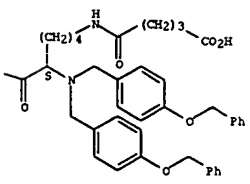

RN 247205-45-4 CAPLUS
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,36,36-tetramethyl-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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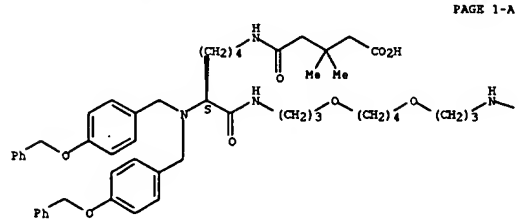

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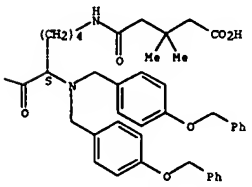

RN 247205-46-5 CAPLUS
CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,36,36-tetramethyl-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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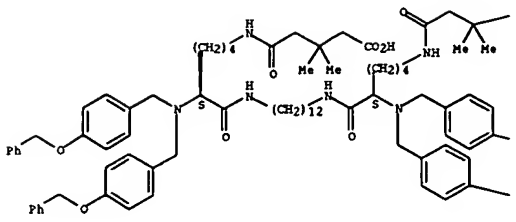

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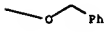

RN 247205-47-6 CAPLUS
CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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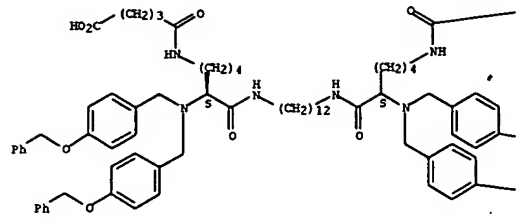

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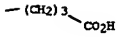

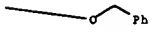

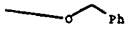

RN 247205-48-7 CAPLUS
CN 5,12,25,32-Tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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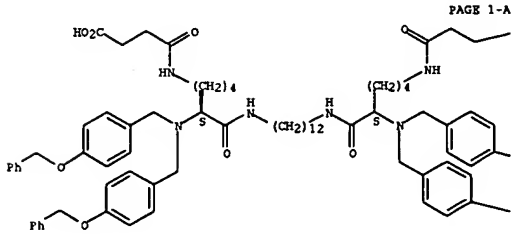

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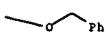
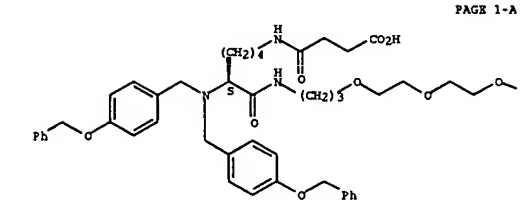


RN 247205-49-8 CAPLUS
CN 16,19,22-Trioxa-5,12,26,33-tetraazaheptatriacontanedioic acid, 10,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,27,34-tetraoxo-, (10S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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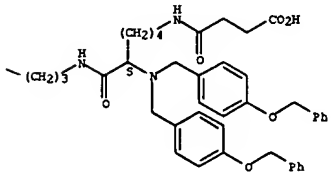
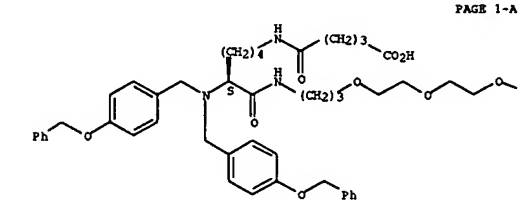


RN 247205-50-1 CAPLUS
CN 17,20,23-Trioxa-6,13,27,34-tetraazanonatriacontanedioic acid, 11,29-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,28,35-tetraoxo-, (11S,29S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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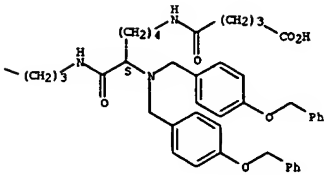
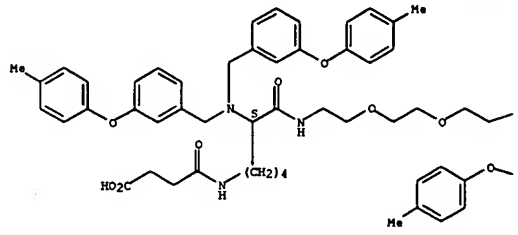


RN 247205-51-2 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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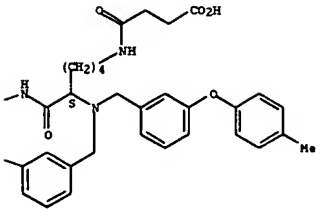
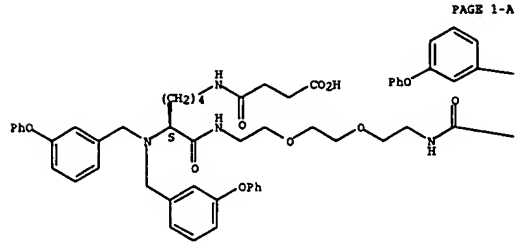


RN 247205-52-3 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[(3-phenoxyphenyl)methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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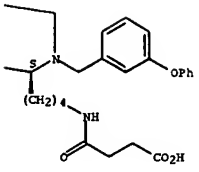
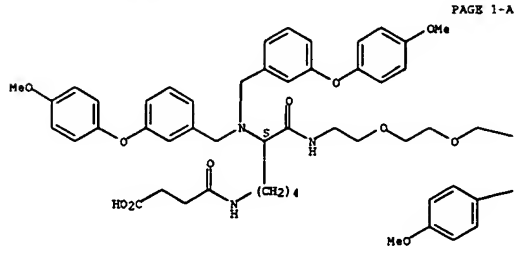


RN 247205-53-4 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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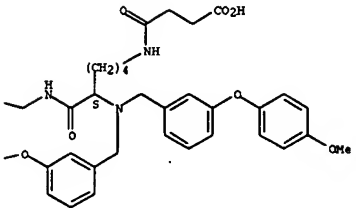
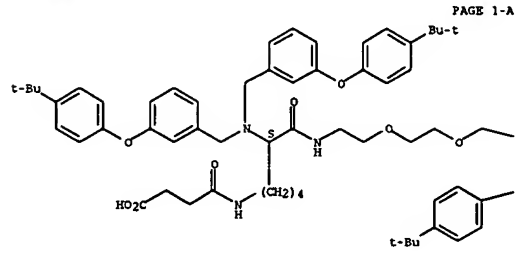


RN 247205-54-5 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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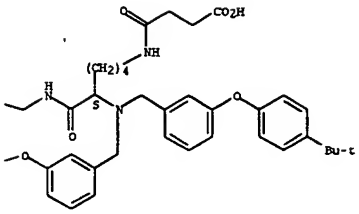
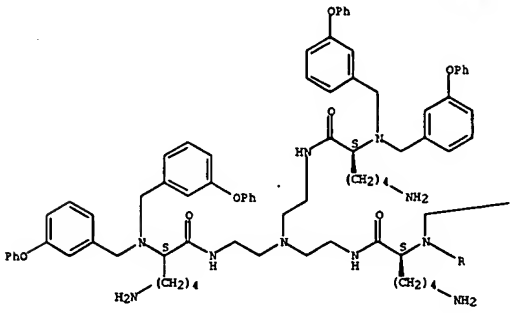


RN 247205-55-6 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[(3-phenoxyphenyl)methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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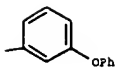
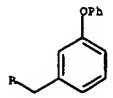


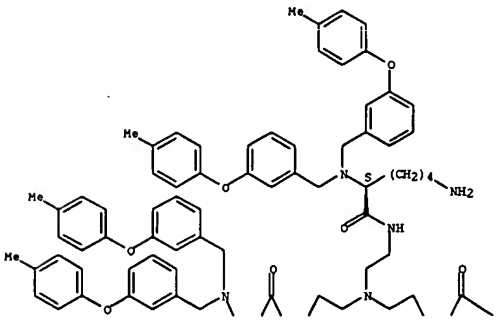
PAGE 2-A



RN 247205-56-7 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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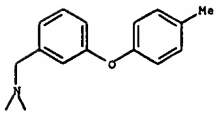

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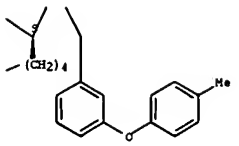
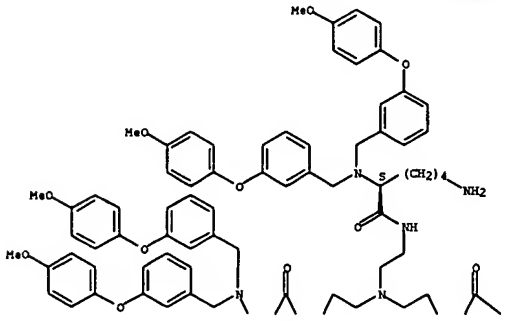
PAGE 2-B



RN 247205-57-8 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

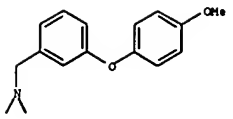
Absolute stereochemistry.

PAGE 1-A



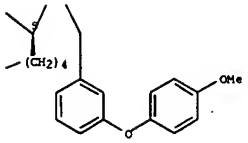
L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



PAGE 2-A

PAGE 2-B

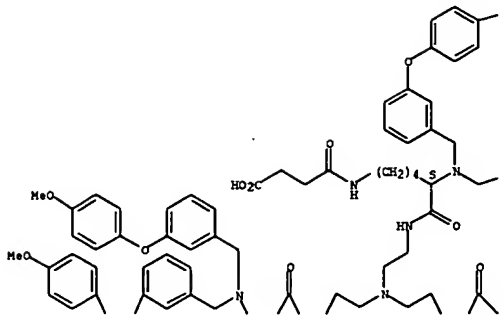


RN 247205-58-9 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-15-[2-[[(2S)-2-[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A



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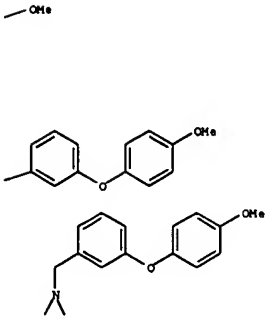
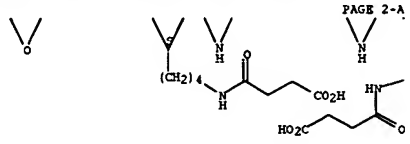


L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A



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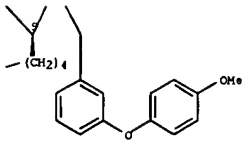
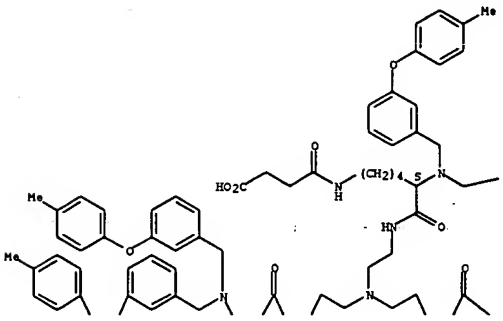


RN 247205-59-0 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-15-[2-[[(2S)-2-[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L33 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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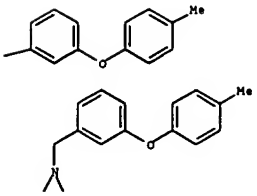

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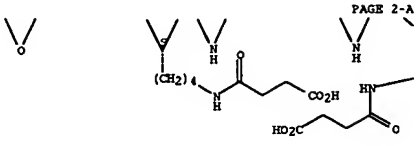

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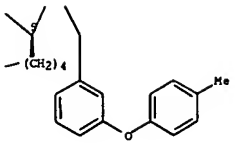
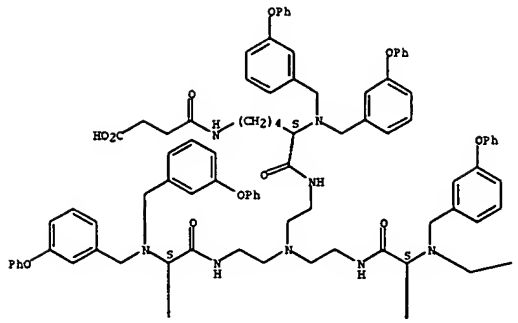


RN 247205-60-3 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[(3-phenoxyphenyl)methyl]amino]-15-[2-[[(2S)-2-[bis[(3-phenoxyphenyl)methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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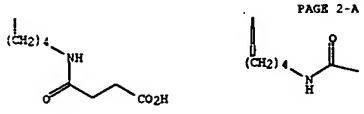
PAGE 2-A



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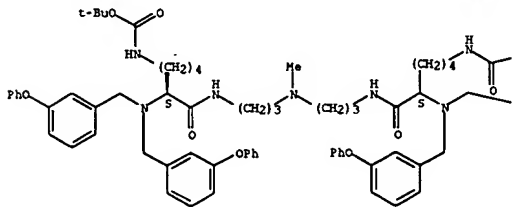
RN 247205-61-4 CAPLUS
CN 2,9,13,17,24-Pentaazapentacosanedioic acid, 7,19-bis[bis[(3-phenoxyphenyl)methyl]amino]-13-methyl-8,18-dioxo-, bis(1,1-dimethylethyl) ester, (7S,19S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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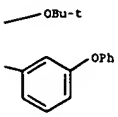
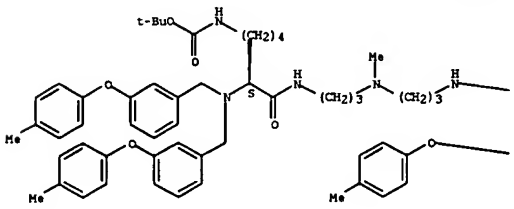


RN 247205-62-5 CAPLUS
CN 2,9,13,17,24-Pentaazapentacosanedioic acid, 7,19-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-13-methyl-8,18-dioxo-, bis(1,1-dimethylethyl) ester, (7S,19S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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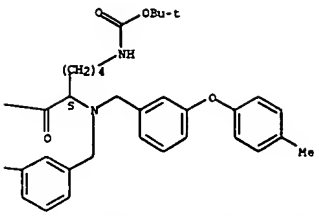
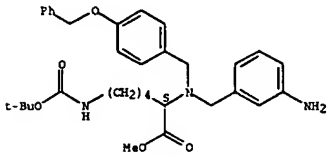


IT 247202-81-9P 247203-82-3P 247205-68-1P
247205-78-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acids as erythropoietin mimetics)

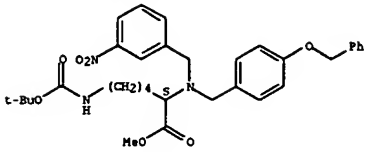
RN 247202-81-9 CAPLUS
CN L-Lysine, N2-[(3-aminophenyl)methyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



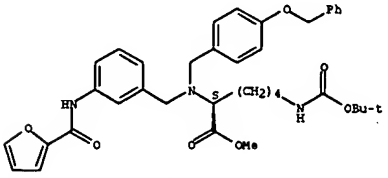
RN 247203-82-3 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(3-nitrophenyl)methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 247205-68-1 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[3-[(2-furanylcarbonyl)amino]phenyl]methyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

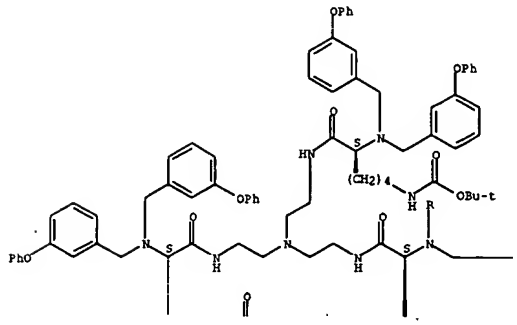
Absolute stereochemistry.



RN 247205-78-3 CAPLUS
CN 2,9,12,15,22-Pentaazatricosanedioic acid, 7,17-bis[bis[(3-phenoxyphenyl)methyl]amino]-12-[2-[[(2S)-2-[bis[(3-phenoxyphenyl)methyl]amino]-6-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]ethyl]-8,16-dioxo-, bis(1,1-dimethylethyl) ester, (7S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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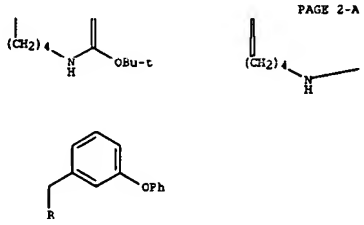
PAGE 2-A



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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AB Starting from natural asparagine the synthesis of the N-protected enantiomerically pure 3- and 4-aminopyrrolidinones was accomplished. The incorporation of these building blocks into conformationally constrained peptidomimetics was demonstrated by the synthesis of the potential dopamine receptor modulator (ss-PAOPA). Furthermore, Freidinger γ-lactams including protected dipeptide mimetics were prepared The optical integrity of the synthesis was established by NMR anal. of ureas derivs.

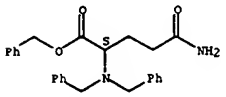
ACCESSION NUMBER: 1999:382801 CAPLUS
DOCUMENT NUMBER: 131:144489
TITLE: Chemo- and regioselective syntheses of enantiopure aminopyrrolidinones as building blocks for constrained peptidomimetics
AUTHOR(S): Lehmann, Thomas; Michel, Dorothee; Glanzel, Markus; Waibel, Reiner; Gmeiner, Peter
CORPORATE SOURCE: Institut fur Pharmazie und Lebensmittelchemie der Universitat Erlangen-Nurnberg, Erlangen, D-91052, Germany
SOURCE: Heterocycles (1999), 51(6), 1389-1400
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 235425-06-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chemoselective, regioselective synthesis of enantiopure aminopyrrolidinones as building blocks for constrained peptidomimetics)

RN 235425-06-6 CAPLUS

CN L-Glutamine, N2,N2-bis(phenylmethyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L33 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

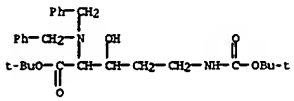
AB Two peptides were prepared by coupling 3-hydroxypropionic acid with L-ornithine and 3-hydroxy-DL-ornithine. The prepared compds. are to be tested to establish whether they are links in the biosynthesis of clavulanic acid.

ACCESSION NUMBER: 1991:450277 CAPLUS
DOCUMENT NUMBER: 115:50277
TITLE: Synthesis of peptides potentially involved in the biosynthesis of clavulanic acid
AUTHOR(S): Negro, A.; Garzon, M. J.; Martin, J. F.; El Marini, A.; Roumestant, M. L.; Lazaro, R.
CORPORATE SOURCE: Univ. Leon, Leon, 24071, Spain
SOURCE: Synthetic Communications (1991), 21(3), 359-69
CODEN: SYNCAV; ISSN: 0039-7911
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 134532-13-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and catalytic hydrogenolysis of)

RN 134532-13-1 CAPLUS

CN Ornithine, N5-[(1,1-dimethylethoxy)carbonyl]-3-hydroxy-N2,N2-bis(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L33 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AB RR1NCH(CO2H)(CH2)2COR2 [R = aralkyl; R1 = H, aroyl, (substituted) alkanoyl; R2 = H, (substituted) anilino, (substituted) alkylamino], useful as immunosuppressant (passive cutaneous and fluxes test data given), were prepared Thus, 321 mg PhCHO was added to a mixture of 463 mg N-{γ-L-glutamyl}-L-tyrosine, 10 mL MeOH, and 3 mL H2O at 0°, the resulting mixture stirred at the same temperature for 20 min, 140 mg NaBH3CN added, the resulting mixture stirred for 15 h, 157 mg PhCHO and 70 mg NaBH3CN were added, and the resulting mixture stirred at room temperature for 3 h to give 150 mg N-(N-benzyl-γ-L-glutamyl)-L-tyrosine.

ACCESSION NUMBER: 1985:422936 CAPLUS
DOCUMENT NUMBER: 103:22936
TITLE: Glutamic acid derivatives
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

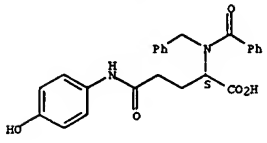
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59130253	A2	19840726	JP 1983-252519	19831226
PRIORITY APPLN. INFO.:			GB 1983-11 A	19830104

IT 96992-03-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 96992-03-9 CAPLUS

CN L-Glutamine, N2-benzoyl-N-(4-hydroxyphenyl)-N2-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

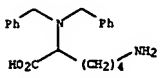


L33 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN

AB cf. C.A. 50, 15429h. Tritylation of Me lysinate gave DL-TNH(CH2)4CH(NHT)CO2Me, (T = CPh3) (I), m. 149-50° (cyclohexane). Alkaline saponification of I yielded DL-TNH(CH2)4CH(NHT)CO2H (II), obtained as the DL-Et2NH salt containing solvent of crystallization, from Me L-lysinate, m. 130° (decomposition) (EtCOMe), and as the L-Et2NH salt, m. 150°, [α]20D 23 ± 1° (2%, CHCl3). Condensation of II (Et2NH salt) with di-Et L-glutamate in the presence of dicyclohexylcarbodiimide gave TNH(CH2)4-CH(NHT)CONHCH(CO2Et)CH2CH2CO2Et (III). Selective detritylation of III with HCl in Me2CO at 35° resulted in TNH(CH2)4CH(NH2)CONHCH(CO2Et)CH2CH2CO2Et (IV), which was only partially purified. Condensation of IV with an N-trityl amino acid or peptide yielded an N-trityl polypeptide in which the carboxyl and α-amino groups of the lysine residue were bound to the rest of the peptide chain and the ε-amino group was blocked by a trityl group. Saponification and hydrolysis with dilute AcOH of the N-trityl polypeptide then yielded the free polypeptide. Thus, IV condensed with N-tritylglycine and the product saponified yielded TNH(CH2)4CH(NHR')COR (V) (R' = COCH2NHT and R = NHCH(CH2CH2CO2H)CO2H), m. 130-40°, [α]20D 47 ± 2° (2%, CHCl3); Et2NH salt, m. 115° (decomposition), [α]20D 21 ± 2° (1%, CHCl3). This compound refluxed 5 min. with 50% aqueous AcOH yielded V (R' = COCH2NH2 and R = NHCH2(CH2CH2CO2H)CO2H), m. 295-300° (decomposition), [α]20D -33 ± 2° (1%, H2O). In order to prepare a lysyl peptide, it was only necessary to saponify and completely detritylate with AcOH the Nα,Nε-ditrityl lysyl peptide (such as III). In this way there were obtained H2N(CH2)4-CH(NH2)CONHCH2CO2H, m. 200° (decomposition), and H2N(CH2)4CH(NH2)CONHCH(CH2CH2CO2H)CO2H, m. near 150° (dilute Me2CO), [α]20D 4 ± 1° (2%, EtOH). An α-peptide of lysine in which the carboxyl group was free was prepared by selective detritylation of I in the α-position by controlled hydrolysis, condensation of the free amino group of the resultant TNH(CH2)4CH(NH2)CO2Me with an N-tritylamino acid or peptide, saponification, and detritylation. Thus, TNH(CH2)4CH(CO2H)NHCOCH2NHT, m. near 130° (CHCl3-Et2O), [α]20D 22 ± 2° (2%, CHCl3), was prepared from I by condensation with N-tritylglycine and saponification of the product. Hydrolysis of this material with aqueous HCl-AcOH gave H2N(CH2)4CH(CO2H)NHCOCH2NH2, [α]20D -13 ± 2° (2%, 0.5N HCl). In like manner, H2N(CH2)4-CH(CO2H)NHCOCH(NH2)(CH2)4NH2 was prepared; di-flavianate, m. 210° (decomposition). Among the compds. prepared in further study of the reactions were the following: Nε-trityl-DL-lysine, m. 225-230°; Nε-trityl-L-lysine, m. 230° (decomposition), [α]20D 9 ± 2° (2%, N HCl); and Nα,Nε-dibenzyl-DL-lysine, m. 130° then 210°.

ACCESSION NUMBER: 1958:25223 CAPLUS
DOCUMENT NUMBER: 52:25223
ORIGINAL REFERENCE NO.: 52:4498g-i,4499a-d
TITLE: N-Trityl α-amino acids and their application to peptide synthesis. IV. Lysine derivatives
AUTHOR(S): Amiard, Gaston; Goffinet, Bernard
CORPORATE SOURCE: Serv. recherches, Roussel-Uclaf
SOURCE: Bulletin de la Societe Chimique de France (1957) 1133-6
CODEN: BSCFAS; ISSN: 0037-8968
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

L33 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): CASREACT 52:25223
IT 95555-39-8, Lysine, N2,N2-dibenzyl-, DL-
(preparation of)
RN 95555-39-8 CAPLUS
CN Lysine, N2,N2-dibenzyl-, DL- (6CI, 7CI) (CA INDEX NAME)



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STRUCTURE FILE UPDATES:     7 MAR 2005  HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES:    7 MAR 2005  HIGHEST RN 844431-17-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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http://www.cas.org/ONLINE/DBSS/registryss.html

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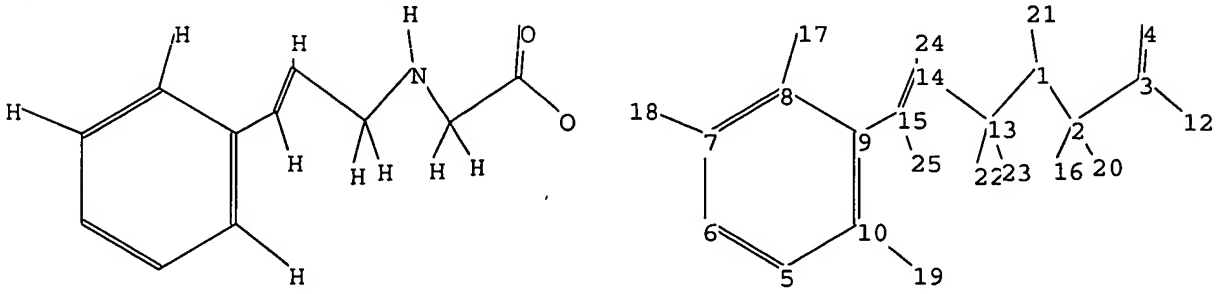


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1  2  3  4  12  13  14  15  16  17  18  19  20  21  22  23  24  25
ring nodes :
5  6  7  8  9  10
chain bonds :
1-13  1-2  1-21  2-3  2-16  2-20  3-4  3-12  7-18  8-17  9-15  10-19  13-14  13-22
13-23  14-15  14-24  15-25
ring bonds :
5-6  5-10  6-7  7-8  8-9  9-10
exact/norm bonds :
1-13  1-2  3-4  3-12
exact bonds :
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15-25
normalized bonds :
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G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L34 STRUCTURE UPLOADED

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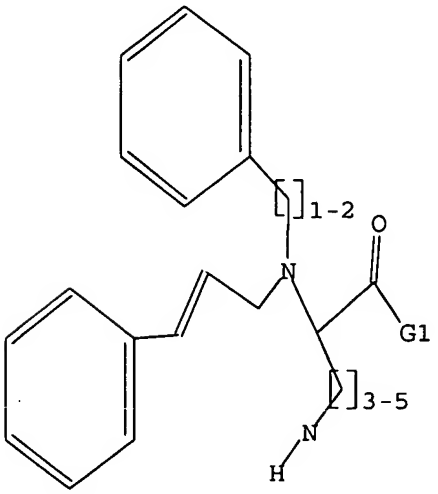

G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 13 TO ITERATE

100.0% PROCESSED 13 ITERATIONS 2 ANSWERS
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FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 44 TO 476
PROJECTED ANSWERS: 2 TO 124

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SEARCH TIME: 00.00.01

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FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l36
L37             5 L36

=> d l37 1-5 abs ibib
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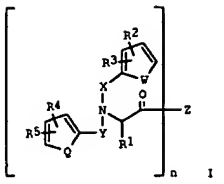
L37 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Solution-phase and polymer-bound cyclization reactions are presented as a method for the stereoselective preparation of tetrahydroquinolines and tetrahydrobenzoxazepines with multiple points of variation as a potential method for combinatorial synthesis. Aldehydes connected to pendant alkenes undergo condensation with aromatic amines to give iminium ions which can either react intramol. by aza-Diels-Alder cycloaddn. reactions with pendant alkenes to give fused tetrahydroquinolines such as pyrroloquinoline I or intermolecularly with amino alcs. to give fused pyrrolidinones such as II. The stepwise nature of the cyclizations allows the reactivity to be varied through the presence or absence of external nucleophiles. Salicylaldehyde-derived aldehydes, amides and esters of glyoxalic acid, and aldehydes derived from L-amino acids are used as the aldehyde components; this allows potential variability at the aldehyde, linker, and alkene moieties. Aza-Diels-Alder cycloaddn. reactions give products with up to four stereocenters; the products of cycloaddn. are racemic, even when aldehydes derived from L-amino acids are used as aldehyde substrates. Addition of amino alcs. also gives racemic product except when D- or L-alaninol is used as the amino alc. component. The aza-Diels-Alder cycloaddn. of the aminoaldehydes is adapted and optimized for solid phase synthesis.

ACCESSION NUMBER: 2002:608591 CAPLUS
DOCUMENT NUMBER: 137:294854
TITLE: Combinatorial Synthetic Design. Solution and Polymer-Supported Synthesis of Heterocycles via Intramolecular Aza Diels-Alder and Imino Alcohol Cyclizations
AUTHOR(S): Spaller, Mark R.; Thielemann, Wolfgang T.; Brennan, Paul E.; Bartlett, Paul A.
CORPORATE SOURCE: Center for New Directions in Organic Synthesis, University of California, Berkeley, CA, 94720-1460, USA
SOURCE: Journal of Combinatorial Chemistry (2002), 4(5), 516-522
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:294854
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312
PRIORITY APPLN. INFO.:			US 1998-82392P	P 19980420
			US 1999-294785	B2 19990419
			US 2000-517976	A3 20000303
			US 2001-927111	A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L37 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

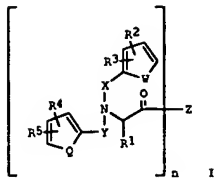
L37 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

AB Several variations of a solid-phase strategy for the synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivs. are presented. Starting from the corresponding Nα-Fmoc amino acids on Wang resin, reductive alkylation using nitrocinnamaldehyde or a substituted benzaldehyde was followed by nucleophilic displacement of a substituted benzyl halide or nitrocinnamyl bromide to provide resin-bound intermediates. Diversity was added by reduction of the nitro group and derivatization of the resulting aminocinnamyl moiety with a variety of acylating or sulfonylating reagents. Using an orthogonal protecting group strategy, Nε-Dde-protected lysine derivs. were further functionalized at the side-chain amino group prior to cleavage from resin. This method allows for the preparation of analog libraries having up to four points of diversity.

ACCESSION NUMBER: 2000:471558 CAPLUS
DOCUMENT NUMBER: 133:252676
TITLE: Solid-phase synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivatives
AUTHOR(S): Connolly, P. J.; Beers, K. N.; Wetter, S. K.; Murray, W. V.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Tetrahedron Letters (2000), 41(27), 5187-5191
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:252676
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L37 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419
OTHER SOURCE(S): MARPAT 131:310833
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	13.70	1968.20

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-73.73

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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

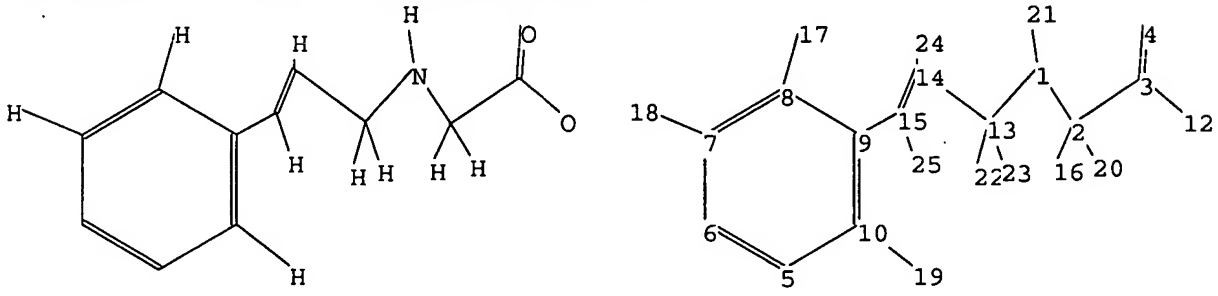
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22
13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :

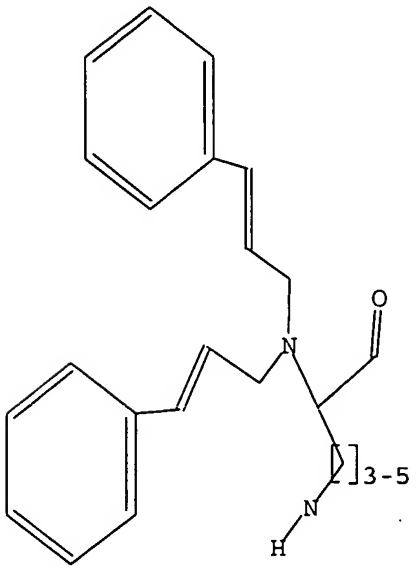
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L38 STRUCTURE UPLOADED

=> d query
L38 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l38
SAMPLE SEARCH INITIATED 15:36:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298

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PROJECTED ANSWERS:                5 TO      234

L39            5 SEA SSS SAM L38

=> s l38 full
FULL SEARCH INITIATED 15:36:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     123 TO ITERATE

100.0% PROCESSED     123 ITERATIONS                                73 ANSWERS
SEARCH TIME: 00.00.01

L40           73 SEA SSS FUL L38

=> fil caplus
COST IN U.S. DOLLARS                                  SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     163.05    2131.25

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)            SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00     -73.73

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FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l40
L41            3 L40

=> d l41 1-3 abs ibib
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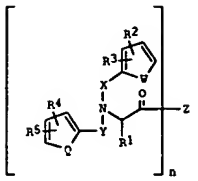
L41 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312

PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
US 1999-294785 B2 19990419
US 2000-517976 A3 20000303
US 2001-927111 A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

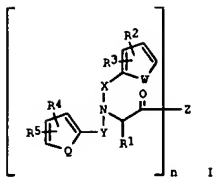
L41 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L41 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-twas prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L41 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	8.40	2139.65

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.19	-75.92

FILE 'REGISTRY' ENTERED AT 15:37:01 ON 09 MAR 2005
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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

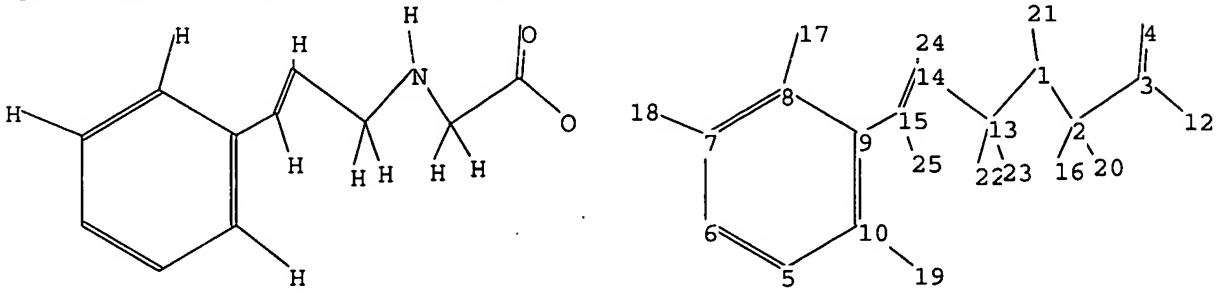
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22
13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :

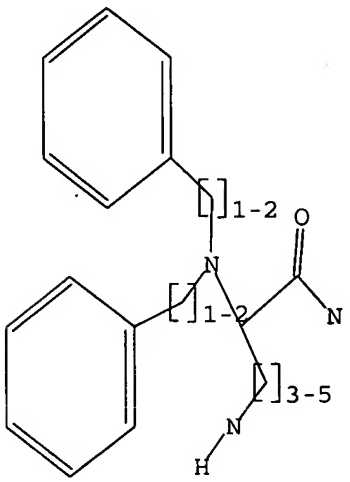
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L42 STRUCTURE UPLOADED

=> d query
L42 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l42
SAMPLE SEARCH INITIATED 15:39:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13267 TO ITERATE

7.5% PROCESSED 1000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 258441 TO 272239
PROJECTED ANSWERS: 0 TO 0

```
L43             0 SEA SSS SAM L42

=> s 142 full
FULL SEARCH INITIATED 15:39:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 266231 TO ITERATE

100.0% PROCESSED  266231 ITERATIONS                          32 ANSWERS
SEARCH TIME: 00.00.03

L44            32 SEA SSS FUL L42

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              162.62    2302.27

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00     -75.92

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FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l44
L45             7 L44

=> d l45 1-7 abs ibib hitstr
```

L45 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
GI

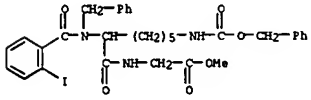
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Methods for the synthesis of dihydroazaphenanthrene fused to macrocycles I [X = CH2, O, Y = (CH2)m, m = 1,2,4,6, n = 1,2] and medium-ring heterocycles II (R1 = H, Me, CH2Ph, etc., R2 = Me, n-Bu, CH2Ph, etc.) as well as 1,4-benzodiazepine-2,5-diones, e.g. III, are developed. A distinctly different catalytic property of palladium and copper catalysts was uncovered that leads to the development of a divergent synthesis of two different heterocyclic scaffolds from the same starting materials, simply by metal-switching. Thus, starting from linear amide IV, palladium acetate triggers a domino intramol. N-arylation/C-H activation/aryl-aryl bond-forming process to provide II, while copper iodide promotes only the intramol. N-arylation reaction leading to the 1,4-benzodiazepine-2,5-diones. In combination with the Ugi multicomponent reaction (Ugi-4CR) for the preparation of the linear amides, a two-step synthesis of either the 5,6-dihydro-8H-5,7a-diazacyclohepta[jk]phenanthrene-4,7-dione (4) or 1,4-benzodiazepine-2,5-diones (5), by appropriate choice of metal catalyst, is subsequently developed from very simple starting materials.

ACCESSION NUMBER: 2004:832531 CAPLUS
DOCUMENT NUMBER: 142:38222
TITLE: Palladium- and Copper-Catalyzed Synthesis of Medium- and Large-Sized Ring-Fused Dihydroazaphenanthrenes and 1,4-Benzodiazepine-2,5-diones. Control of Reaction Pathway by Metal-Switching
AUTHOR(S): Cuny, Guylaine; Bois-Choussy, Michele; Zhu, Jieping
CORPORATE SOURCE: Institut de Chimie des Substances Naturelles, CNRS, Gif-sur-Yvette, 91198, Fr.
SOURCE: Journal of the American Chemical Society (2004), 126(44), 14475-14484
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 807354-95-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of linear α-acetamido amides via Ugi 4-component coupling and their palladium- and copper-catalyzed cyclization to give fused azaphenanthrenes and benzodiazepinediones)

RN 807354-95-6 CAPLUS
CN Glycine, N-[2-[(2-iodobenzoyl)(phenylmethyl)amino]-1-oxo-7-[[(phenylmethoxy)carbonyl]amino]heptyl]-, methyl ester (9CI) (CA INDEX NAME)



L45 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 152 THERE ARE 152 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AB A method of treating hyperresorptive bone disorders through the direct inhibition of the Src protein tyrosine kinase involves administering a pharmaceutically effective amount of certain amide, sulfonamide, and urea compds.; whereas, these compds. may also be used for inhibiting the Src protein tyrosine kinase generally in humans for therapeutic purposes. An exemplary amide compound is N-[4-amidinobenzoyl]-N-[3-phenoxybenzyl]-3-(4-biphenyl)alanylglycylamide.

ACCESSION NUMBER: 2003:174468 CAPLUS
DOCUMENT NUMBER: 138:215278
TITLE: Method of treating hyperresorptive bone disorders by inhibition of Src protein tyrosine kinase
INVENTOR(S): Safar, Pavel; Walser, Armin
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

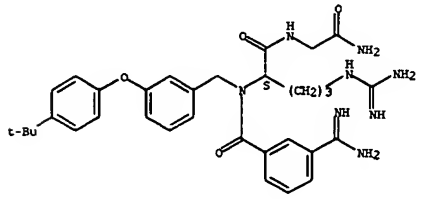
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003045480	A1	20030306	US 2002-191446	20020709
PRIORITY APPLN. INFO.:			US 2001-303851P P	20010709

OTHER SOURCE(S): MARPAT 138:215278

IT 488839-44-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method of treating hyperresorptive bone disorders)

RN 488839-44-7 CAPLUS
CN Glycinamide, N2-[3-(aminoiminomethyl)benzoyl]-N2-[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L45 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AB Amino acid derivs., e.g., HZNC(:NH)C6H4CONR1CHR2CONH(CH2)1-6CONH2 [R1 = m-PhOC6H4CH2, p-PhC6H4CH2 (C6H4 may be alkyl-substituted); R2 = (CH2)1-4NHC(:NH)NH2, (CH2)1-4cycloalkyl, (CH2)0-1Ar1, where Ar1 = aminophenyl, biphenylyl, naphthyl, 2- or 3-indolyl], including enantiomers, stereoisomers and tautomers as well as pharmaceutically-acceptable salts, were prepared for inhibiting Src protein tyrosine kinase. Thus, N-(4-amidinobenzoyl)-N-(3-phenoxybenzyl)-3-(4-biphenylalanyl)glycylamide, prepared by the solid-phase method of peptide synthesis using polystyrene-RAM, showed IC50 = 22 μM for inhibition of Src kinase.

ACCESSION NUMBER: 2003:58070 CAPLUS
DOCUMENT NUMBER: 138:122861
TITLE: Preparation of substituted amides, sulfonamides and ureas useful for inhibiting kinase activity
INVENTOR(S): Safar, Pavel; Walser, Armin; Shimshock, Stephen J.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

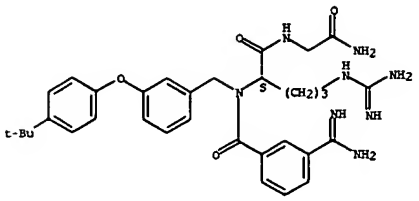
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006444	A2	20030123	WO 2002-US21525	20020709
WO 2003006444	A3	20040311		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003087832	A1	20030508	US 2002-191718	20020709
US 6777577	B2	20040817		
EP 1423373	A2	20040602	EP 2002-749842	20020709
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504023	T2	20050210	JP 2003-512216	20020709
US 2004204582	A1	20041014	US 2004-835630	20040430
PRIORITY APPLN. INFO.:			US 2001-304020P P	20010709
			GB 2001-27615 A	20011119
			US 2002-191718 A3	20020709
			WO 2002-US21525 W	20020709

OTHER SOURCE(S): MARPAT 138:122861

IT 488839-44-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amides, sulfonamides and ureas useful for inhibiting kinase activity)

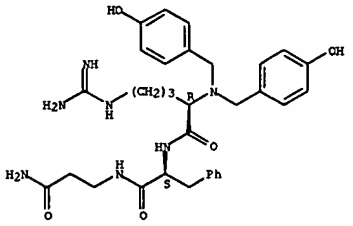
RN 488839-44-7 CAPLUS
CN Glycinamide, N2-[3-(aminoiminomethyl)benzoyl]-N2-[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]-L-arginyl- (9CI) (CA INDEX NAME)

L45 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



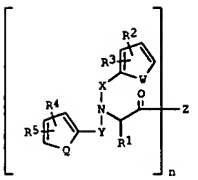
L45 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
AB Ten Tyr-D-Arg-Phe-βAla-NH2 (YRFB) analogs in which specific amino acid side chains are shifted to the Nα-position were synthesized, and the binding to these analogs to the μ receptor and their in vitro biol. properties were evaluated. Some analogs in which a N,N-bis(p-hydroxybenzyl)-Gly residue was substituted for Tyr1 exhibited μ receptor antagonist activities (pA2) between 5.3 and 6.1. Of these analogs, [N,N-bis(p-hydroxybenzyl)-Gly1]YRFB was found to be the most potent specific antagonist for the μ-opioid receptor.
ACCESSION NUMBER: 2002:858562 CAPLUS
DOCUMENT NUMBER: 138:188055
TITLE: Novel [D-Arg2]dermorphin(1-4) analogs with μ-opioid receptor antagonist activity
AUTHOR(S): Ambo, Akihiro; Terashima, Takanori; Sasaki, Yusuke
CORPORATE SOURCE: Tohoku Pharmaceutical University, Sendai, 981-8558, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (2002), 50(10), 1401-1403
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:188055
IT 499771-41-4P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of dermorphin analogs and μ-opioid receptor-binding structure-activity relationship)
RN 499771-41-4 CAPLUS
CN β-Alaninamide, N2,N2-bis[(4-hydroxyphenyl)methyl]-D-arginyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation
ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

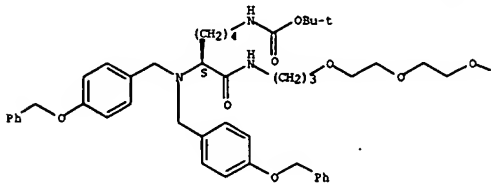
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6310078	B1	20011030	US 2000-517976		20000303
US 2002016350	A1	20020207	US 2001-927111		20010810
US 6750369	B2	20040615			
US 2004248815	A1	20041209	US 2004-799324		20040312
PRIORITY APPLN. INFO.:			US 1998-82392P	P	19980420
			US 1999-294785	B2	19990419
			US 2000-517976	A3	20000303
			US 2001-927111	A3	20010810

OTHER SOURCE(S): MARPAT 135:331670
IT 247205-35-2P 247205-36-3P 247205-37-4P 247205-38-5P 247205-39-6P 247205-40-9P

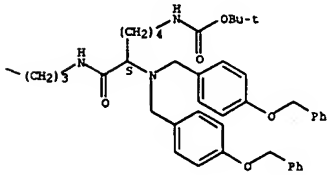
L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
247205-41-0P 247205-42-1P 247205-43-2P 247205-44-3P 247205-45-4P 247205-46-5P 247205-47-6P 247205-48-7P 247205-49-8P 247205-50-1P 247205-51-2P 247205-52-3P 247205-53-4P 247205-54-5P 247205-55-6P 247205-56-7P 247205-57-8P 247205-58-9P 247205-59-0P 247205-60-3P 247205-61-4P 247205-62-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amino acids as erythropoietin mimetics)
RN 247205-35-2 CAPLUS
CN 13,16,19-Trioxa-2,9,23,30-tetraazahentriacontanedioic acid, 7,25-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,24-dioxo-, bis(1,1-dimethylethyl) ester, (7S,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



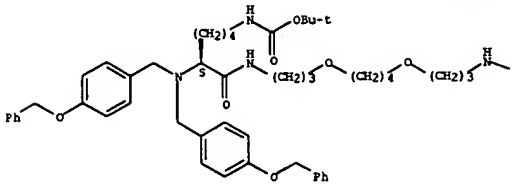
PAGE 1-B



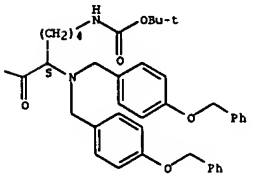
RN 247205-36-3 CAPLUS
CN 13,18-Dioxa-2,9,22,29-tetraazatriacontanedioic acid, 7,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,23-dioxo-, bis(1,1-dimethylethyl) ester, (7S,24S)- (9CI) (CA INDEX NAME)

L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.

PAGE 1-A



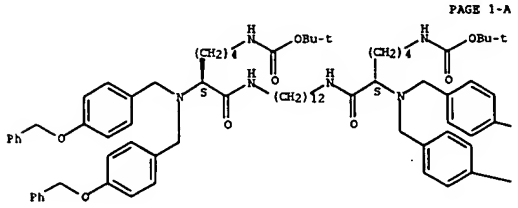
PAGE 1-B



RN 247205-37-4 CAPLUS
CN 2,9,22,29-Tetraazatriacontanedioic acid, 7,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,23-dioxo-, bis(1,1-dimethylethyl) ester, (7S,24S)- (9CI) (CA INDEX NAME)

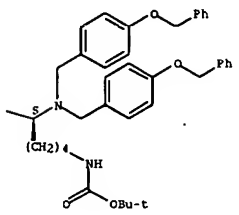
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

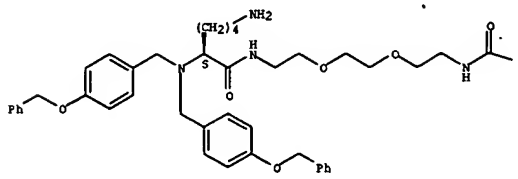
PAGE 1-B



RN 247205-39-6 CAPLUS
CN Hexanamide, N,N'-[1,2-ethanediylbis(oxy-2,1-ethanediyl)]bis[6-amino-2-[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-, (2S,2'S)- (9CI) (CA INDEX NAME)

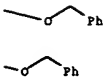
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

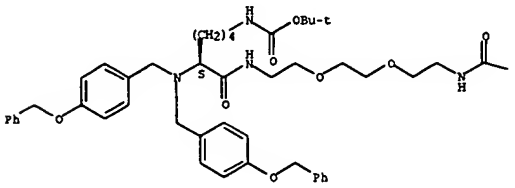
PAGE 1-B



RN 247205-38-5 CAPLUS
CN 12,15-Dioxa-2,9,18,25-tetraazahexacosanedioic acid, 7,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,19-dioxo-, bis(1,1-dimethylethyl) ester, (7S,20S)- (9CI) (CA INDEX NAME)

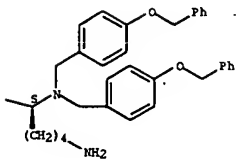
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

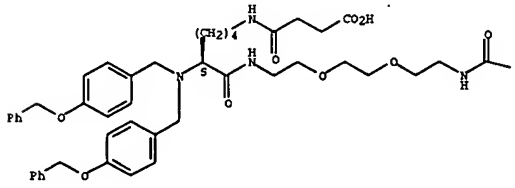
PAGE 1-B



RN 247205-40-9 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

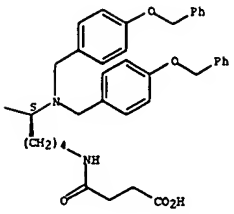
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

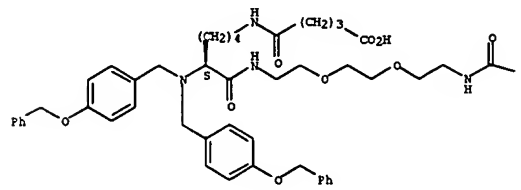
PAGE 1-B



RN 247205-41-0 CAPLUS
CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

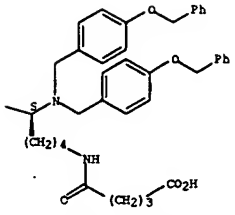
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

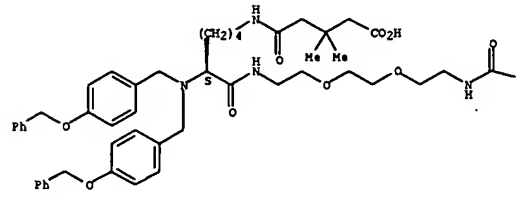
PAGE 1-B



RN 247205-42-1 CAPLUS
CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,32,32-tetramethyl-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

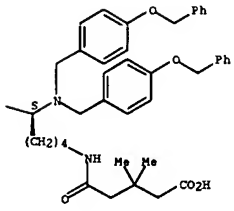
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

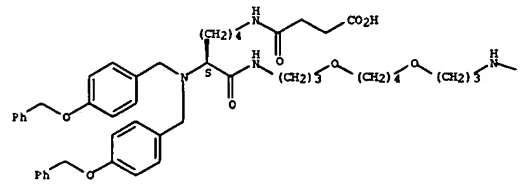
PAGE 1-B



RN 247205-43-2 CAPLUS
CN 16,21-Dioxa-5,12,25,32-tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

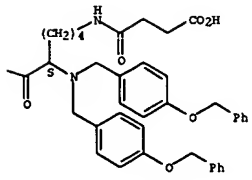
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

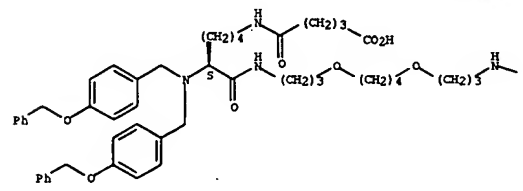
PAGE 1-B



RN 247205-44-3 CAPLUS
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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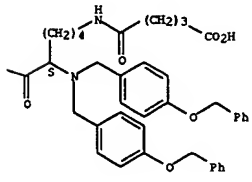


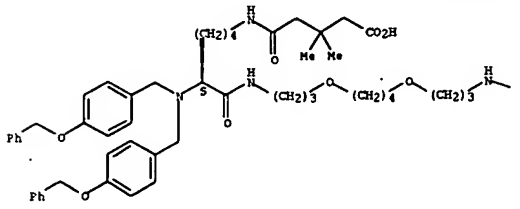
L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 247205-45-4 CAPLUS

CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,36,36-tetramethyl-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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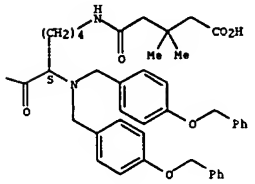


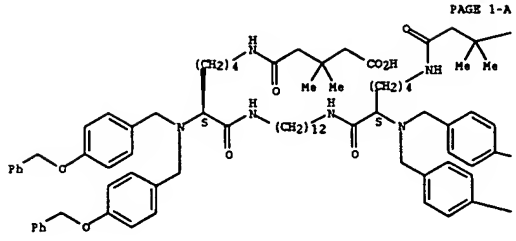
RN 247205-46-5 CAPLUS

CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,36,36-tetramethyl-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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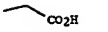
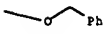
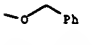






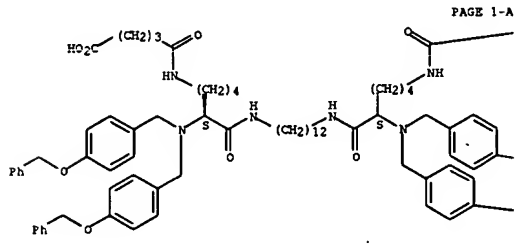
RN 247205-47-6 CAPLUS

CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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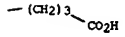
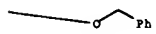
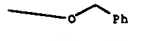






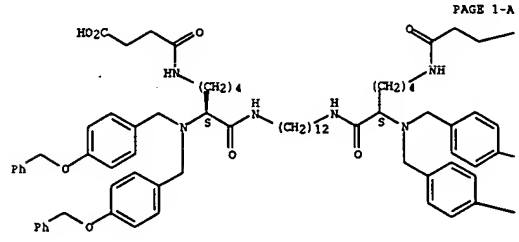
RN 247205-48-7 CAPLUS

CN 5,12,25,32-Tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

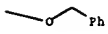
Absolute stereochemistry.

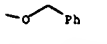
L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



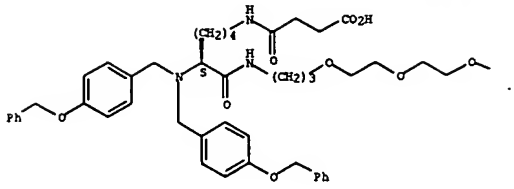


RN 247205-49-8 CAPLUS

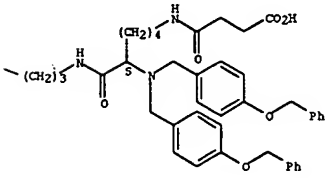
CN 16,19,22-Trioxa-5,12,26,33-tetraazaheptatriacontanedioic acid, 10,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,27,34-tetraoxo-, (10S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



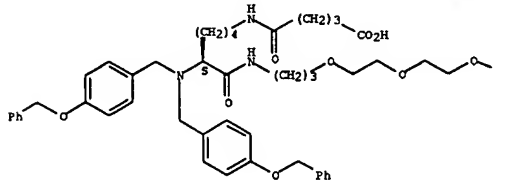
PAGE 1-B



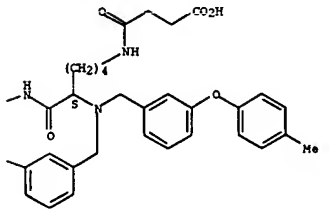
RN 247205-50-1 CAPLUS
CN 17,20,23-Trioxa-6,13,27,34-tetraazanonatriacontanedioic acid, 11,29-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,28,35-tetraoxo-, (11S,29S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



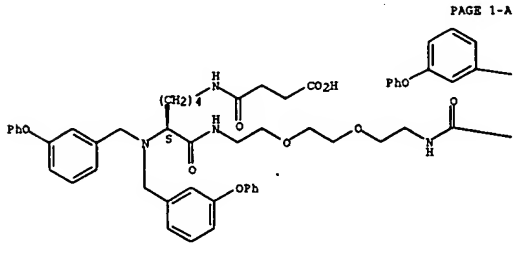
PAGE 1-B



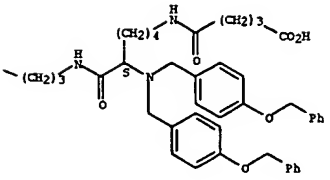
RN 247205-52-3 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[(3-phenoxyphenyl)methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 247205-51-2 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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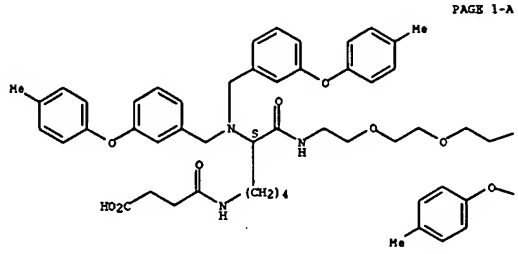
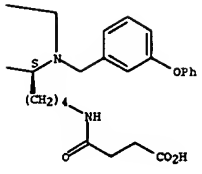


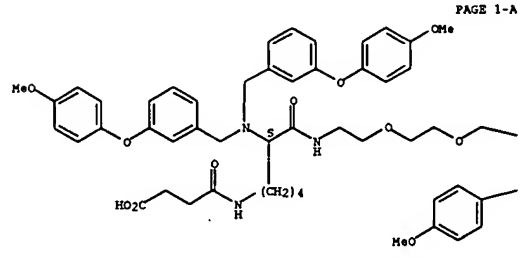
PAGE 1-B



RN 247205-53-4 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

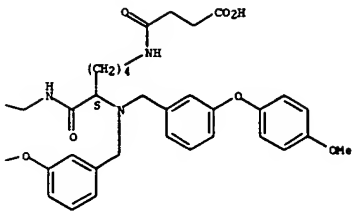
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

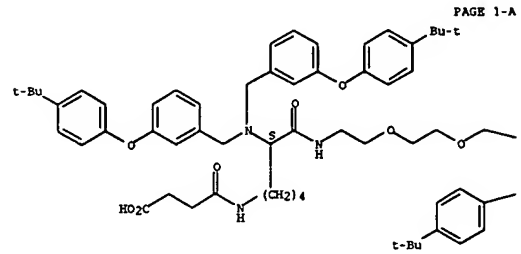
PAGE 1-B



RN 247205-54-5 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

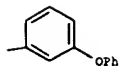
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



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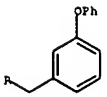
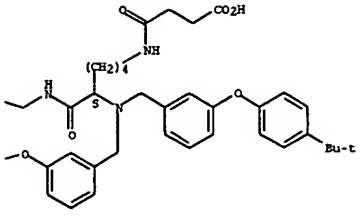


RN 247205-56-7 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

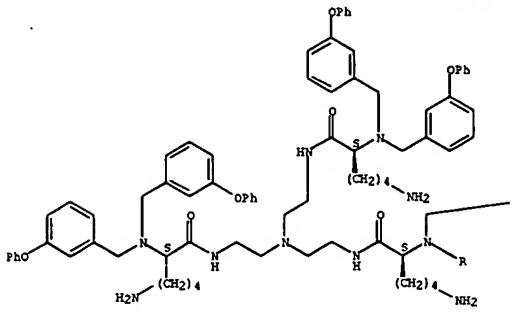
PAGE 1-B



RN 247205-55-6 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[(3-phenoxyphenyl)methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

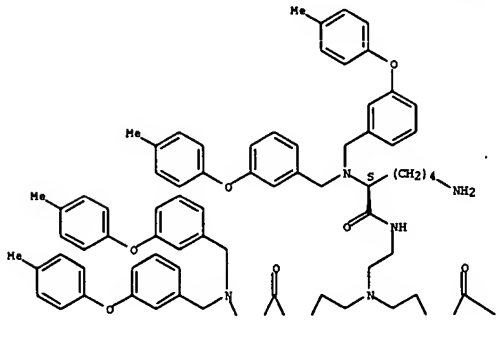
Absolute stereochemistry.

PAGE 1-A

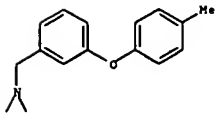


L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



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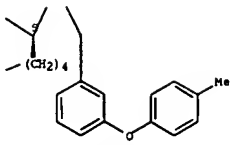
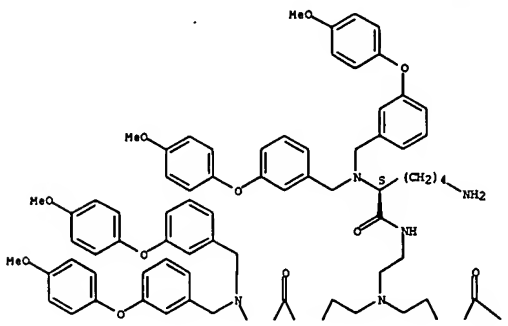


RN 247205-57-8 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

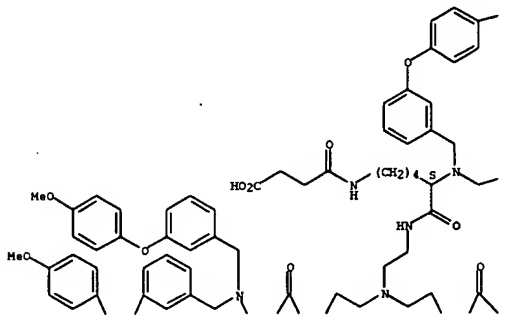
Absolute stereochemistry.

PAGE 1-A



Absolute stereochemistry.

PAGE 1-A



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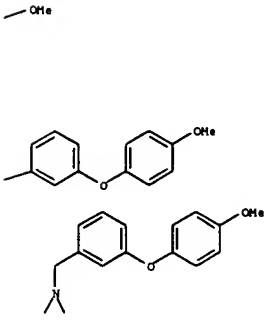
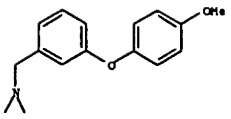


PAGE 1-B



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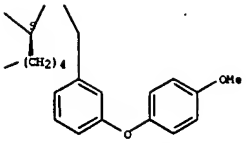

RN 247205-58-9 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-15-[2-[[(2S)-2-[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

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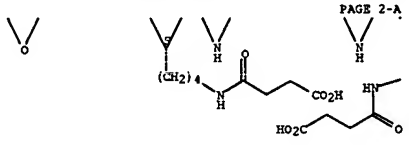

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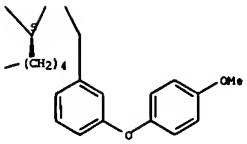
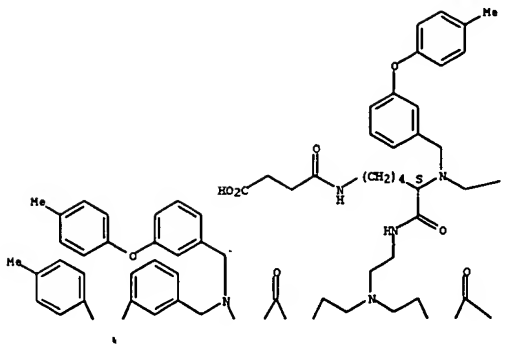


RN 247205-59-0 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-15-[2-[[(2S)-2-[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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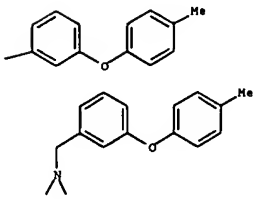

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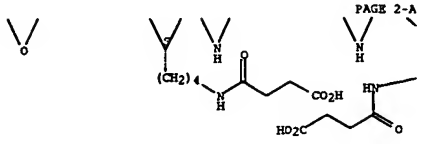

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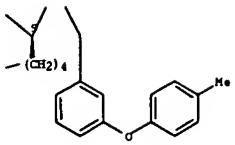
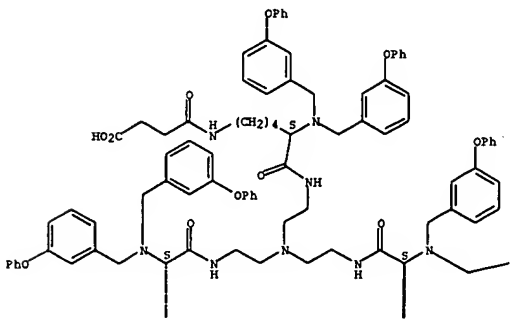


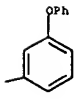
RN 247205-60-3 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[(3-phenoxyphenyl)methyl]amino]-15-[2-[[(2S)-2-[bis[(3-phenoxyphenyl)methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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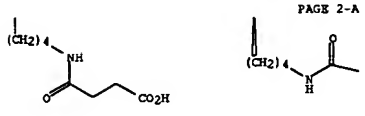

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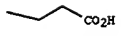
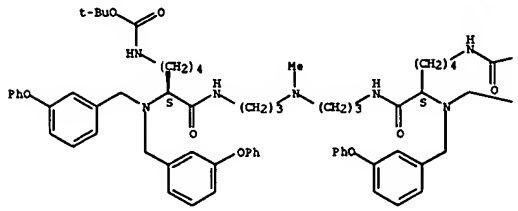


RN 247205-61-4 CAPLUS
CN 2,9,13,17,24-Pentaazapentacosanedioic acid, 7,19-bis[bis[(3-phenoxyphenyl)methyl]amino]-13-methyl-8,18-dioxo-, bis(1,1-dimethylethyl) ester, (7S,19S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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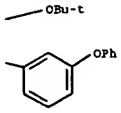
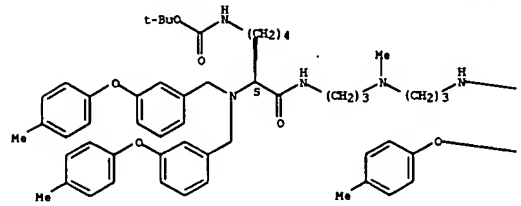


RN 247205-62-5 CAPLUS
CN 2,9,13,17,24-Pentaazapentacosanedioic acid, 7,19-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-13-methyl-8,18-dioxo-, bis(1,1-dimethylethyl) ester, (7S,19S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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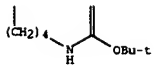
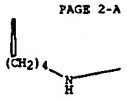
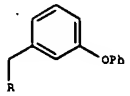




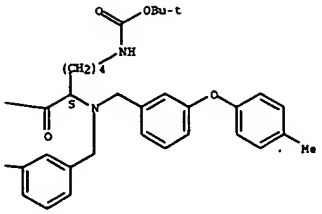


PAGE 2-B

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

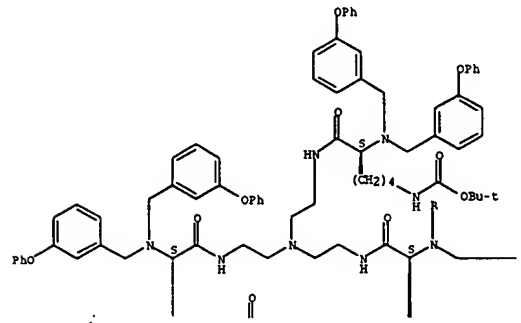
PAGE 1-B



IT 247205-78-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247205-78-3 CAPLUS
CN 2,9,12,15,22-Pentaazatricosanedioic acid, 7,17-bis[bis[(3-phenoxyphenyl)methyl]amino]-12-[2-[[(2S)-2-[bis[(3-phenoxyphenyl)methyl]amino]-6-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]ethyl]-8,16-dioxo-, bis(1,1-dimethylethyl) ester, (7S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN

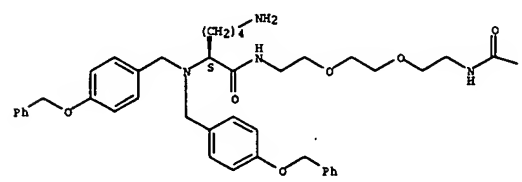
AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171

IT 247205-39-6P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(erythropoietin receptor binding structure activity of disubstituted amino acids)
RN 247205-39-6 CAPLUS
CN Hexanamide, N,N'-[1,2-ethanediylbis(oxy-2,1-ethanediyl)]bis[6-amino-2-[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-, (2S,2'S)- (9CI) (CA INDEX NAME)

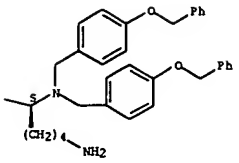
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



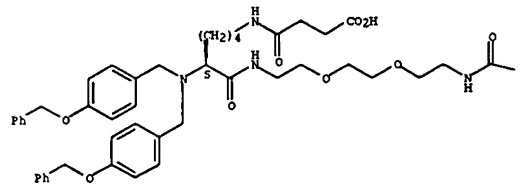
IT 247205-40-9P 247205-41-0P 247205-43-2P
247205-44-3P 247205-47-6P 247205-48-7P
247205-49-8P 247205-50-1P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(erythropoietin receptor binding structure activity of disubstituted amino acids)

RN 247205-40-9 CAPLUS

CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

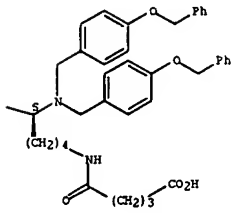
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

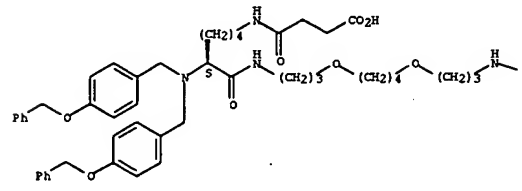


RN 247205-43-2 CAPLUS

CN 16,21-Dioxa-5,12,25,32-tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

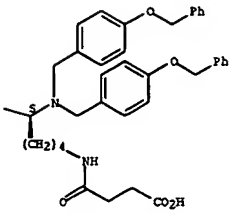
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

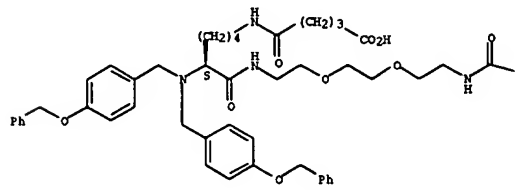


RN 247205-41-0 CAPLUS

CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

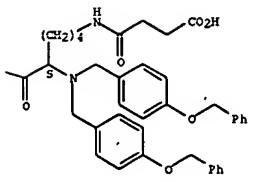
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

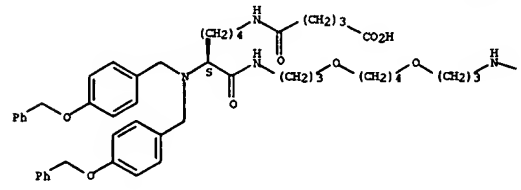


RN 247205-44-3 CAPLUS

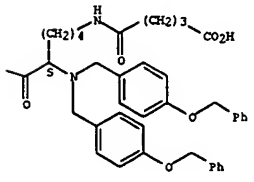
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



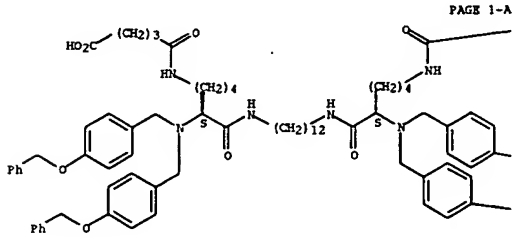
L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 247205-47-6 CAPLUS

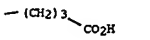
CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

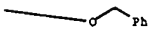
Absolute stereochemistry.

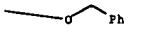
PAGE 1-A



PAGE 1-B







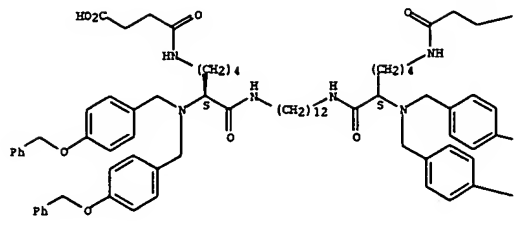
RN 247205-48-7 CAPLUS

CN 5,12,25,32-Tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

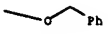
Absolute stereochemistry.

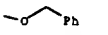
L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B





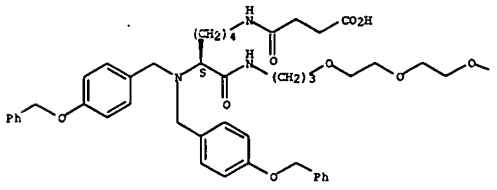
RN 247205-49-8 CAPLUS

CN 16,19,22-Trioxa-5,12,26,33-tetraazaheptatriacontanedioic acid, 10,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,27,34-tetraoxo-, (10S,28S)- (9CI) (CA INDEX NAME)

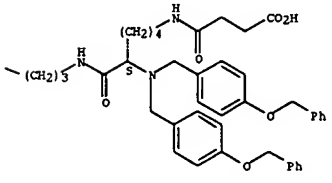
Absolute stereochemistry.

L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

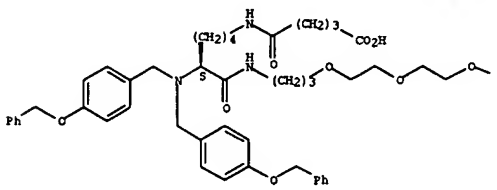


RN 247205-50-1 CAPLUS

CN 17,20,23-Trioxa-6,13,27,34-tetraazanonatriacontanedioic acid, 11,29-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,28,35-tetraoxo-, (11S,29S)- (9CI) (CA INDEX NAME)

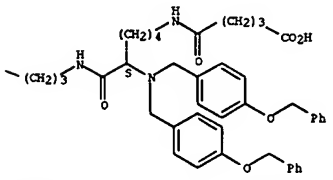
Absolute stereochemistry.

PAGE 1-A



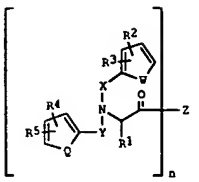
L45 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-twas prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833
IT 247205-35-2P 247205-36-3P 247205-37-4P
247205-38-5P 247205-39-6P 247205-40-9P
247205-41-0P 247205-42-1P 247205-43-2P
247205-44-3P 247205-45-4P 247205-46-5P
247205-47-6P 247205-48-7P 247205-49-8P
247205-50-1P 247205-51-2P 247205-52-3P
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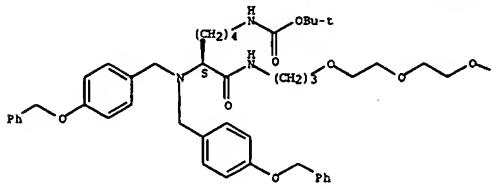
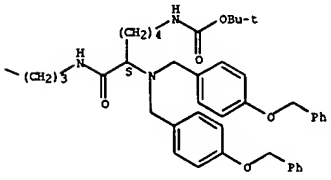
247205-59-0P 247205-60-3P 247205-61-4P
247205-62-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247205-35-2 CAPLUS
CN 13,16,19-Trioxa-2,9,23,30-tetraazahentriacontanedioic acid, 7,25-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,24-dioxo-, bis(1,1-dimethylethyl) ester, (7S,25S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



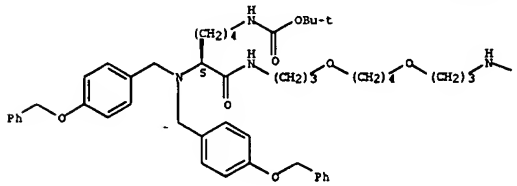
PAGE 1-B



L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 247205-36-3 CAPLUS
CN 13,18-Dioxa-2,9,22,29-tetraazatriacontanedioic acid, 7,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,23-dioxo-, bis(1,1-dimethylethyl) ester, (7S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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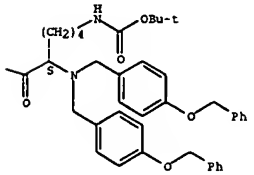
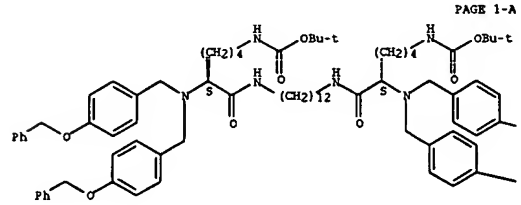


RN 247205-37-4 CAPLUS
CN 2,9,22,29-Tetraazatriacontanedioic acid, 7,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,23-dioxo-, bis(1,1-dimethylethyl) ester, (7S,24S)- (9CI) (CA INDEX NAME)

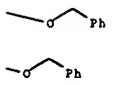
Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

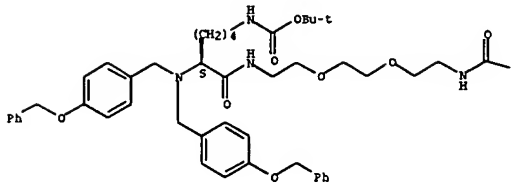


RN 247205-38-5 CAPLUS
CN 12,15-Dioxa-2,9,18,25-tetraazahexacosanedioic acid, 7,20-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-8,19-dioxo-, bis(1,1-dimethylethyl) ester, (7S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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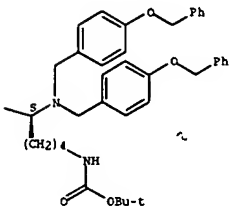
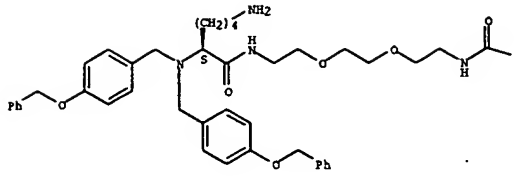


RN 247205-39-6 CAPLUS
CN Hexanamide, N,N'-[1,2-ethanediylbis(oxy-2,1-ethanediyl)]bis[6-amino-2-[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-, (2S,2'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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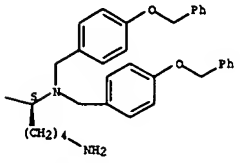
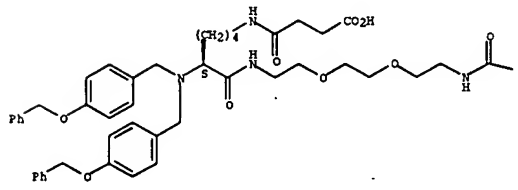


RN 247205-40-9 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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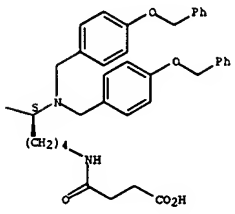

RN 247205-41-0 CAPLUS
CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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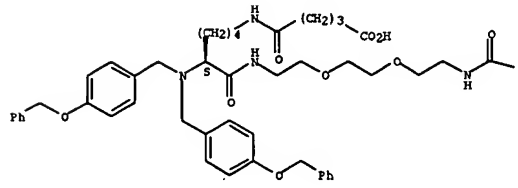

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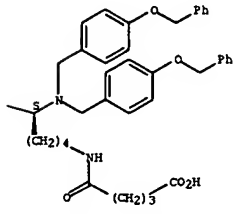

RN 247205-42-1 CAPLUS
CN 16,19-Dioxa-6,13,22,29-tetraazatetratriacontanedioic acid, 11,24-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,32,32-tetramethyl-5,12,23,30-tetraoxo-, (11S,24S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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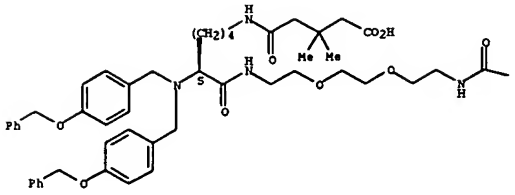

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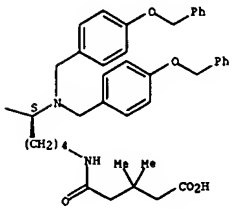

RN 247205-43-2 CAPLUS
CN 16,21-Dioxa-5,12,25,32-tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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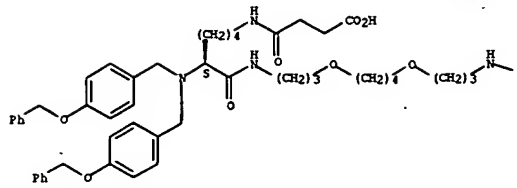

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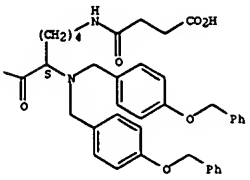

RN 247205-44-3 CAPLUS
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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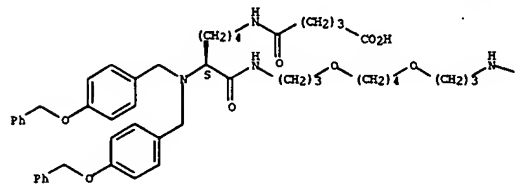

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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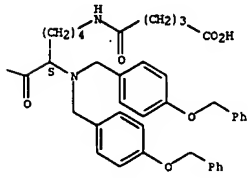

RN 247205-45-4 CAPLUS
CN 17,22-Dioxa-6,13,26,33-tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,36,36-tetramethyl-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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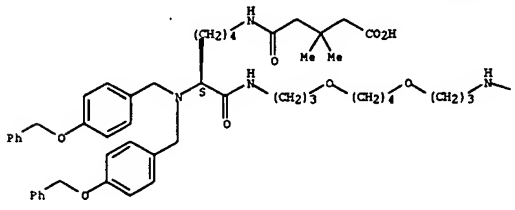
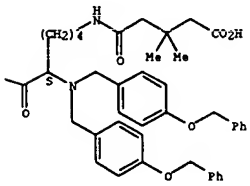


L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

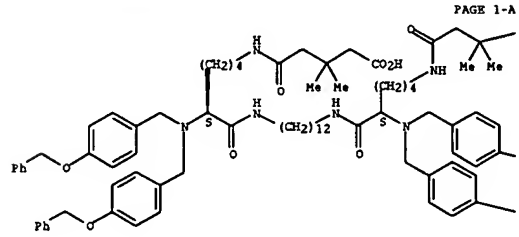
PAGE 1-B



RN 247205-46-5 CAPLUS
CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-3,3,36,36-tetramethyl-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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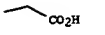

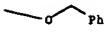

RN 247205-47-6 CAPLUS
CN 6,13,26,33-Tetraazaoctatriacontanedioic acid, 11,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,27,34-tetraoxo-, (11S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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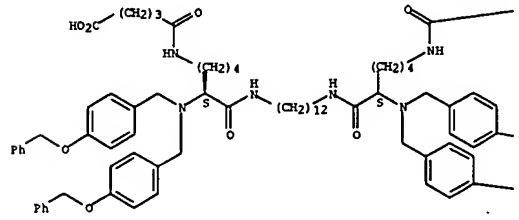

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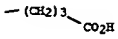

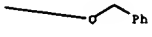

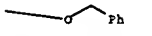

RN 247205-48-7 CAPLUS
CN 5,12,25,32-Tetraazahexatriacontanedioic acid, 10,27-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,26,33-tetraoxo-, (10S,27S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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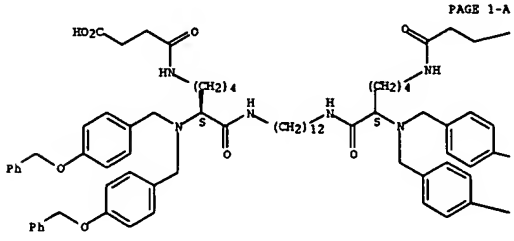

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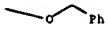

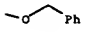

RN 247205-49-8 CAPLUS
CN 16,19,22-Trioxa-5,12,26,33-tetraazaheptatriacontanedioic acid, 10,28-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-4,11,27,34-tetraoxo-, (10S,28S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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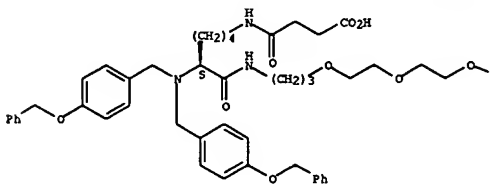

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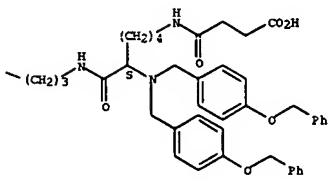
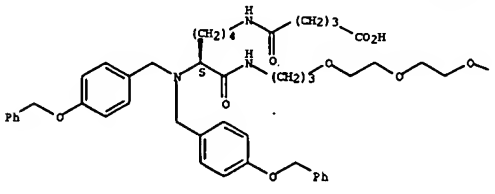


RN 247205-50-1 CAPLUS
CN 17,20,23-Trioxa-6,13,27,34-tetraazanonatriacontanedioic acid, 11,29-bis[bis[[4-(phenylmethoxy)phenyl]methyl]amino]-5,12,28,35-tetraoxo-, (11S,29S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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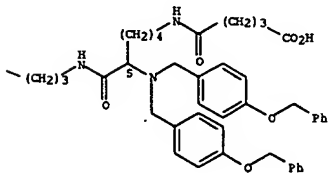

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 247205-51-2 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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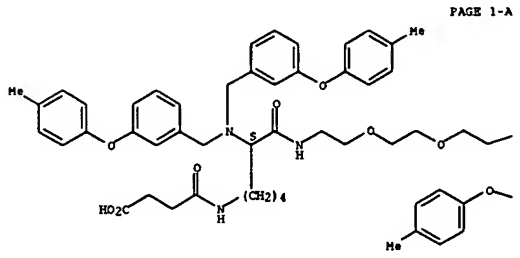

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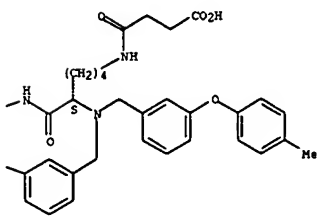

RN 247205-52-3 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[(3-phenoxyphenyl)methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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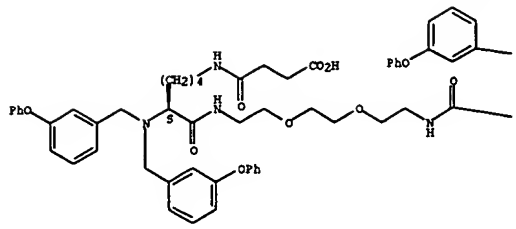

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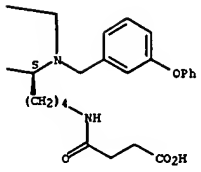

RN 247205-53-4 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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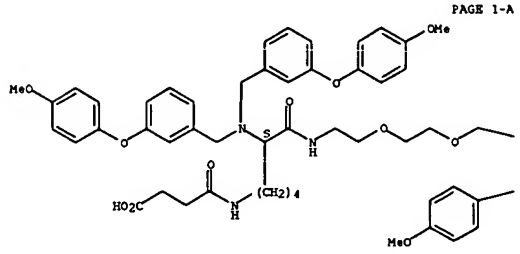

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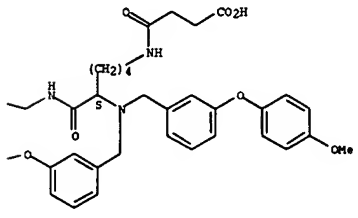

RN 247205-54-5 CAPLUS
CN 15,18-Dioxa-5,12,21,28-tetraazadotriacontanedioic acid, 10,23-bis[bis[[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]methyl]amino]-4,11,22,29-tetraoxo-, (10S,23S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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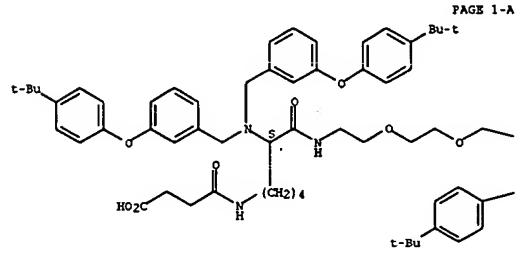

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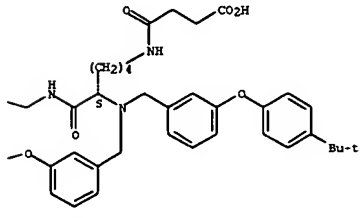

RN 247205-55-6 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[(3-phenoxyphenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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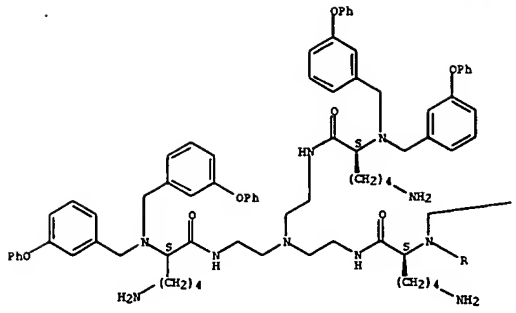

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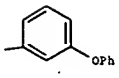

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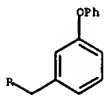
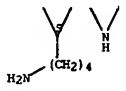
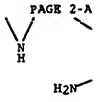


L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A





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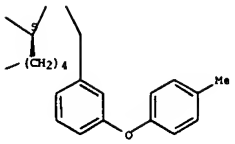
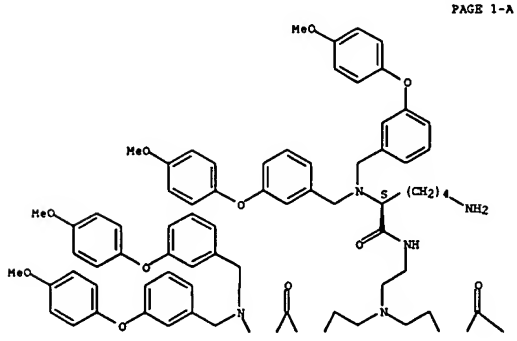


RN 247205-57-8 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

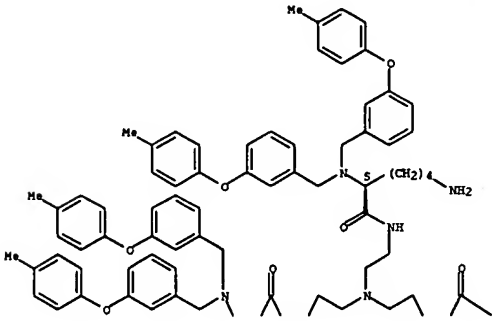


L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 247205-56-7 CAPLUS
CN Hexanamide, N,N',N''-(nitrilotri-2,1-ethanediyl)tris[6-amino-2-[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-, (2S,2'S,2''S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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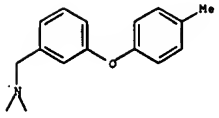
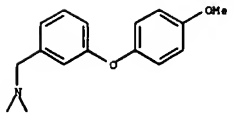


L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B



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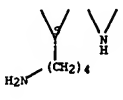

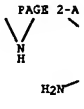

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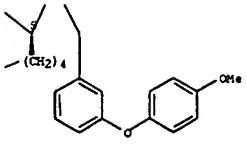
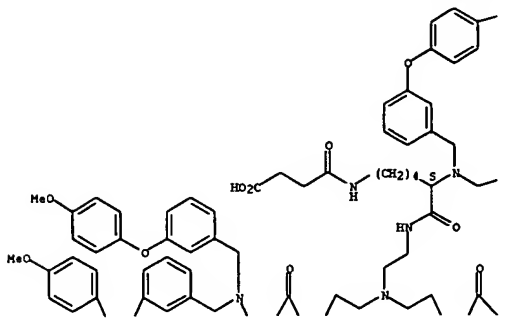


RN 247205-58-9 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-15-[2-[[(2S)-2-[bis[[3-(4-methoxyphenoxy)phenyl]methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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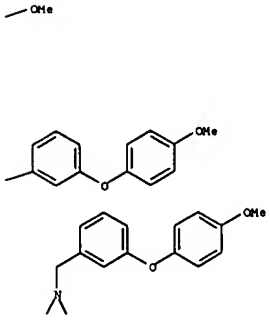
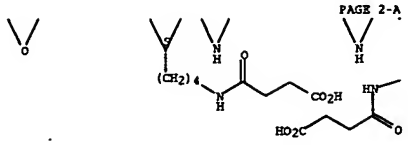


L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A



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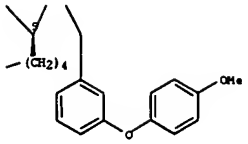
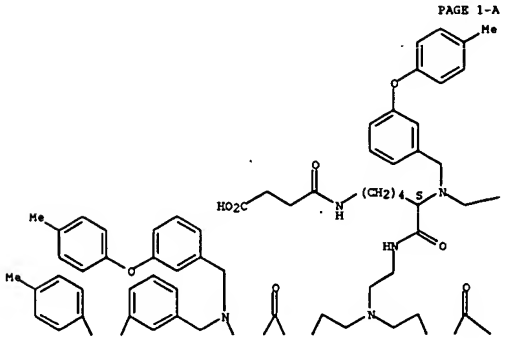


RN 247205-59-0 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-15-[2-[[(2S)-2-[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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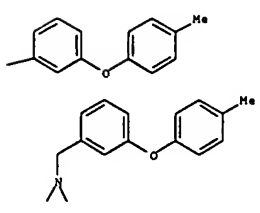
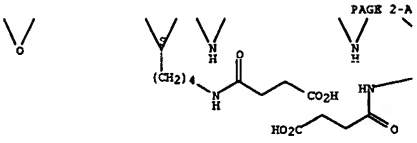


L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A



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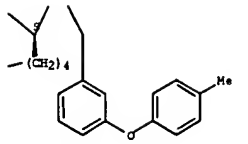
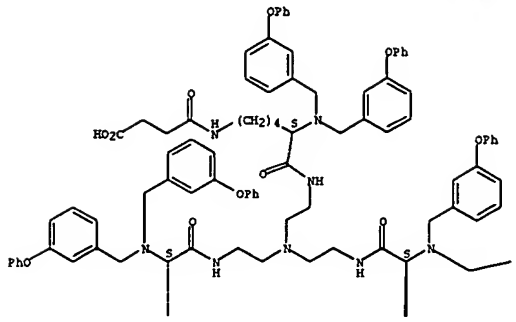


RN 247205-60-3 CAPLUS
CN 5,12,15,18,25-Pentaazanonacosanedioic acid, 10,20-bis[bis[(3-phenoxyphenyl)methyl]amino]-15-[2-[[(2S)-2-[bis[(3-phenoxyphenyl)methyl]amino]-6-[(3-carboxy-1-oxopropyl)amino]-1-oxohexyl]amino]ethyl]-4,11,19,26-tetraoxo-, (10S,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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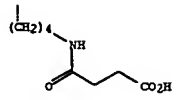
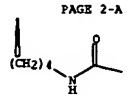
L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A





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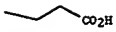
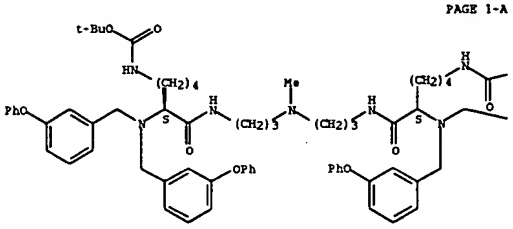


RN 247205-61-4 CAPLUS
CN 2,9,13,17,24-Pentaazapentacosanedioic acid, 7,19-bis[bis[(3-phenoxyphenyl)methyl]amino]-13-methyl-8,18-dioxo-, bis(1,1-dimethylethyl) ester, (7S,19S)- (9CI) (CA INDEX NAME)

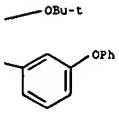
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

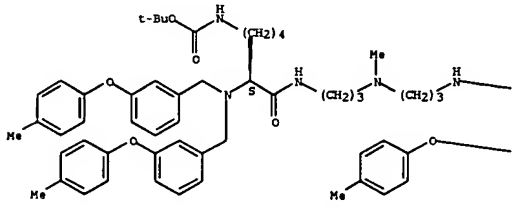
PAGE 1-B



RN 247205-62-5 CAPLUS
CN 2,9,13,17,24-Pentaazapentacosanedioic acid, 7,19-bis[bis[[3-(4-methylphenoxy)phenyl]methyl]amino]-13-methyl-8,18-dioxo-, bis(1,1-dimethylethyl) ester, (7S,19S)- (9CI) (CA INDEX NAME)

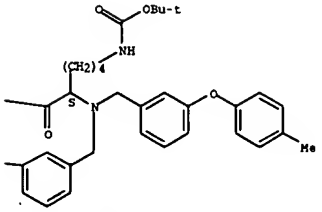
Absolute stereochemistry.

PAGE 1-A



L45 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

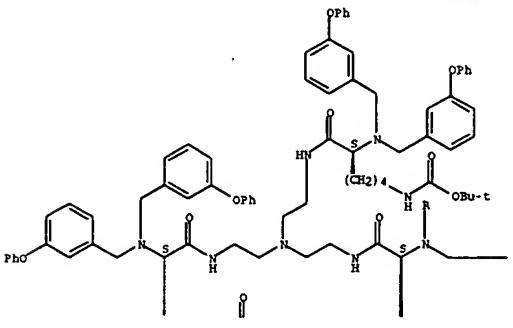
PAGE 1-B



IT 247205-78-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted amino acids as erythropoietin mimetics)
RN 247205-78-3 CAPLUS
CN 2,9,12,15,22-Pentaazatricosanedioic acid, 7,17-bis[bis[(3-phenoxyphenyl)methyl]amino]-12-[2-[[(2S)-2-[bis[(3-phenoxyphenyl)methyl]amino]-6-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]ethyl]-8,16-dioxo-, bis(1,1-dimethylethyl) ester, (7S,17S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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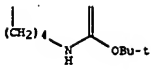
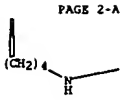
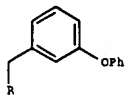
PAGE 2-A







PAGE 2-B

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COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	35.93	2338.20

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.11	-81.03

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Experimental and calculated property data are now available. For more
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to the file summary sheet on the web at:
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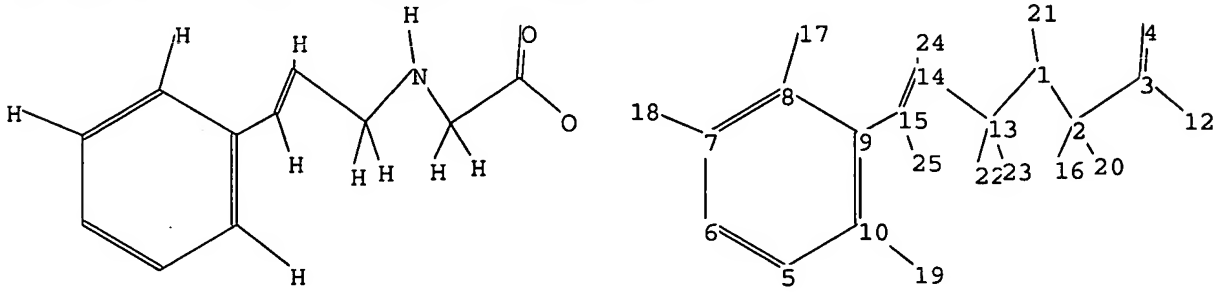

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ring nodes :
5 6 7 8 9 10
chain bonds :
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13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :

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15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
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L46 STRUCTURE UPLOADED

=> d query
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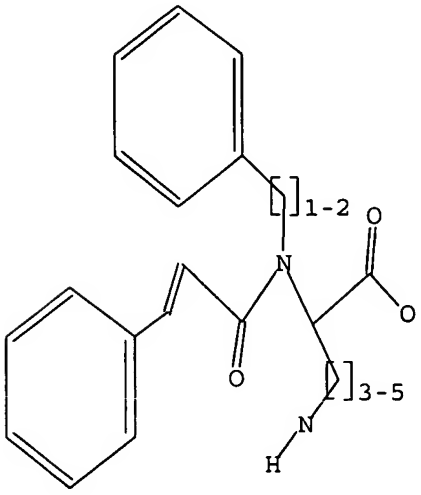

G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

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L47             0 SEA SSS SAM L46

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SEARCH TIME: 00.00.01

L48             6 SEA SSS FUL L46

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s 148
L49             3 L48

=> d 149 1-3 abs ibib
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L49 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Solution-phase and polymer-bound cyclization reactions are presented as a method for the stereoselective preparation of tetrahydroquinolines and tetrahydrobenzoxazepines with multiple points of variation as a potential method for combinatorial synthesis. Aldehydes connected to pendant alkenes undergo condensation with aromatic amines to give iminium ions which can either react intramol. by aza-Diels-Alder cycloaddn. reactions with pendant alkenes to give fused tetrahydroquinolines such as pyrroloquinoline I or intermolecularly with amino alcs. to give fused pyrrolidinones such as II. The stepwise nature of the cyclizations allows the reactivity to be varied through the presence or absence of external nucleophiles. Salicylaldehyde-derived aldehydes, amides and esters of glyoxalic acid, and aldehydes derived from L-amino acids are used as the aldehyde components; this allows potential variability at the aldehyde, linker, and alkene moieties. Aza-Diels-Alder cycloaddn. reactions give products with up to four stereocenters; the products of cycloaddn. are racemic, even when aldehydes derived from L-amino acids are used as aldehyde substrates. Addition of amino alcs. also gives racemic product except when D- or L-alaninol is used as the amino alc. component. The aza-Diels-Alder cycloaddn. of the aminoaldehydes is adapted and optimized for solid phase synthesis.

ACCESSION NUMBER: 2002:608591 CAPLUS
DOCUMENT NUMBER: 137:294854
TITLE: Combinatorial Synthetic Design. Solution and Polymer-Supported Synthesis of Heterocycles via Intramolecular Aza Diels-Alder and Imino Alcohol Cyclizations
AUTHOR(S): Spaller, Mark R.; Thielemann, Wolfgang T.; Brennan, Paul E.; Bartlett, Paul A.
CORPORATE SOURCE: Center for New Directions in Organic Synthesis, University of California, Berkeley, CA, 94720-1460, USA
SOURCE: Journal of Combinatorial Chemistry (2002), 4(5), 516-522
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:294854
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
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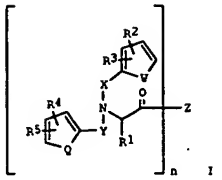


I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

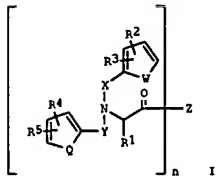
ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312
PRIORITY APPLN. INFO.:			US 1998-82392P P	19980420
			US 1999-294785 B2	19990419
			US 2000-517976 A3	20000303
			US 2001-927111 A3	20010810

OTHER SOURCE(S): MARPAT 135:331670
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L49 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L49 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-twas prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

L49 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

OTHER SOURCE(S): MARPAT 131:310833
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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STRUCTURE FILE UPDATES:     7 MAR 2005  HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES:    7 MAR 2005  HIGHEST RN 844431-17-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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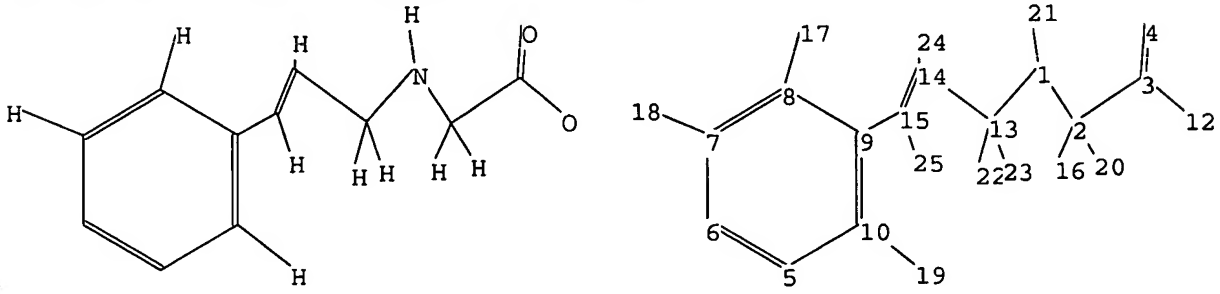


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13-23  14-15  14-24  15-25
ring bonds :
5-6  5-10  6-7  7-8  8-9  9-10
exact/norm bonds :
1-13  1-2  3-4  3-12
exact bonds :
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G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
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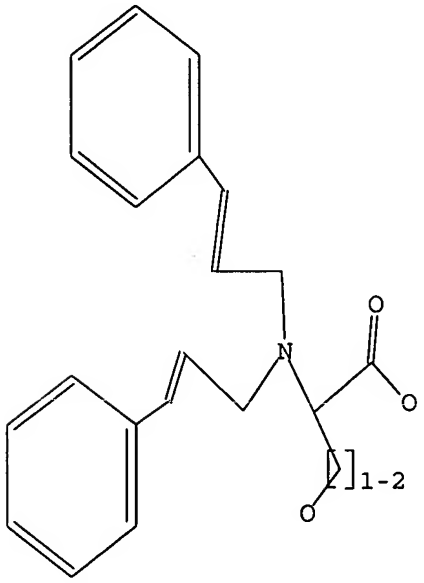
=> d query
L50 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 7 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

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PROJECTED ANSWERS:                   3 TO      163

L51             3 SEA SSS SAM L50

=> s l50 full
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SEARCH TIME: 00.00.01

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FULL ESTIMATED COST                                   162.62    2671.41

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CA SUBSCRIBER PRICE                                     0.00     -83.22

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FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


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L53             4 L52

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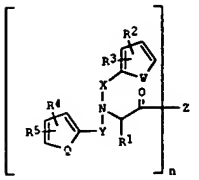
L53 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

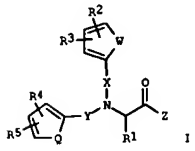
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312

PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
US 1999-294785 B2 19990419
US 2000-517976 A3 20000303
US 2001-927111 A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L53 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural L-amino acid which may be protected; R2 and R3 or R4 and R5 may be taken together to form a six-membered aromatic ring or are independently H, C1-5alkyl or -alkoxy, OH, halo, CF3, NO2, (un)substituted amino, Ph, phenoxy, phenylC1-5alkyl or phenylC1-5alkoxy; W, Q = -CH:CH-, -S- or -CH:N-; X, Y = carbonyl, C1-5alkyl, -alkenyl or -alkenylcarbonyl, C2-5alkynyl or -alkynylcarbonyl or (CH2)mCO, where m = 2-5; Z = OH, C1-5alkoxy or -alkylamino, amino, phenylamino, (un)substituted phenoxy, phenylC1-5alkoxy or -alkylamino or 1-piperidinyl, OCH2CH2(OCH2CH2)sOCH2CH2O-, -NHCH2CH2(OCH2CH2)sOCH2CH2NH-, -NH(CH2)pO(CH2)qO(CH2)pNH-, -NH(CH2)qNMe(CH2)sNH-, -NH(CH2)sNH- or and [NH(CH2)s]3N,where s, p, and q are independently 1-7] and their pharmaceutically acceptable salts were prepared for binding of neutral sphingomyelinase. Thus, N,N-bis[(2E)-3-(1-naphthalenyl)-2-propenyl]-L-serine was prepared by a multistep procedure starting with condensation of 1-naphthaldehyde with tri-Et phosphonoacetate (scheme given) and showed IC50 = 1.8 μM in the neutral sphingomyelinase binding assay.

ACCESSION NUMBER: 2001:581697 CAPLUS
DOCUMENT NUMBER: 135:137712
TITLE: Preparation of substituted amino acids as neutral sphingomyelinase inhibitors
INVENTOR(S): Wachter, Michael P.; Lalan, Praful
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001056560	A1	20010809	WO 2001-US3454	20010201

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6306911	B1	20011023	US 2000-499426	20000207
CA 2399792	AA	20010809	CA 2001-2399792	20010201
EP 1255542	A1	20021113	EP 2001-908797	20010201

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003521512	T2	20030715	JP 2001-556252	20010201
NZ 520486	A	20040528	NZ 2001-520486	20010201
AU 778402	B2	20041202	AU 2001-36629	20010201

PRIORITY APPLN. INFO.: US 2000-499426 A 20000207
WO 2001-US3454 W 20010201

OTHER SOURCE(S): MARPAT 135:137712
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L53 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L53 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

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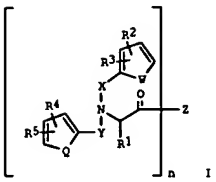

I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
PRIORITY APPLN. INFO.:			US 1998-82392P	P 19980420
			WO 1999-US8582	W 19990419

L53 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

OTHER SOURCE(S): MARPAT 131:310833
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION
CA SUBSCRIBER PRICE | -2.92 | -86.14

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STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
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Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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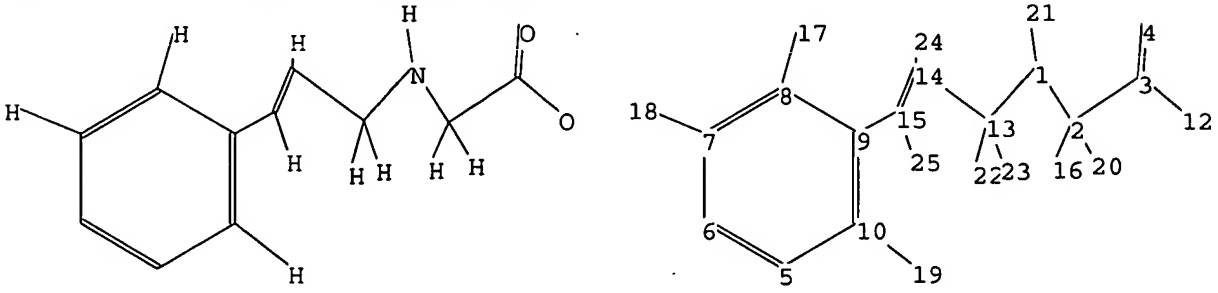

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ring bonds :
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exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :

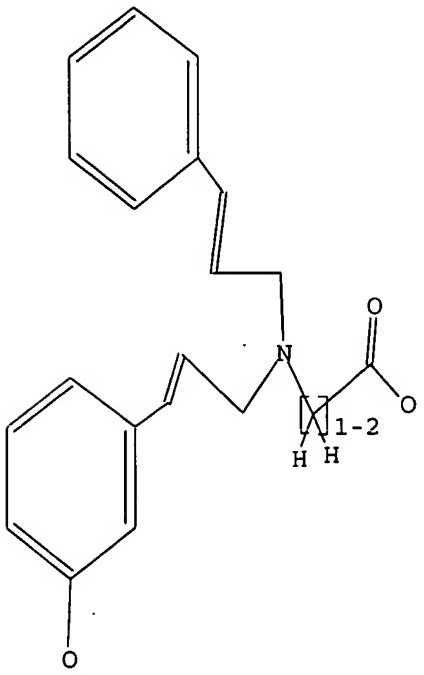
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L54 STRUCTURE UPLOADED

=> d query
L54 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l54
SAMPLE SEARCH INITIATED 15:49:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6 TO ITERATE

100.0% PROCESSED 6 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

```
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            6 TO      266
PROJECTED ANSWERS:               0 TO        0

L55           0 SEA SSS SAM L54

=> s 154 full
FULL SEARCH INITIATED 15:49:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    134 TO ITERATE

100.0% PROCESSED     134 ITERATIONS                              3 ANSWERS
SEARCH TIME: 00.00.01

L56           3 SEA SSS FUL L54

=> fil caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 162.62    2845.98

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00     -86.14

FILE 'CAPLUS' ENTERED AT 15:49:46 ON 09 MAR 2005
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FILE COVERS 1907 - 9 Mar 2005  VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005  (20050308/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s 156
L57           2 L56

=> d 157 1-2 abs ibib
```
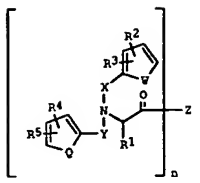

L57 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = CH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312

PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
US 1999-294785 B2 19990419
US 2000-517976 A3 20000303
US 2001-927111 A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L57 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.75	2851.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-87.60

FILE 'REGISTRY' ENTERED AT 15:50:04 ON 09 MAR 2005
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provided by InfoChem.

STRUCTURE FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES: 7 MAR 2005 HIGHEST RN 844431-17-0

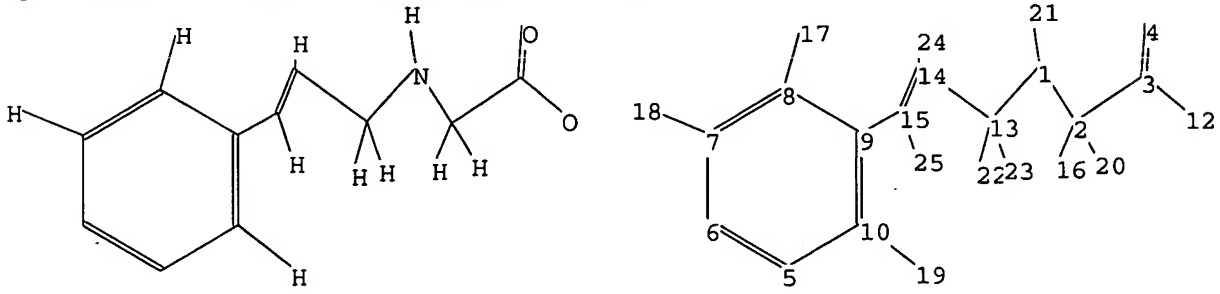
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22
13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :

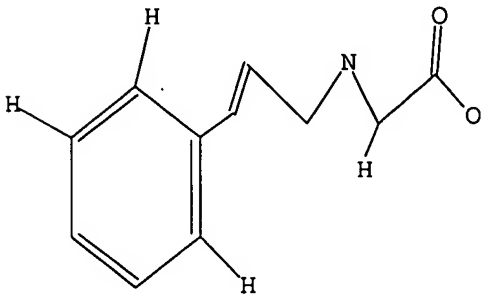
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L58 STRUCTURE UPLOADED

=> d query
L58 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

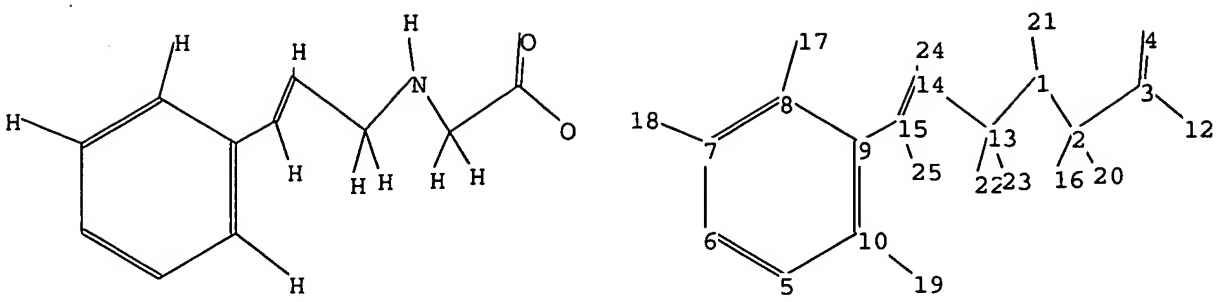
=> s l58
SAMPLE SEARCH INITIATED 15:53:03 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1270 TO ITERATE

78.7% PROCESSED 1000 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 23263 TO 27537
PROJECTED ANSWERS: 1710 TO 3014

L59 50 SEA SSS SAM L58

=>
Uploading C:\Program Files\Stnexp\Queries\10799324.str



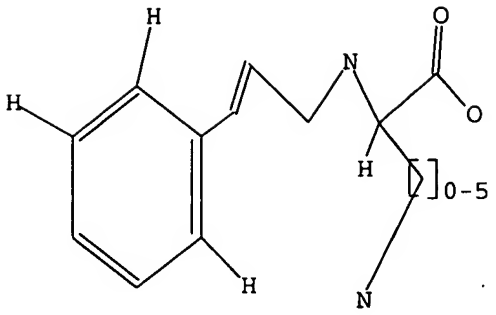
chain nodes :
1 2 3 4 12 13 14 15 16 17 18 19 20 21 22 23 24 25
ring nodes :
5 6 7 8 9 10
chain bonds :
1-13 1-2 1-21 2-3 2-16 2-20 3-4 3-12 7-18 8-17 9-15 10-19 13-14 13-22
13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L60 STRUCTURE UPLOADED

=> d query
L60 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l60
SAMPLE SEARCH INITIATED 15:57:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 121 TO ITERATE

100.0% PROCESSED 121 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1761 TO 3079
PROJECTED ANSWERS: 5 TO 234

L61 5 SEA SSS SAM L60

=> s l60 full
FULL SEARCH INITIATED 15:57:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2787 TO ITERATE

100.0% PROCESSED 2787 ITERATIONS 200 ANSWERS
SEARCH TIME: 00.00.01

L62 200 SEA SSS FUL L60

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	166.06	3017.79

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-87.60

FILE 'CAPLUS' ENTERED AT 15:57:26 ON 09 MAR 2005
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FILE COVERS 1907 - 9 Mar 2005 VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005 (20050308/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l62
L63 55 L62

=> d l63 1-55 abs ibib hitstr

L63 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
G1

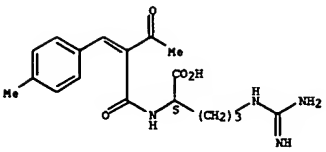
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A six-step method for the solid-phase parallel synthesis of 5-amino acid-substituted 4-aryl-2-(benzylthio)-6-methyl-1,4-dihydropyrimidines was presented. This method represents an easy methodol. for the preparation of libraries of amino acid-substituted Biginelli compds. For example, the reaction of N-[(9H-fluoren-9-ylmethoxy)carbonyl]-L-phenylalanine (I) with Wang resin and deprotection gave the Wang resin-bound amino acid derivative Treatment of the latter with 4-methylene-2-oxetanone gave a Wang resin ester with N-(1,3-dioxobutyl)-L-phenylalanine derivative (II; PS = polymer support). Data for the direct cleavage products were reported. Further Knoevenagel reaction of II with 4-methylbenzaldehyde gave an adduct (III). The subsequent solid-phase Biginelly reaction of III with carbamimidothioic acid phenylmethyl ester monohydrochloride gave a pyrimidine derivative which was cleaved from the supporting resin to give an N-[[1,4-dihydro-6-methyl-4-(4-methylphenyl)-2-[(phenylmethyl)thio]-5-pyrimidinyl]carbonyl]-L-phenylalanine (IV).

ACCESSION NUMBER: 2004:377183 CAPLUS
DOCUMENT NUMBER: 141:140724
TITLE: Solid-Phase Synthesis of α-(2-(Benzylthio)-1,4-dihydro-6-methyl-4-p-tolylpyrimidine-5-carboxamido) Acids: A New Strategy To Create Diversity in Heterocyclic Scaffolds
AUTHOR(S): Zhang, Lei; Rana, Tariq M.
CORPORATE SOURCE: Program in Chemical Biology, Department of Biochemistry and Molecular Pharmacology, University of Massachusetts Medical School, Worcester, MA, 01605, USA
SOURCE: Journal of Combinatorial Chemistry (2004), 6(4), 457-459
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:140724
IT 725252-52-8P 725252-53-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of N-[[dihydro(methyl)(methylphenyl)[(phenylmethyl)thio]pyrimidinyl]carbonyl]-L-amino acid derivs. via Knoevenagel reaction and Biginelly cyclocondensation starting from polymer-supported amino acids)
RN 725252-52-8 CAPLUS
CN L-Arginine, N2-[2-[(4-methylphenyl)methylene]-1,3-dioxobutyl]- (9CI) (CA INDEX NAME)

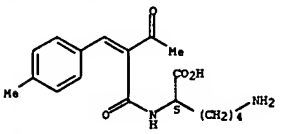
Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 1 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 725252-53-9 CAPLUS
CN L-Lysine, N2-[2-[(4-methylphenyl)methylene]-1,3-dioxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB An UV absorber comprises an amidated guanidine derivative or a salt thereof. The UV absorber shows an excellent solubility, can be incorporated into various cosmetic prepns. and is satisfactorily adsorbed onto the skin and hair. For example, a hair rinse was formulated containing cetostearyl alc. 3, octyldodecyl myristate 1, glyceryl monostearate 1, monostearyltrimethylammonium chloride 2, N-cinnamoylamidobutylguanidine hydrochloride salt (preparation given) 2, 1,3-butylene glycol 3, preservatives q.s., and distilled water balance to 100 %.

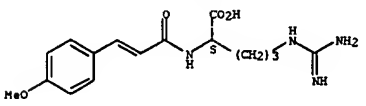
ACCESSION NUMBER: 2004:41573 CAPLUS
DOCUMENT NUMBER: 140:99264
TITLE: Amidoalkylguanidine derivatives as UV absorbers for cosmetics
INVENTOR(S): Hattori, Tatsuya
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005422	A1	20040115	WO 2003-JP8380	20030701

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

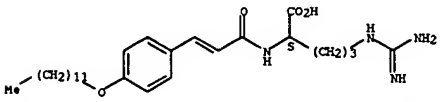
PRIORITY APPLN. INFO.: JP 2002-196242 A 20020704
OTHER SOURCE(S): MARPAT 140:99264
IT 643014-55-5P 643014-59-9P
RL: COS (Cosmetic use); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidoalkylguanidine derivs. as UV absorbers for cosmetics)
RN 643014-55-5 CAPLUS
CN L-Arginine, N2-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 2 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 643014-59-9 CAPLUS
CN L-Arginine, N2-[3-[4-(dodecyloxy)phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB Provided is a method for characterizing an analyte, especially peptides and proteins by matrix assisted laser desorption ionization (MALDI) mass spectrometry, which method comprises: (a) labeling the analyte with a light-absorbing label that absorbs light at a pre-determined frequency, to form a labeled analyte; (b) embedding the labeled analyte in a matrix formed from at least one compound that absorbs light, to form an embedded labeled analyte; (c) desorbing the embedded labeled analyte by exposing it to light having the pre-determined frequency, to form a desorbed analyte; and (d) detecting the desorbed analyte by mass spectrometry to characterize the analyte. The synthesis of light absorbing labels and their reaction with resin-bound peptides is presented. The invention also concerns a MALDI test kit that includes arrays of labels and a matrix.

ACCESSION NUMBER: 2003:837417 CAPLUS
DOCUMENT NUMBER: 139:335081
TITLE: Method for characterizing peptides and proteins by MALDI using analyte labeling with light-absorbing tags
INVENTOR(S): Thompson, Andrew Hugin; Hanon, Christian; Kuhn, Karsten; Meyer, Markus; Juergen, Schafer; Neumann, Thomas
PATENT ASSIGNEE(S): Xzillion Gmbh & Co. Kg, Germany
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087839	A1	20031023	WO 2003-GB1485	20030404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2480836	AA	20031023	CA 2003-2480836	20030404
EP 1490693	A1	20041229	EP 2003-720676	20030404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: EP 2002-252440 A 20020404
WO 2003-GB1485 W 20030404

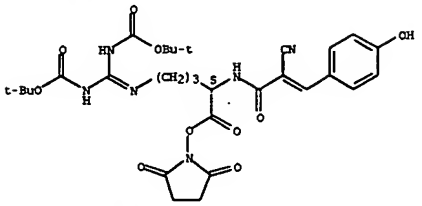
OTHER SOURCE(S): MARPAT 139:335081

IT 614757-32-3P
RL: ARG (Analytical reagent use); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation); USES (Uses)
(ionizable functionality tag; method for characterizing peptides and proteins by MALDI using analyte labeling with light-absorbing tags)

RN 614757-32-3 CAPLUS
CN Carbamic acid, [[(4S)-4-[[2-cyano-3-(4-hydroxyphenyl)-1-oxo-2-propenyl]amino]-5-[(2,5-dioxo-1-pyrrolidinyl)oxy]-5-oxopentyl]carbonimidoyl]bis-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

L63 ANSWER 3 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

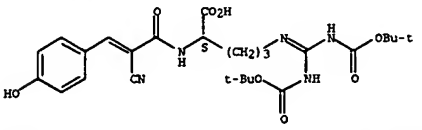
Absolute stereochemistry.
Double bond geometry unknown.



IT 614757-37-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(method for characterizing peptides and proteins by MALDI using analyte labeling with light-absorbing tags)

RN 614757-37-8 CAPLUS
CN L-Ornithine, N5-[bis[[(1,1-dimethylethoxy)carbonyl]amino]methylene]-N2-[2-cyano-3-(4-hydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

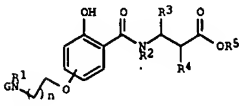
Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

GI



I

AB Title compds. [I; G = pyrimidin-2-yl, H2NC(:NH), R8NHCO, pyridin-2-yl, imidazol-2-yl, etc.; R1, R2 = H, alkyl, mono- or bicyclic aralkyl, heterocycloalkylalkyl heterocycloalkyl; R3 = H, mono- or bicyclic aryl, mono- or bicyclic heterocycloalkyl; R4 = H, NHR9, OR9, NHCO2R9, NHCONHR9, NHCOR9, NHSO2R9; provided that R3 and R4 are not both hydrogen; R5 = H, alkyl which may optionally be substituted with a terminal group which serves as a prodrug (alkylamino, carboxyalkyl, alkanol); R6, R7 = H, alkyl, alkoxy, aralkoxy; R8, R9 = H, trichloroalkylalkoxy, trifluoromethoxyphenyl, aralkenyl, alkyl, alkenyl, alkynyl, mono- or polycycloalkyl, mono or polycycloalkylalkyl, mono- or bicyclic aryl, mono- or bicyclic heterocycloalkyl, aralkyl, heterocycloalkylalkyl, mono- or bicyclic heterocycloalkyl; n = 1-4; m = 0, 1], were prepared Thus, 3-amino-2(S)-(2,2-dimethylpropoxycarbonylamino)propionic acid on Wang resin (preparation given), 2-hydroxy-4-[2-(3,4,5,6-tetrahydropyrimidin-2-ylamino)ethoxy]benzoic acid (preparation given), diisopropylcarbodiimide, hydroxybenzotriazole, and dimethylaminopyridine were shaken together in DMF at room temperature for 16 h to give resin-bound coupling product which was treated with CF3CO2H in CH2Cl2 to give (2S)-3-[[2-hydroxy-4-[2-(1,4,5,6-tetrahydropyrimidin-2-ylamino)ethoxy]benzoyl]amino]-2-[[(neopentyloxy)carbonyl]amino]propanoic acid. The latter inhibited PTH-induced hypercalcemia in rats with IC50 = 0.0241 μM.

ACCESSION NUMBER: 2003:777389 CAPLUS
DOCUMENT NUMBER: 139:276915
TITLE: Preparation of acylresorcinols as selective vitronectin receptor inhibitors
INVENTOR(S): Kees, Kenneth Lewis; Garrick, Lloyd M.; Gopalsamy, Ariamala
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 73 pp., Cont.-in-part of U.S. Ser. No. 291,558.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003186967	A1	20031002	US 2002-68711	20020206

PRIORITY APPLN. INFO.: US 1998-81662P P 19980414
US 1999-291558 A2 19990414

OTHER SOURCE(S): MARPAT 139:276915

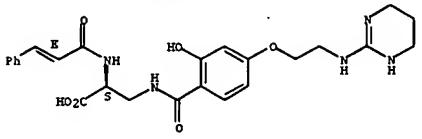
IT 247124-60-3P 247125-57-1P 247126-30-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L63 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(Uses)
(prepn. of acylresorcinols as selective vitronectin receptor inhibitors)

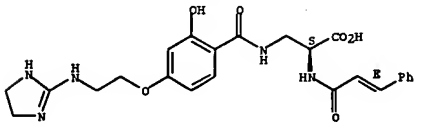
RN 247124-60-3 CAPLUS
CN L-Alanine, 3-[[2-hydroxy-4-[2-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]ethoxy]benzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



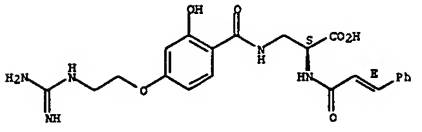
RN 247125-57-1 CAPLUS
CN L-Alanine, 3-[[4-[2-[(4,5-dihydro-1H-imidazol-2-yl)amino]ethoxy]-2-hydroxybenzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247126-30-3 CAPLUS
CN L-Alanine, 3-[[4-[2-[(aminoiminomethyl)amino]ethoxy]-2-hydroxybenzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L63 ANSWER 4 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L63 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB Amino acid derivs. R1O2C(CH2)1CH(NR2AR4)(CH2)mBCOR3 [1, m = 0-2; A = CO, SO2, bond; B = CH2, NH; R1 = H, ester residue; R2 = H, C1-6 linear alkyl; R3 = (un)substituted C1-8 alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl, etc.; R4 = (un)substituted C1-8 alkyl, (un)substituted C2-8 alkenyl, (un)substituted C2-8 alkynyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl, etc.] or their pharmacol. acceptable salts are useful as integrin α4 inhibitors for treatment of inflammatory diseases such as allergy and autoimmune diseases. 2-[(2,6-Dichlorophenyl)carbonylamino]-3-[[4-[2-oxo-3-propyl(3-hydrobenzimidazolyl)]piperidyl]carbonylamino]propanoic acid (preparation given)
inhibited the binding of soluble integrin α4β1 to CS-1 peptide with IC50 of 42 nM.

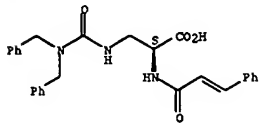
ACCESSION NUMBER: 2003:767774 CAPLUS
DOCUMENT NUMBER: 139:286334
TITLE: Amino acid derivatives and their use as integrin α4 (adhesion molecule) inhibitors and in therapeutic agents for inflammatory diseases
INVENTOR(S): Ishigaki, Takeshi; Taniguchi, Koji; Ito, Takayoshi; Ono, Hiroshi; Kaino, Mie; Meguro, Hiroyuki
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 247 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003277340	A2	20031002	JP 2002-81956	20020322

PRIORITY APPLN. INFO.: JP 2002-81956 20020322
OTHER SOURCE(S): MARPAT 139:286334
IT 607397-03-5P 607397-04-6P 607397-05-7P
607397-06-8P 607397-07-9P 607397-08-0P
607397-09-1P 607397-10-4P 607397-11-5P
607397-12-6P 607397-13-7P 607397-14-8P
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as integrin α4 inhibitors for treatment of inflammatory diseases)

RN 607397-03-5 CAPLUS
CN L-Alanine, 3-[[[bis(phenylmethyl)amino]carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

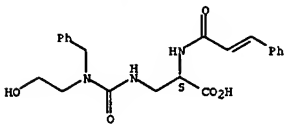
Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

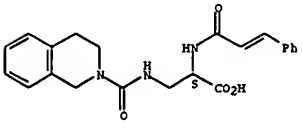
RN 607397-04-6 CAPLUS
CN L-Alanine, 3-[[[(2-hydroxyethyl)(phenylmethyl)amino]carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



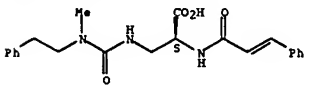
RN 607397-05-7 CAPLUS
CN L-Alanine, 3-[[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 607397-06-8 CAPLUS
CN L-Alanine, 3-[[(methyl(2-phenylethyl)amino]carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

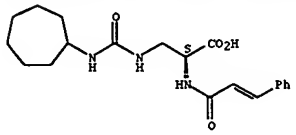
Absolute stereochemistry.
Double bond geometry unknown.



RN 607397-07-9 CAPLUS
CN L-Alanine, 3-[[(cycloheptylamino)carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

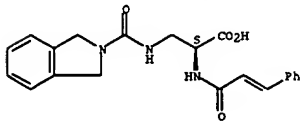
Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 5 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



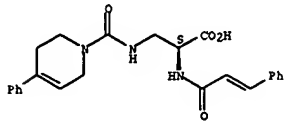
RN 607397-08-0 CAPLUS
CN L-Alanine, 3-[[(1,3-dihydro-2H-isoindol-2-yl)carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



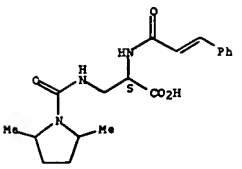
RN 607397-09-1 CAPLUS
CN L-Alanine, 3-[[(3,6-dihydro-4-phenyl-1(2H)-pyridinyl)carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



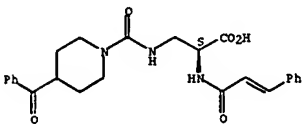
RN 607397-10-4 CAPLUS
CN L-Alanine, 3-[[(2,5-dimethyl-1-pyrrolidinyl)carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



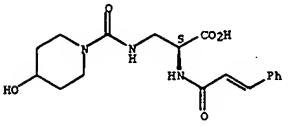
RN 607397-11-5 CAPLUS
CN L-Alanine, 3-[[(4-benzoyl-1-piperidinyl)carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



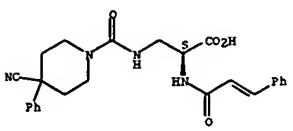
RN 607397-12-6 CAPLUS
CN L-Alanine, 3-[[(4-hydroxy-1-piperidinyl)carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



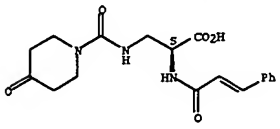
RN 607397-13-7 CAPLUS
CN L-Alanine, 3-[[(4-cyano-4-phenyl-1-piperidinyl)carbonyl]amino]-N-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 607397-14-8 CAPLUS
CN L-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-3-[[(4-oxo-1-piperidinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

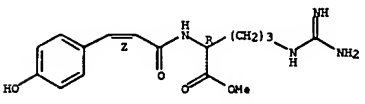
Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 6 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB Periodic leaf-movement of legumes is called nyctinasty and has been known since the age of Alexander the Great. We found that nyctinasty is controlled by a periodic change of the internal concentration of leaf-opening and leaf-closing substances in the plant body. Now, we have developed novel fluorescent probes (1) based on the structure of cis-p-coumaroylagmatine (3), which was isolated as a leaf-opening substance of Albizia julibrissin Durazz. Binding expts. using probe 1 showed that Albiza plants have receptors for a leaf-opening substance in their motor cells. By using probes 1 we then found that genus-specific receptors are involved in nyctinasty.

ACCESSION NUMBER: 2003:709484 CAPLUS
DOCUMENT NUMBER: 140:267604
TITLE: Fluorescence studies on nyctinasty which suggest the existence of genus-specific receptors for leaf-movement factor
AUTHOR(S): Nagano, Hideharu; Kato, Eisuke; Yamamura, Shosuke; Ueda, Minoru
CORPORATE SOURCE: Laboratory of Natural Products Chemistry, Department of Chemistry, Faculty of Science and Technology, Keio University, Hiyoshi, 223-8522, Japan
SOURCE: Organic & Biomolecular Chemistry (2003), 1(18), 3186-3192
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 550372-18-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(fluorescence studies on nyctinasty suggest the existence of genus-specific receptors for leaf-movement factor)
RN 550372-18-4 CAPLUS
CN D-Arginine, N-[(2Z)-3-(4-hydroxyphenyl)-1-oxo-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

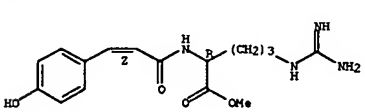


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 7 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB We developed fluorescent probes (1 and 2) based on the structure of cis-p-coumaroylagmatine (3), a leaf-opening substance of Albizzia julibrissin Durazz. These probes were effective for the leaf-opening of A. julibrissin, and specifically bind to the motor cell of this plant. Moreover, binding of the fluorescent probe was specific to the plant motor cell contained in the plants belonging to the Albizzia genus. These results showed that the binding of a probe compound with a motor cell is specific to the plant genus and suggested that the genus-specific receptor mol. for the leaf-movement factor on a motor cell would be involved in nyctinasty.

ACCESSION NUMBER: 2003:215697 CAPLUS
DOCUMENT NUMBER: 139:69443
TITLE: Fluorescence studies on nyctinasty using fluorescence labeled cis-p-coumaroylagmatine, a leaf-opening substance of Albizzia plants: existence of genus-specific receptor for leaf-movement factor
AUTHOR(S): Nagano, Hideharu; Kato, Eisuke; Yamamura, Shosuke; Ueda, Minoru
CORPORATE SOURCE: Faculty of Science and Technology, Department of Chemistry, Laboratory of Natural Products Chemistry, Keio University, Hiyoshi, Yokohama, 223-8522, Japan
SOURCE: Tetrahedron Letters (2003), 44(14), 2953-2956
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:69443
IT 550372-18-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(fluorescence studies on nyctinasty using fluorescence labeled cis-p-coumaroylagmatine)
RN 550372-18-4 CAPLUS
CN D-Arginine, N-[(2Z)-3-(4-hydroxyphenyl)-1-oxo-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB Compds. from a wide variety of structural classes inhibit HIV-1 integrase. However, a single unified understanding of the relationship between the structures and activities of these compds. still eludes researchers. We report herein the development of QSAR models for integrase inhibition. The genetic function approximation (GFA) was utilized to select descriptors for the development of the QSAR models. The best QSAR model derived for the complete set of 11 structural classes had a correlation coefficient (r2) of only 0.54 and a cross-validated correlation coefficient (q2) of only 0.42. This indicated that the compds. studied may differ in the exact relationship between structure and inhibition, perhaps through interactions with different subsets of amino acids in the binding pocket, or through the presence of non-overlapping binding pockets. Descriptor-based cluster anal. indicated that the 11 structural classes of integrase inhibitors studied belonged to two clusters, one consisting of five structural classes, and the other six. QSAR models for these two clusters had r2 values of 0.79 and 0.82 and q2 values of 0.71 and 0.74, a significant improvement over models obtained for the complete set of compds. The two models were applied to predict the activities of compds. from the same structural classes as those used to build the models, giving r2 values of 0.65 and 0.78. The models were also used to predict the activities of compds. shown in crystallog. or docking studies to interact near the active site metal ion. The model describing the larger cluster of structural classes was better able to reproduce the biol. activities of these five structures with an average percent residual error of 7.9 compared with the 19.3% residual error for predictions from the other model. This indicated that the six structural classes comprising the larger cluster may bind near the metal ion in a fashion similar to that observed in one publicly available co-crystal structure of an inhibitor bound to HIV-1 integrase. Flexible alignment of inhibitors in the two clusters found different pharmacophores that are consistent with previously published pharmacophores developed on the basis of individual structural classes that have produced novel inhibitory compds. Thus we expect that these two QSAR models can be used in the search for novel HIV-1 integrase inhibitors as well as to provide insight into the binding modes of such diverse chemical compds.

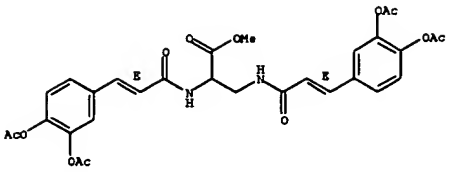
ACCESSION NUMBER: 2002:823411 CAPLUS
DOCUMENT NUMBER: 139:309
TITLE: QSAR studies of HIV-1 integrase inhibition
AUTHOR(S): Yuan, Hongbin; Parrill, Abby L.
CORPORATE SOURCE: Department of Chemistry, University of Memphis, Memphis, TN, 38152, USA
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(12), 4169-4183
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 227098-00-2 227098-03-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(QSAR studies of HIV-1 integrase inhibition)

RN 227098-00-2 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

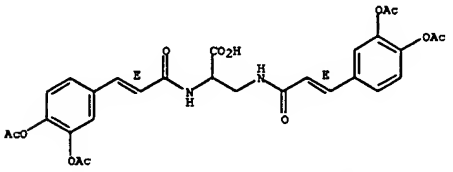
Double bond geometry as shown.

L63 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 227098-03-5 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB The title compds. UN(R3)ABZCH(R5)CH(R6)CO2R7 [U represents 1,4,5,6-tetrahydropyrimidine-2-yl group or the like, A represents a phenylene group or the like, B represents piperidine-1,4-diyl group or the like, Z represents CONH or the like, R3 represents hydrogen or the like, R5 represents hydrogen, an aryl group or the like, R6 represents a monosubstituted amino group, such as a benzyloxycarbonyl amino group, or the like, and R7 represents hydrogen or the like] are prepared In an in vitro test for αvβ3 integrin binding inhibition, compds. of this invention showed IC50 values of 0.041 nM to 5.1 nM.

ACCESSION NUMBER: 2002:736230 CAPLUS
DOCUMENT NUMBER: 137:263060
TITLE: Preparation of heterocyclic compounds as αvβ3 integrin inhibitors
INVENTOR(S): Morie, Toshiya; Iwama, Seiji; Notake, Mitsue; Kitano, Tomoko
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074743	A1	20020926	WO 2002-JP2391	20020314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1371646	A1	20031217	EP 2002-705159	20020314
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004106622	A1	20040603	US 2003-472236	20030922
PRIORITY APPLN. INFO.:			JP 2001-79029 A	20010319
			WO 2002-JP2391 W	20020314

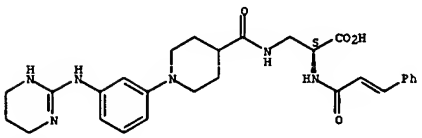
OTHER SOURCE(S): MARPAT 137:263060

IT 461719-21-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as αvβ3 integrin inhibitors)

RN 461719-21-1 CAPLUS
CN L-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-3-[[[1-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]phenyl]-4-piperidinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 9 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

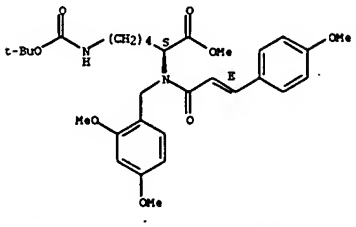
L63 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Solution-phase and polymer-bound cyclization reactions are presented as a method for the stereoselective preparation of tetrahydroquinolines and tetrahydrobenzoxazepines with multiple points of variation as a potential method for combinatorial synthesis. Aldehydes connected to pendant alkenes undergo condensation with aromatic amines to give iminium ions which can either react intramol. by aza-Diels-Alder cycloaddn. reactions with pendant alkenes to give fused tetrahydroquinolines such as pyrroloquinoline I or intermolecularly with amino alcs. to give fused pyrrolidinones such as II. The stepwise nature of the cyclizations allows the reactivity to be varied through the presence or absence of external nucleophiles. Salicylaldehyde-derived aldehydes, amides and esters of glyoxalic acid, and aldehydes derived from L-amino acids are used as the aldehyde components; this allows potential variability at the aldehyde, linker, and alkene moieties. Aza-Diels-Alder cycloaddn. reactions give products with up to four stereocenters; the products of cycloaddn. are racemic, even when aldehydes derived from L-amino acids are used as aldehyde substrates. Addition of amino alcs. also gives racemic product except when D- or L-alaninol is used as the amino alc. component. The aza-Diels-Alder cycloaddn. of the aminoaldehydes is adapted and optimized for solid phase synthesis.

ACCESSION NUMBER: 2002:608591 CAPLUS
DOCUMENT NUMBER: 137:294854
TITLE: Combinatorial Synthetic Design. Solution and Polymer-Supported Synthesis of Heterocycles via Intramolecular Aza Diels-Alder and Imino Alcohol Cyclizations
AUTHOR(S): Spaller, Mark R.; Thielemann, Wolfgang T.; Brennan, Paul E.; Bartlett, Paul A.
CORPORATE SOURCE: Center for New Directions in Organic Synthesis, University of California, Berkeley, CA, 94720-1460, USA
SOURCE: Journal of Combinatorial Chemistry (2002), 4(5), 516-522
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:294854
IT 468761-23-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid-derived alkene-containing aldehydes for use in the stereoselective solution-phase preparation of fused tetrahydroquinolines by condensation with aromatic amines followed by formal aza-Diels-Alder cycloaddn.)
RN 468761-23-1 CAPLUS
CN L-Lysine, N2-[(2,4-dimethoxyphenyl)methyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(2E)-3-(4-methoxyphenyl)-1-oxo-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

L63 ANSWER 10 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.
Double bond geometry as shown.

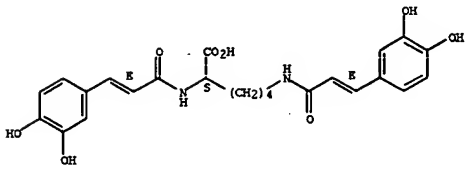


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB The human immunodeficiency virus type 1 (HIV-1) is a major health problem worldwide. In this study, 17 analogs of L-chicoric acid, a potent inhibitor of HIV integrase, were studied. Of these analogs, five submicromolar inhibitors of integrase were discovered and 13 compds. with activity against integrase at less than 10 μM were identified. Six demonstrated greater than 10-fold selectivity for HIV replication over cellular toxicity. Ten analogs inhibited HIV replication at nontoxic concns. Alteration of the linkages between the two bis-catechol rings, including the use of amides, mixed amide esters, cholate, and alkyl bridges, was explored. Amides were as active as esters but were more toxic in tissue culture. Alkyl and cholate bridges were significantly less potent against HIV-1 integrase in vitro and were inactive against HIV-1 replication. Two amino acid derivs. and one digalloyl derivative of L-chicoric acid (L-CA) showed improved selectivity over L-CA against integration in cell culture. These data suggest that in addition to the bis-catechols and free carboxylic acid groups reported previously, polar linkages are important constituents for optimal activity against HIV-1 integrase and that new derivs. can be developed with increased specificity for integration over HIV entry in vivo.
ACCESSION NUMBER: 2002:534450 CAPLUS
DOCUMENT NUMBER: 137:226193
TITLE: Dicaffeoyltartaric Acid Analogues Inhibit Human Immunodeficiency Virus Type 1 (HIV-1) Integrase and HIV-1 Replication at Nontoxic Concentrations
AUTHOR(S): Reinke, Ryan A.; King, Peter J.; Victoria, Joseph G.; McDougall, Brenda R.; Ma, Guoxiang; Mao, Yingqun; Reinecke, Manfred G.; Robinson, W. Edward, Jr.
CORPORATE SOURCE: Departments of Microbiology & Molecular Genetics Pathology and Medicine, University of California, Irvine, CA, 92697, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(17), 3669-3683
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 459868-91-8P 459868-94-1P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dicaffeoyltartaric acid analogs inhibit human immunodeficiency virus in relation to cellular toxicity type 1 (HIV-1) integrase and HIV-1 replication at nontoxic concns.)
RN 459868-91-8 CAPLUS
CN L-Lysine, N2,N6-bis[(2E)-3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

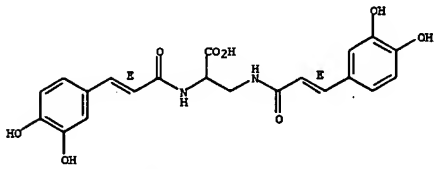
Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 459868-94-1 CAPLUS
CN Alanine, N-[(2E)-3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]-3-[[(2E)-3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

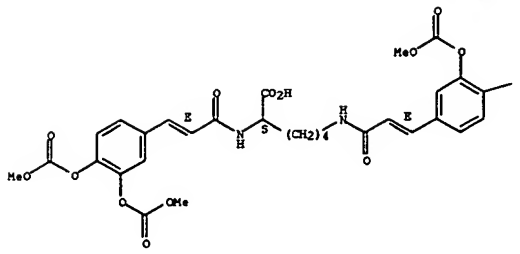
Double bond geometry as shown.



IT 459868-93-0P 459868-95-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dicaffeoyltartaric acid analogs inhibit human immunodeficiency virus in relation to cellular toxicity type 1 (HIV-1) integrase and HIV-1 replication at nontoxic concns.)
RN 459868-93-0 CAPLUS
CN L-Lysine, N2,N6-bis[(2E)-3-(3,4-bis[(methoxycarbonyl)oxy]phenyl]-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



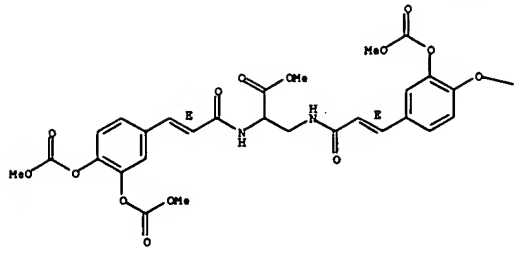
PAGE 1-B

RN 459868-95-2 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis[(methoxycarbonyl)oxy]phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis[(methoxycarbonyl)oxy]phenyl]-1-oxo-2-propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L63 ANSWER 11 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB Amino acid derivs. R1CO-A-CONHR2 [A = NR3CR4R5, where R3, R4 = H or Me; R5 = H, alkyl, carboxyalkyl, benzyl, MeSCH2CH2, 1-indolylmethyl, 3,4-(HO)2C6H2CH2, etc.; R3R4 may be trimethylene, which may be substituted; R1, R2 are certain rings (Ph, 3-pyridyl, 2-quinolyl, 2-thienyl, etc.), which may be substituted and attached to alkyl; R2 may also be aroylamino] were prepared as inhibitors of HIV integrase. Thus, N-[Nα-(3,4-dihydroxybenzoyl)-Nτ-trityl-L-histidinyl]dopamine was prepared by coupling of Nα-(9-fluorenylmethoxycarbonyl)-Nτ-trityl-L-histidine with dopamine hydrochloride, deprotection, and acylation with 3,4-dihydroxybenzoic acid and showed anti-integrase activity IC50 = 65 nM.

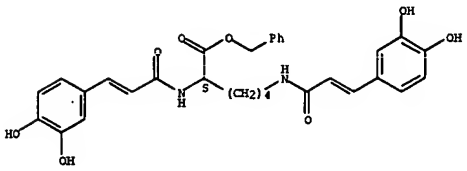
ACCESSION NUMBER: 2002:256223 CAPLUS
DOCUMENT NUMBER: 136:295089
TITLE: Preparation of amino acid aromatic derivatives with HIV integrase inhibitory properties
INVENTOR(S): N'zemba, Blaise Magloire; Sauve, Gilles; Sevigny, Guy; Yelle, Jocelyn
PATENT ASSIGNEE(S): Pharmacor, Inc., Can.
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026697	A2	20020404	WO 2001-CA1367	20010925
WO 2002026697	A3	20020516		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2321348	AA	20020327	CA 2000-2321348	20000927
AU 2001095310	A5	20020408	AU 2001-95310	20010925
US 6528655	B1	20030304	US 2001-963329	20010926
PRIORITY APPLN. INFO.:			CA 2000-2321348 A	20000927
			WO 2001-CA1367 W	20010925

OTHER SOURCE(S): MARPAT 136:295089
IT 406727-50-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid aromatic derivs. with HIV integrase inhibitory properties)
RN 406727-50-2 CAPLUS
CN L-Lysine, N2,N6-bis[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 12 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L63 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB Amino acid hydroxyphenyl derivs. 3,4-(HO)2C6H3-X-NH-W-CO-X'-R and [3,4-(HO)2C6H3CH2CH2NHCOCH(NRaCOR)CH2S]2 [R is Ph substituted by 1-3 OH groups and 0-2 halo group; X, X' = a single bond, C1-4 alkylene or C2-4 alkenylene; Ra = H, Me; W = -A-CO(A'CO)n-, where n = 0 or 1 and A, A' are -NRaCRbRc- (Ra, Rb = H, Me; Rc = H, Me, Me2CH, PhCH2, HO2CCH2, 3-indolylmethyl, 3-guanidylpropyl, 3,4-dihydroxybenzyl, etc. or RaRc together form an azole ring which may be substituted by hydroxy) (with provisos)] were prepared as inhibitors of HIV integrase. Thus, N-[N-(3,4-dihydroxybenzoyl)glycyl]dopamine, prepared from glycine tert-Bu ester via coupling with 3,4-dihydroxybenzoic acid and dopamine, showed anti-integrase activity IC50 = 100 μM.

ACCESSION NUMBER: 2002:237355 CAPLUS
DOCUMENT NUMBER: 136:263476
TITLE: Preparation of hydroxyphenyl derivatives with HIV integrase inhibitory properties
INVENTOR(S): Sauve, Gilles; Yelle, Jocelyn
PATENT ASSIGNEE(S): Pharmacor Inc., Can.
SOURCE: U.S., 36 pp., Cont.-in-part of U.S. Ser. No. 280,569, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6362165	B1	20020326	US 2000-534615	20000327
PRIORITY APPLN. INFO.:			US 1999-280569	B2 19990330

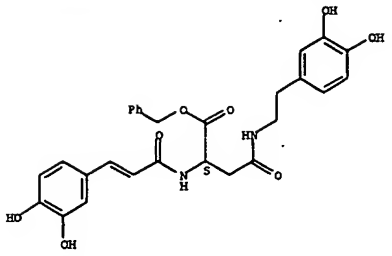
OTHER SOURCE(S): MARPAT 136:263476

IT 300409-34-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid hydroxyphenyl derivs. with HIV integrase inhibitory properties)

RN 300409-34-1 CAPLUS
CN L-Asparagine, N-[2-(3,4-dihydroxyphenyl)ethyl]-N2-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 13 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

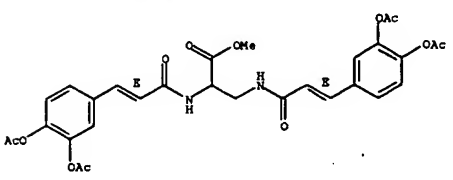
AB Quant. structure-activity relation (QSAR) paradigm, using genetic function approximation (GFA) technique was used to examine the correlations between the calculated physicochem. descriptors and the in vitro activities (3'-processing and 3'-strand transfer inhibition) of a series of human immunodeficiency virus type 1 (HIV-1) integrase inhibitors. Depending on the chemical structure, all mols. were divided into two classes-catechols and noncatechols. Eighty-one mols. were used in the present study and they were divided into training set and test set. The training set in each class consisted of 35 mols. and QSAR models were generated sep. for both catechols and noncatechols. Equations were evaluated using internal as well as external test set predictions. Models generated for catechols show that electronic, shape related, and thermodn. parameters are important whereas for noncatechols, spatial, structural, and thermodn. properties play an important role for the activity.

ACCESSION NUMBER: 2002:170729 CAPLUS
DOCUMENT NUMBER: 137:210398
TITLE: QSAR of HIV-1 integrase inhibitors by genetic function approximation method
AUTHOR(S): Makhija, Mahindra T.; Kulkarni, Vithal M.
CORPORATE SOURCE: Department of Chemical Technology, Pharmaceutical Division, University of Mumbai, Matunga, Mumbai, 400 019, India
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(5), 1483-1497
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 227098-00-2 227098-01-3 227098-03-5 227098-04-6
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR of HIV-1 integrase inhibitors by genetic function approximation method)

RN 227098-00-2 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

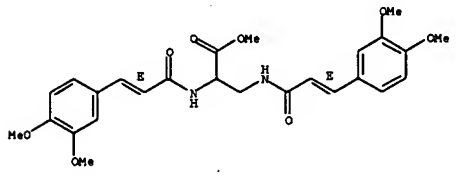


RN 227098-01-3 CAPLUS
CN Alanine, N-[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]-3-[[(2E)-3-(3,4-

L63 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

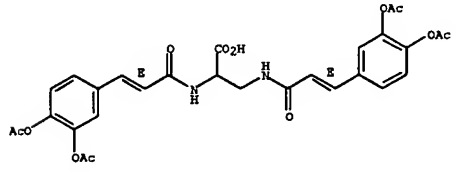
dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



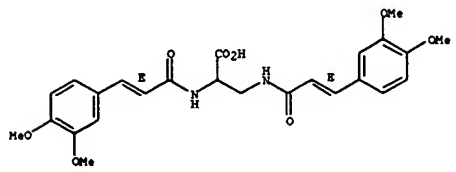
RN 227098-03-5 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



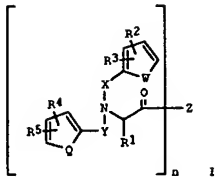
RN 227098-04-6 CAPLUS
CN Alanine, N-[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]-3-[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L63 ANSWER 14 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CHZNH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7 (with provisos)] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 2001:792333 CAPLUS
DOCUMENT NUMBER: 135:331670
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter J.; Bandurco, Victor T.; Wetter, Steven K.; Johnson, Sigmond; Bussolari, Jacqueline; Murray, William V.
PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical, Inc., USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 294,785, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6310078	B1	20011030	US 2000-517976	20000303
US 2002016350	A1	20020207	US 2001-927111	20010810
US 6750369	B2	20040615		
US 2004248815	A1	20041209	US 2004-799324	20040312
			US 1998-82392P	P 19980420
PRIORITY APPLN. INFO.:			US 1999-294785	B2 19990419
			US 2000-517976	A3 20000303
			US 2001-927111	A3 20010810

OTHER SOURCE(S): MARPAT 135:331670
IT 247202-82-0P 247202-83-1P 247202-90-0P
247203-01-6P 247203-06-1P 247204-09-7P

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
247204-10-0P 247204-11-1P 247204-12-2P
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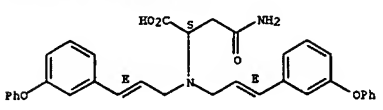
370108-27-3P 370108-86-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of substituted amino acids as erythropoietin mimetics)

RN 247202-82-0 CAPLUS
CN L-Asparagine, N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

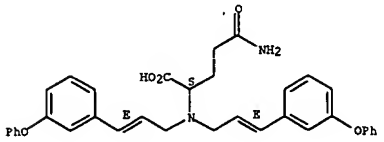
Absolute stereochemistry.
Double bond geometry as shown.



RN 247202-83-1 CAPLUS
CN L-Glutamine, N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

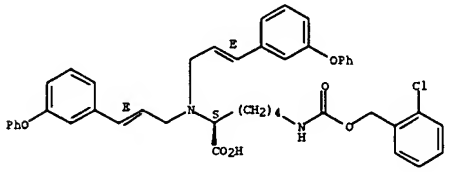
Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



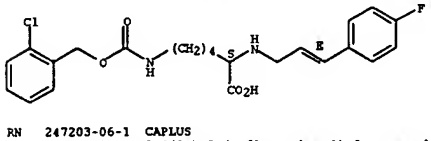
RN 247202-90-0 CAPLUS
CN L-Lysine, N6-[[(2-chlorophenyl)methoxy]carbonyl]-N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



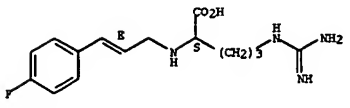
RN 247203-01-6 CAPLUS
CN L-Lysine, N6-[[(2-chlorophenyl)methoxy]carbonyl]-N2-[(2E)-3-(4-fluorophenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



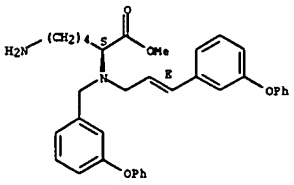
RN 247203-06-1 CAPLUS
CN L-Arginine, N2-[(2E)-3-(4-fluorophenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



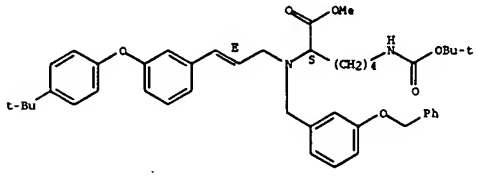
RN 247204-09-7 CAPLUS
CN L-Lysine, N2-[(3-phenoxyphenyl)methyl]-N2-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



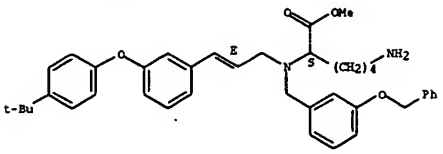
RN 247204-10-0 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



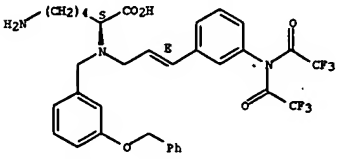
RN 247204-11-1 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



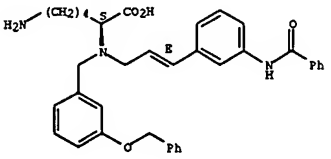
RN 247204-12-2 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



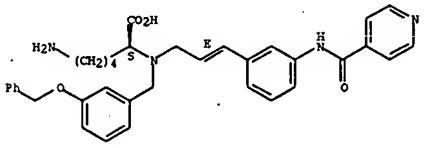
RN 247204-14-4 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



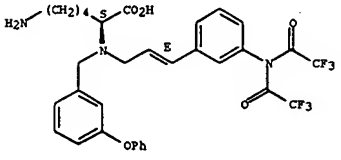
RN 247204-15-5 CAPLUS
CN L-Lysine, N2-[[3-(phenylmethoxy)phenyl]methyl]-N2-[(2E)-3-[3-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



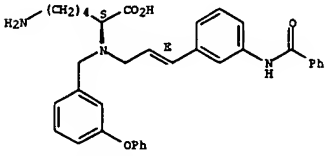
RN 247204-16-6 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



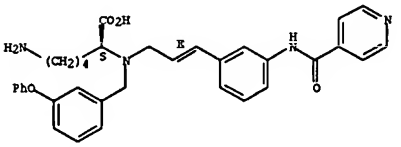
RN 247204-17-7 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



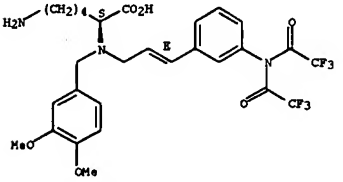
RN 247204-18-8 CAPLUS
CN L-Lysine, N2-[(3-phenoxyphenyl)methyl]-N2-[(2E)-3-[3-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



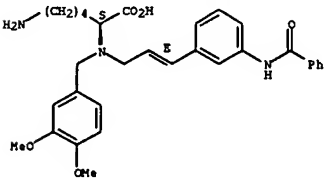
RN 247204-19-9 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-20-2 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

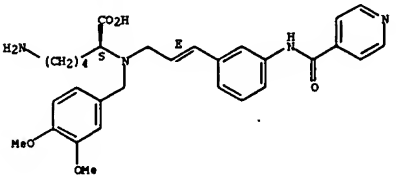
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-21-3 CAPLUS

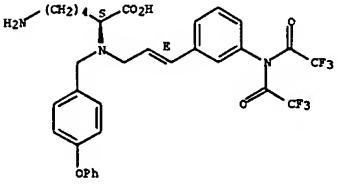
L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN L-Lysine, N2-[(3,4-dimethoxyphenyl)methyl]-N2-[(2E)-3-[3-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-22-4 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

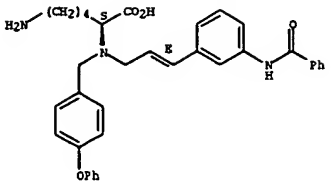
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-23-5 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

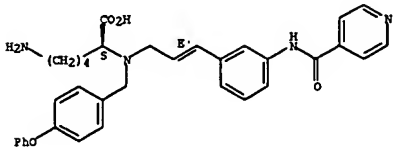
Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



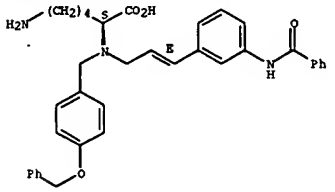
RN 247204-24-6 CAPLUS
CN L-Lysine, N2-[(4-phenoxyphenyl)methyl]-N2-[(2E)-3-[3-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-25-7 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

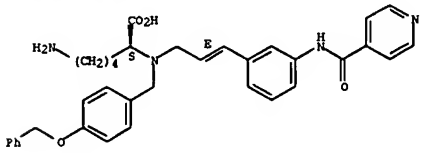
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-27-9 CAPLUS
CN L-Lysine, N2-[[4-(phenylmethoxy)phenyl]methyl]-N2-[(2E)-3-[3-[(4-

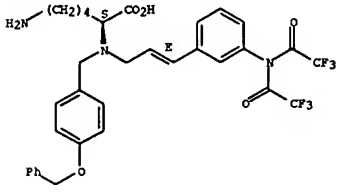
L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-28-0 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-29-1 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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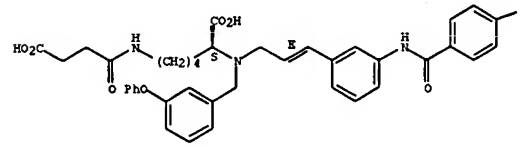

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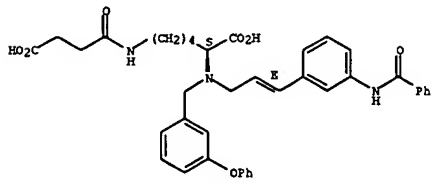
RN 247204-30-4 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-31-5 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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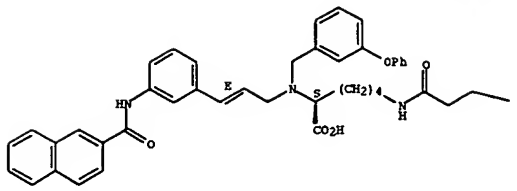

PAGE 1-B

RN 247204-32-6 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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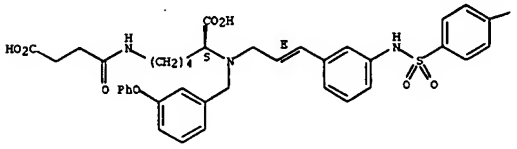

CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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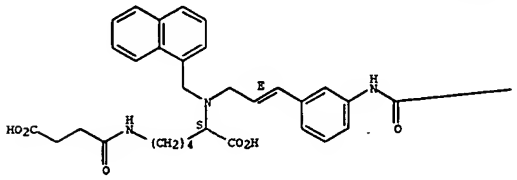
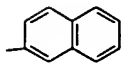
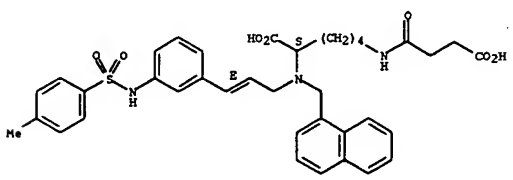


PAGE 1-B



RN 247204-37-1 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-38-2 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]-N2-[(2E)-

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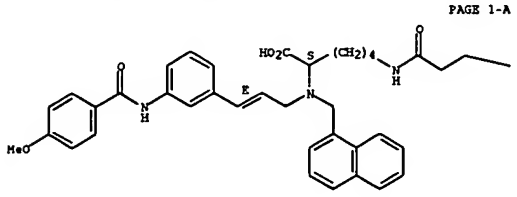
RN 247204-33-7 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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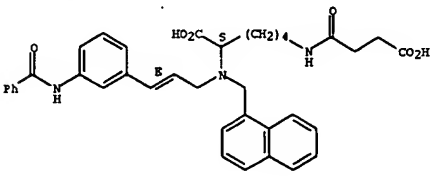
RN 247204-34-8 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

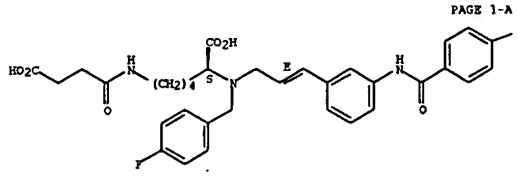


RN 247204-36-0 CAPLUS

3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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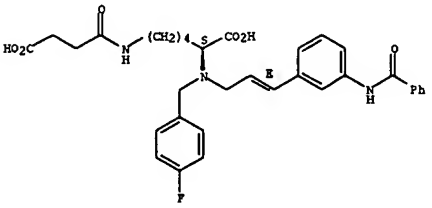
RN 247204-39-3 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-40-6 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]-N2-[(2E)-3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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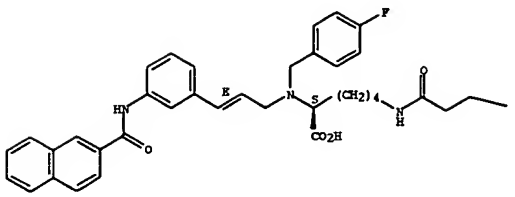

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RN 247204-41-7 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]-N2-[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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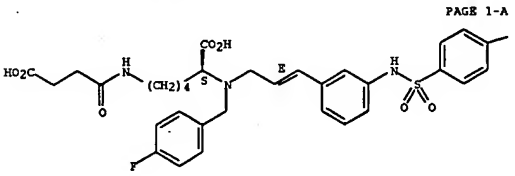

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-N2-[(3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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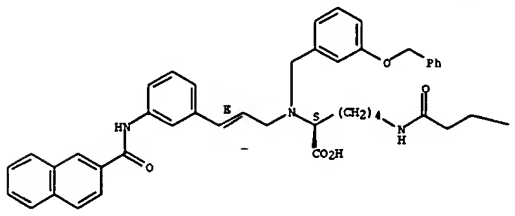

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RN 247204-45-1 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[[(4-methylphenyl]sulfonyl]amino]phenyl]-2-propenyl]-N2-[(3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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RN 247204-42-8 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N2-[(3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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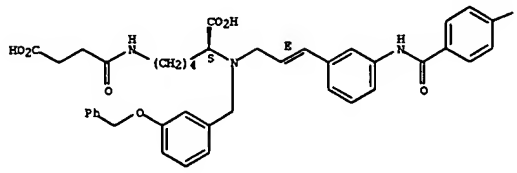

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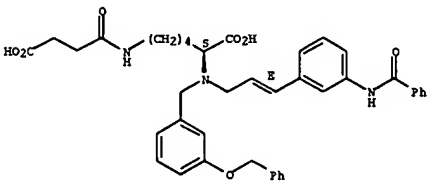
RN 247204-43-9 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-44-0 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(2-

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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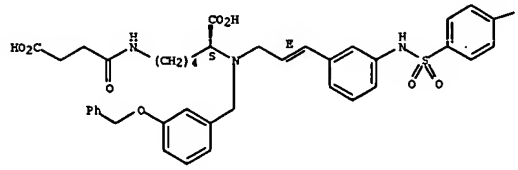

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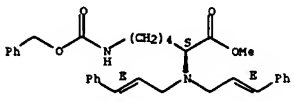
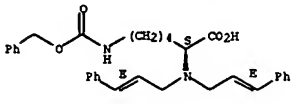
RN 247204-47-3 CAPLUS
CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2,N2-bis[(2E)-3-phenyl-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-48-4 CAPLUS
CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2,N2-bis[(2E)-3-phenyl-2-propenyl]-(9CI) (CA INDEX NAME)

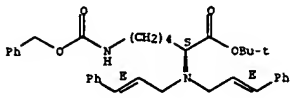
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-49-5 CAPLUS
CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2,N2-bis[(2E)-3-phenyl-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

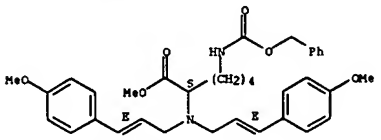
Absolute stereochemistry.

Double bond geometry as shown.



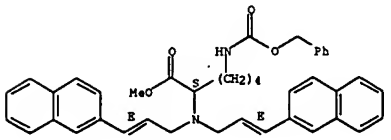
RN 247204-50-8 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(4-methoxyphenyl)-2-propenyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



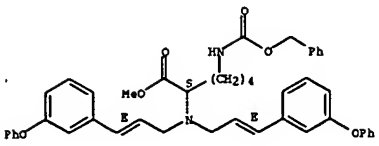
RN 247204-51-9 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(2-naphthalenyl)-2-propenyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



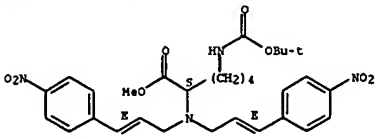
RN 247204-52-0 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



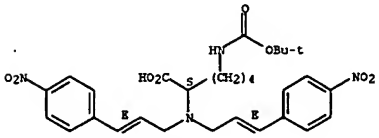
RN 247204-54-2 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(4-nitrophenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



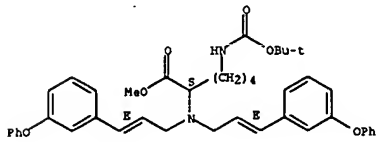
RN 247204-55-3 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(4-nitrophenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-57-5 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-58-6 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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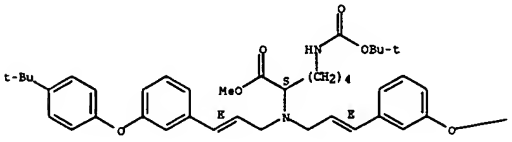

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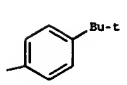

RN 247204-59-7 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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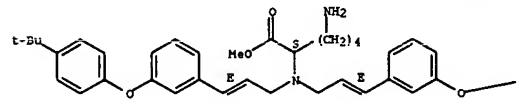

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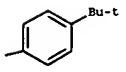
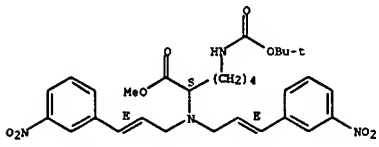


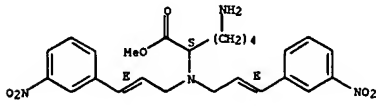
RN 247204-60-0 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(3-nitrophenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-61-1 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(3-nitrophenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

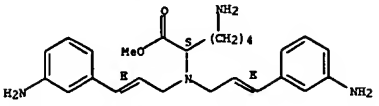
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-62-2 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(3-aminophenyl)-2-propenyl]-, methyl ester

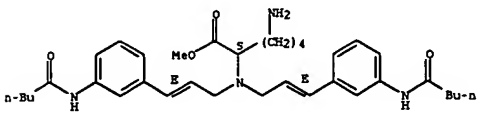
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



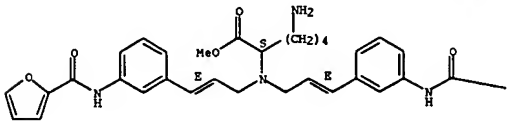
RN 247204-63-3 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(1-oxopentyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

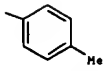
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-64-4 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(2-furanylcarbonyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

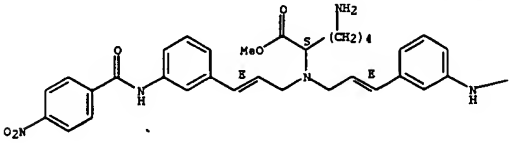
Absolute stereochemistry.
Double bond geometry as shown.

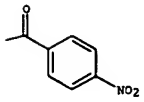




RN 247204-68-8 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-nitrobenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



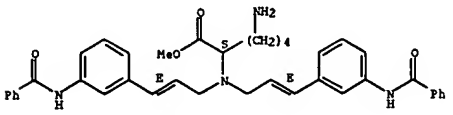


RN 247204-69-9 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

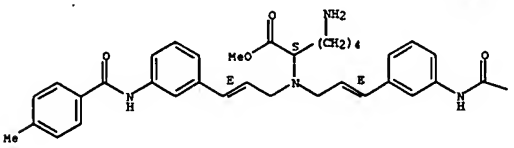
RN 247204-65-5 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

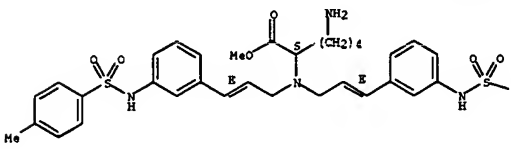
Absolute stereochemistry.
Double bond geometry as shown.

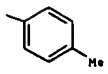


RN 247204-67-7 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-methylbenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

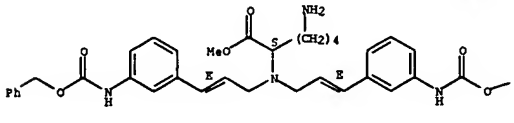






RN 247204-70-2 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[[(phenylmethoxy)carbonyl]amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-71-3 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-bromobenzoyl)amino]phenyl]-2-propenyl]-,

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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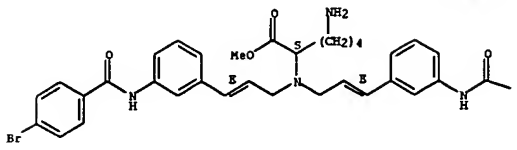
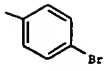


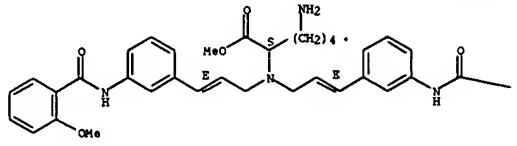
PAGE 1-B



RN 247204-72-4 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(2-methoxybenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

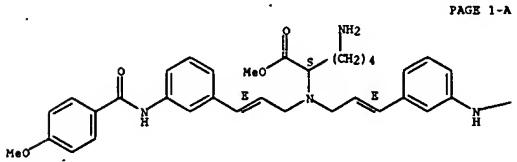
Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



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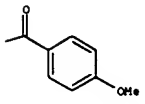
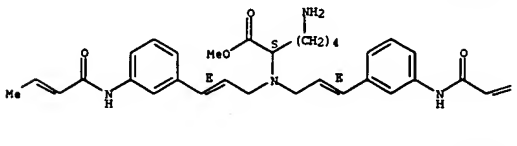


RN 247204-76-8 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(1-oxo-2-butenyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

PAGE 1-A



PAGE 1-B

RN 247204-77-9 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(2,2,3,3,3-pentafluoro-1-

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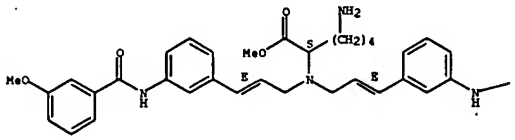
PAGE 1-B

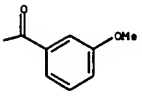
RN 247204-73-5 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(3-methoxybenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



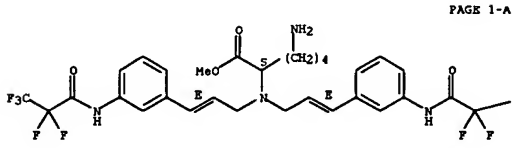
RN 247204-74-6 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 15 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
oxopropyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A

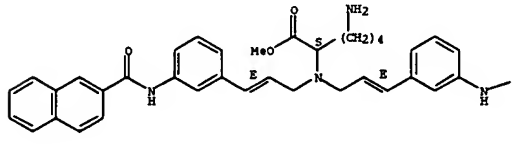


PAGE 1-B

RN 247204-78-0 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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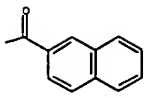
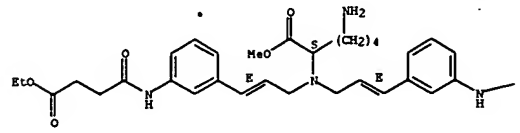


RN 247204-79-1 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-ethoxy-1,4-dioxobutyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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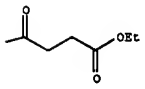
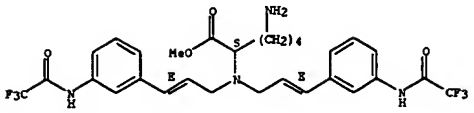


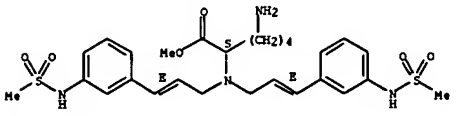
RN 247204-80-4 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(trifluoroacetyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



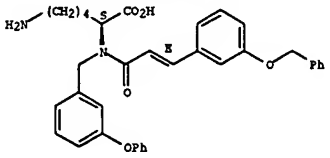
RN 247204-81-5 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(methylsulfonyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



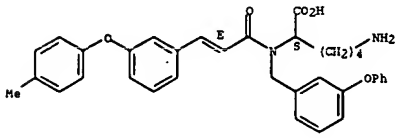
RN 247205-64-7 CAPLUS
CN L-Lysine, N2-[(2E)-1-oxo-3-[3-(phenylmethoxy)phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



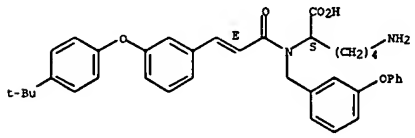
RN 247205-65-8 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(4-methylphenoxy)phenyl]-1-oxo-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



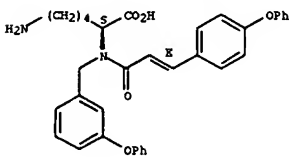
RN 247205-66-9 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-1-oxo-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



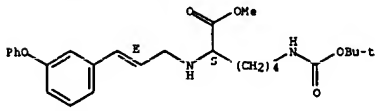
RN 247205-67-0 CAPLUS
CN L-Lysine, N2-[(2E)-1-oxo-3-(4-phenoxyphenyl)-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 305647-02-3 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

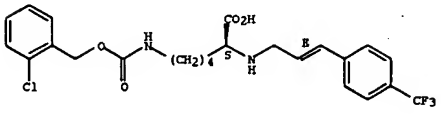


RN 370108-17-1 CAPLUS
CN L-Lysine, N6-[[(2-chlorophenyl)methoxy]carbonyl]-N2-[(2E)-3-[4-(trifluoromethyl)phenyl]-2-propenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 370108-16-0
CMF C24 H26 Cl F3 N2 O4

Absolute stereochemistry.
Double bond geometry as shown.

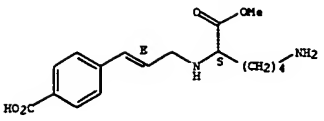


CM 2

CRN 76-05-1
CMF C2 H F3 O2

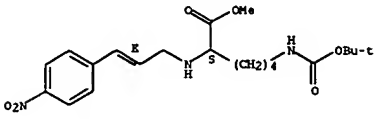
RN 370108-18-2 CAPLUS
CN Benzoic acid, 4-[(1E)-3-[[(1S)-5-amino-1-(methoxycarbonyl)pentyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



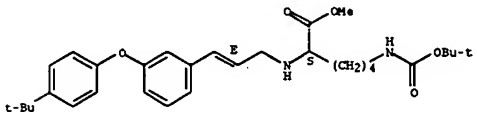
RN 370108-19-3 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(2E)-3-(4-nitrophenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



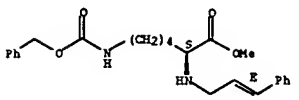
RN 370108-20-6 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



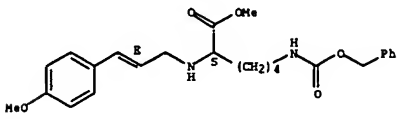
RN 370108-21-7 CAPLUS
CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2-[(2E)-3-phenyl-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 370108-22-8 CAPLUS
CN L-Lysine, N2-[(2E)-3-(4-methoxyphenyl)-2-propenyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

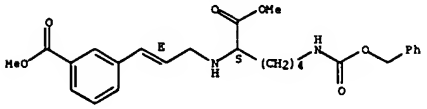


RN 370108-24-0 CAPLUS
CN Benzoic acid, 3-[(1E)-3-[[(1S)-1-(methoxycarbonyl)-5-[[(phenylmethoxy)carbonyl]amino]pentyl]amino]-1-propenyl]-, methyl ester, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 370108-23-9
CMF C26 H32 N2 O6

Absolute stereochemistry.
Double bond geometry as shown.

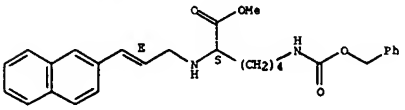


CM 2

CRN 64-19-7
CMF C2 H4 O2

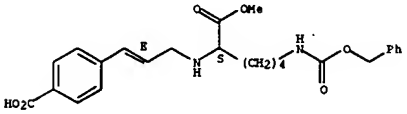
RN 370108-25-1 CAPLUS
CN L-Lysine, N2-[(2E)-3-(2-naphthalenyl)-2-propenyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



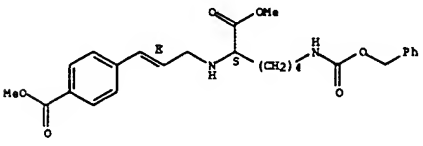
RN 370108-26-2 CAPLUS
CN Benzoic acid, 4-[(1E)-3-[[(1S)-1-(methoxycarbonyl)-5-[[(phenylmethoxy)carbonyl]amino]pentyl]amino]-1-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 370108-27-3 CAPLUS
CN Benzoic acid, 4-[(1E)-3-[[(1S)-1-(methoxycarbonyl)-5-[[(phenylmethoxy)carbonyl]amino]pentyl]amino]-1-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

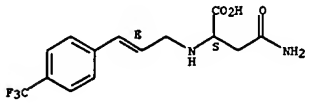


RN 370108-86-4 CAPLUS
CN L-Asparagine, N2-[(2E)-3-[4-(trifluoromethyl)phenyl]-2-propenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 370108-85-3
CMF C14 H15 F3 N2 O3

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB We previously reported the utility of antineoplaston-A 10 (3-phenylacetylamino-2,6-piperidinedione) as an endogenous cancer protector and immune modulator in breast cancer patients. In this study, four new piperidinedione A 10 analogs were synthesized and tested for their antimitotic activity on a human breast cancer cell line against the prototype A 10 and the antibreast cancer drug tamoxifen. Moreover, the DNA binding capacity of such compds. was evaluated against A 10. (E)-3-(4-Nitrocinnamoylamino)-2,6-piperidinedione and (E)-3-(4-hydroxycinnamoylamino)-2,6-piperidinedione were several-fold more potent antiproliferative agents than A 10 and tamoxifen. They also had significantly higher capacity to bind DNA than A 10. Conversely, (E)-3-(cinnamoylamino)-2,6-piperidinedione and (E)-3-(4-methoxycinnamoylamino)-2,6-piperidinedione had weaker biol. profiles than the lead compound A 10. Detailed synthetic, spectroscopic, and biol. data are reported.

ACCESSION NUMBER: 2001:37785 CAPLUS
DOCUMENT NUMBER: 134:231649
TITLE: Novel piperidinedione analogs as inhibitors of breast cancer cell growth
AUTHOR(S): Abou-Zeid, L. A.; El-Mowafy, A. M.; El-Ashmawy, M. B.; Hendry, L. B.; Abdelal, A. M.; Badria, F. A.
CORPORATE SOURCE: Department of Medicinal Chemistry, Faculty of Pharmacy, Mansoura University, Mansoura, Egypt
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2000), 333(12), 431-434
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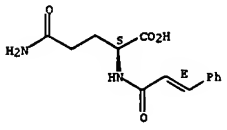
LANGUAGE: English

IT 330679-85-1P 330679-86-2P 330679-87-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinedione analogs as inhibitors of breast cancer cell growth)

RN 330679-85-1 CAPLUS
CN L-Glutamine, N2-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

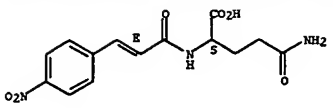
Absolute stereochemistry.
Double bond geometry as shown.



RN 330679-86-2 CAPLUS
CN L-Glutamine, N2-[(2E)-3-(4-nitrophenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

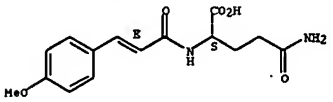
Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 16 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



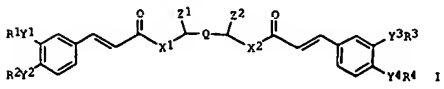
RN 330679-87-3 CAPLUS
CN L-Glutamine, N2-[(2E)-3-(4-methoxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Chicoric acid analogs I where: Q is a valence bond or CH2; X1 is O, NH, or CH2; X2 is O, NH, or CH2; Y1-Y4 are each a valence bond, O, or NH; where Y1-Y4 is a valence bond, the element R-R4 bonded to Y1-Y4 is a carboxy-containing moiety selected from the group consisting of carboxymethyl, carboxyethyl, carboxypropyl, carboxy small alkyl and carboxy aryl; where Y1-Y4 is O, the element R-R4 bonded to Y-Y4 is each H, acetyl, propionyl, butyryl, or isobutyryl, or is a moiety forming a lower alkyl carbamate or an aryl carbamate; where Y-Y4 is NH, the element R1-R4 bonded to Y-Y4 is each acetyl, propionyl, butyryl, isobutyryl, small alkyl or aryl; Z1 and Z2 are each H, lower alkyl, CHO, CO2H, or CO2W, where W is lower alkyl or aryl, or, alternatively, where Q is a valence bond, Z1 and Z2, together with the adjacent carbon atoms and Q, form a ring structure, the carbon skeleton of the ring structure being selected from the group consisting of cyclohexane, cyclohexene, cyclopentane, cycloheptane, cycloheptene, and benzene; with the proviso that where each of Y-Y4 is O and all of R1-R4 are other than H, at least one of Z1 or Z2 is CO2H or CO2W; and with the proviso that where X1 and X2 are both O, Q is a valence bond, and Z1 and Z2 are both CO2H, either at least one of R1-R4, is other than H or at least one of Y1-Y4 is other than O, were prepared and have activity against HIV-1 integrase. The structural features that are required for this activity are elucidated by assaying these analogs and derivs. against HIV-1 integrase. Furthermore, methods of synthesis of the enantiomers of chicoric acid itself, as well as its analogs and derivs., are disclosed. Addnl., methods of use of chicoric acid analogs and derivs. to inhibit HIV-1 integrase are disclosed, as are compns. comprising chicoric acid analogs and derivs. Thus, N,O-bis-(3,4-dihydroxycinnamoyl)serine was prepared and tested as HIV integrase inhibitor (IC50 = 3.3 μM).

ACCESSION NUMBER: 2000:756659 CAPLUS
DOCUMENT NUMBER: 133:296199
TITLE: Preparation of acetylated and related analogs of chicoric acid as HIV integrase inhibitors
INVENTOR(S): Burke, Terrence R.; Zhaiwei, Lin; Zhao, He; Neamati, Nouri; Pommier, Yves
PATENT ASSIGNEE(S): Government of the United States of America as Represented by the Secretary, Department of Health and Human Services, USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000063152	A1	20001026	WO 2000-US4608	20000222

L63 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

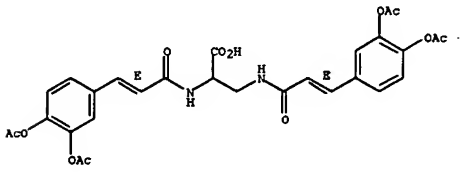
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-121127P P 19990222
OTHER SOURCE(S): MARPAT 133:296199

IT 227098-03-5P 227098-04-6P 301301-10-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acetylated and related analogs of chicoric acid as hiv integrase inhibitors)

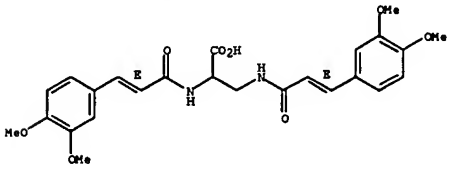
RN 227098-03-5 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 227098-04-6 CAPLUS
CN Alanine, N-[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]-3-[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

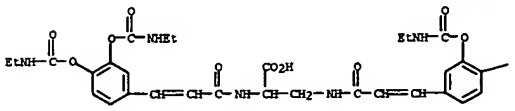
Double bond geometry as shown.



RN 301301-10-0 CAPLUS
CN Alanine, N-[3-[3,4-bis[[(ethylamino)carbonyl]oxy]phenyl]-1-oxo-2-propenyl]-

L63 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
3-[[3-[3,4-bis[[(ethylamino)carbonyl]oxy]phenyl]-1-oxo-2-propenyl]amino]-
(9CI) (CA INDEX NAME)

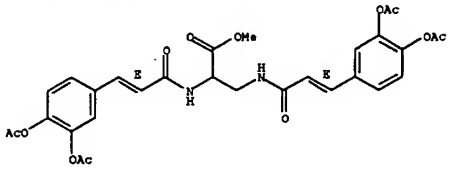
PAGE 1-A



PAGE 1-B

IT 227098-00-2P 227098-01-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acetylated and related analogs of chicoric acid as hiv integrase inhibitors)
RN 227098-00-2 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

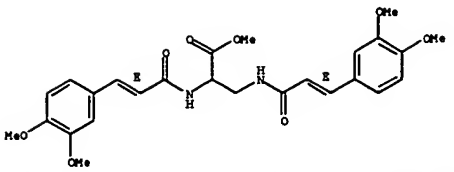
Double bond geometry as shown.



RN 227098-01-3 CAPLUS
CN Alanine, N-[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]-3-[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L63 ANSWER 17 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

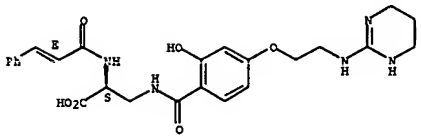
L63 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB Compds. G-NH-W-CONHCHR2CH(X-R1)CO2H [G = amidino, R1NHCO, R1O2C, 2-pyridyl or its 3-aza or 3-thia analogs, 3,4,5,6-tetrahydro-2-pyridyl or 3-aza analog or their 5-, 7-, or 8-membered ring analogs; W = (CH2)mCH2O-p-phenylene-(OR3)-3 (m = 0-4, R3 = H or optionally substituted alkyl or aralkoxy), CH2CH2-(2,4-dioxo-3,4-dihydro-2H-quinazoline-3,1-diyl)-CH2, or CH2CH2-(1,4-piperazinediyl)-CH2; X = NHCO2, NHCO, NHCONH, NHSO2; R1, R2 = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, aralkenyl, heterocycloalkyl, heterocycloalkylalkyl, heteroalkylalkenyl (with provisos)] were prepared as integrin inhibitors. Thus, claimed compds. 2-benzyloxycarbonylamino-3-[2-[4-[2-(3-benzylureido)ethyl]piperazin-1-yl]acetylamino]propionic acid and 2-benzyloxycarbonylamino-3-[2-[3-[2-(3-benzylureido)ethyl]-2,4-dioxo-3,4-dihydro-2H-quinazolin-1-yl]acetylamino]propionic acid were prepared by the solid phase method.
ACCESSION NUMBER: 2000:742061 CAPLUS
DOCUMENT NUMBER: 133:310143
TITLE: Methods for solid phase combinatorial synthesis of integrin inhibitors
INVENTOR(S): Gopalsamy, Ariamala; Yang, Hui Yu
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000061545	A1	20001019	WO 2000-US10027	20000413
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6586187	B1	20030701	US 2000-548697	20000413
PRIORITY APPLN. INFO.:			US 1999-291470 A	19990414
			US 1999-240952P P	19990414

OTHER SOURCE(S): CASREACT 133:310143; MARPAT 133:310143
IT 247124-60-3P 247125-57-1P 247126-30-3P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid phase combinatorial synthesis of peptides as integrin inhibitors)
RN 247124-60-3 CAPLUS
CN L-Alanine, 3-[[2-hydroxy-4-[2-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]ethoxy]benzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

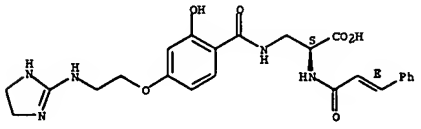
Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 18 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



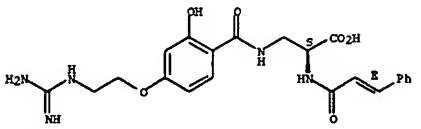
RN 247125-57-1 CAPLUS
CN L-Alanine, 3-[[4-[2-[(4,5-dihydro-1H-imidazol-2-yl)amino]ethoxy]-2-hydroxybenzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247126-30-3 CAPLUS
CN L-Alanine, 3-[[4-[2-[(aminoiminomethyl)amino]ethoxy]-2-hydroxybenzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB Amino acid hydroxyphenyl derivs. 3,4-(HO)2C6H3-X-NH-W-CO-X'-R and [3,4-(HO)2C6H3CH2CH2NHCOCH(NRaCOR)CH2S]2 [R is Ph substituted by 1-3 OH groups and 0-2 halo group; X, X' = a single bond, C1-4 alkylene or C2-4 alkenylene; Ra = H, Me; W = -A-CO(A'CO)n-, where n = 0 or 1 and A, A' are -NRaCRbRc- (Ra, Rb = H, Me; Rc = H, Me, Me2CH, PhCH2, HO2CCH2, benzyloxycarbonyl, 3-indolylmethyl, 3-guanidylpropyl, 3,4-dihydroxybenzyl, etc. or RaRc together form an azole ring which may be substituted by hydroxy), -NRaCRbRcCH2-, -NRaCRbRcCH2CH2] were prepared as inhibitors of HIV integrase. Thus, N-[N-(3,4-hydroxybenzoyl)glycyl]dopamine, prepared from glycine tert-Bu ester via coupling with 3,4-dihydroxybenzoic acid and dopamine, showed anti-integrase activity IC50 = 100 μM.

ACCESSION NUMBER: 2000:725598 CAPLUS
DOCUMENT NUMBER: 133:282085
TITLE: Preparation of hydroxyphenyl derivatives with HIV integrase inhibitory properties
INVENTOR(S): Sauve, Gilles; Yelle, Jocelyn
PATENT ASSIGNEE(S): Pharmacor Inc., Can.
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059867	A1	20001012	WO 2000-CA327	20000327
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2267657	AA	20000930	CA 1999-2267657	19990330
CA 2302144	AA	20000930	CA 2000-2302144	20000327
EP 1165492	A1	20020102	EP 2000-913980	20000327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.:
CA 1999-2267657 A 19990330
US 1999-280569 A 19990330
WO 2000-CA327 W 20000327

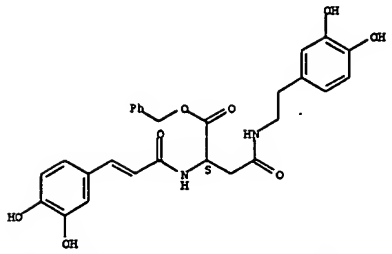
OTHER SOURCE(S): MARPAT 133:282085

IT **300409-34-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyphenyl derivs. with HIV integrase inhibitory properties)

RN 300409-34-1 CAPLUS
CN L-Asparagine, N-[2-(3,4-dihydroxyphenyl)ethyl]-N2-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 19 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

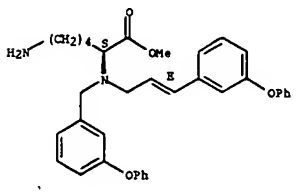
AB N,N-Dicinnamyl, N-benzyl-N-cinnamyl, and N,N-dibenzyl amino acids were prepared and evaluated in an EPO binding assay. Several derivs. of aspartic acid, glutamic acid, and lysine exhibited moderate (10-50 μM) affinity for EBP; 'dimerization' of the most potent analogs by coupling with linear diamines led to EPO competitors having 1-2 μM binding affinities.

ACCESSION NUMBER: 2000:595518 CAPLUS
DOCUMENT NUMBER: 133:344171
TITLE: Synthesis and erythropoietin receptor binding affinities of N,N-disubstituted amino acids
AUTHOR(S): Connolly, P. J.; Wetter, S. K.; Murray, W. V.; Johnson, D. L.; McMahon, F. J.; Farrell, F. X.; Tullai, J.; Jolliffe, L. K.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(17), 1995-1999
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:344171

IT **247204-09-7P 247204-10-0P 247204-11-1P**
247204-57-5P 247204-58-6P 247204-59-7P
305647-02-3P 305647-29-4P 305647-34-1P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(erythropoietin receptor binding structure activity of disubstituted amino acids)

RN 247204-09-7 CAPLUS
CN L-Lysine, N2-[(3-phenoxyphenyl)methyl]-N2-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

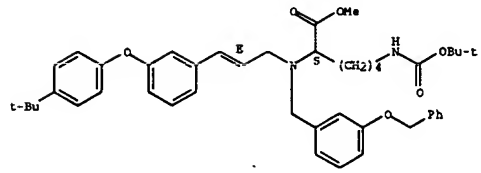
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-10-0 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

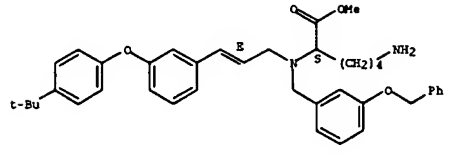
Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



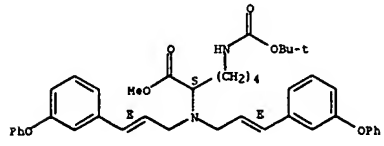
RN 247204-11-1 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-57-5 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

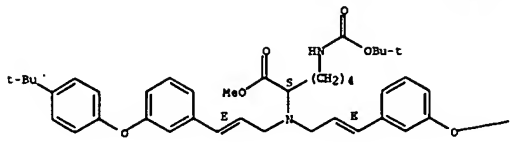


RN 247204-58-6 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

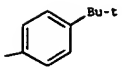
Absolute stereochemistry.

L63 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.

PAGE 1-A



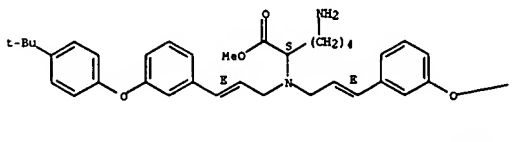
PAGE 1-B



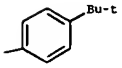
RN 247204-59-7 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



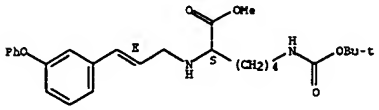
PAGE 1-B



RN 305647-02-3 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(2E)-3-(3-phenoxyphenyl)-2-

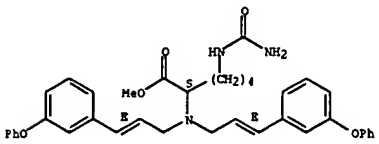
L63 ANSWER 20 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



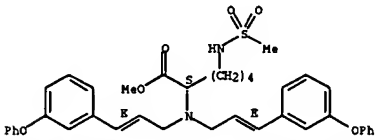
RN 305647-29-4 CAPLUS
CN L-Lysine, N6-(aminocarbonyl)-N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 305647-34-1 CAPLUS
CN L-Lysine, N6-(methylsulfonyl)-N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



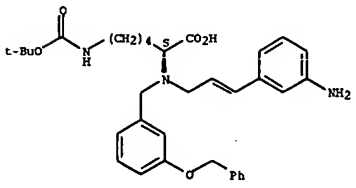
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB Several variations of a solid-phase strategy for the synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivs. are presented. Starting from the corresponding Nα-Fmoc amino acids on Wang resin, reductive alkylation using nitrocinnamaldehyde or a substituted benzaldehyde was followed by nucleophilic displacement of a substituted benzyl halide or nitrocinnamyl bromide to provide resin-bound intermediates. Diversity was added by reduction of the nitro group and derivatization of the resulting aminocinnamyl moiety with a variety of acylating or sulfonylating reagents. Using an orthogonal protecting group strategy, Nε-Dde-protected lysine derivs. were further functionalized at the side-chain amino group prior to cleavage from resin. This method allows for the preparation of analog libraries having up to four points of diversity.

ACCESSION NUMBER: 2000:471558 CAPLUS
DOCUMENT NUMBER: 133:252676
TITLE: Solid-phase synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivatives
AUTHOR(S): Connolly, P. J.; Beers, K. N.; Wetter, S. K.; Murray, W. V.
CORPORATE SOURCE: The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Tetrahedron Letters (2000), 41(27), 5187-5191
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:252676
IT 295366-97-1DP, acyl derivs., resin-bound 295366-98-2DP, acyl derivs., resin-bound 295366-99-3DP, acyl derivs., resin-bound 295367-00-9DP, acyl derivs., resin-bound 295367-01-0DP, acyl derivs., resin-bound 295367-02-1DP, acyl derivs., resin-bound 295367-03-2DP, acyl derivs., resin-bound 295367-04-3DP, resin-bound 295367-05-4DP, resin-bound 295367-06-5DP, resin-bound 295367-07-6DP, resin-bound 295367-08-7DP, resin-bound 295367-09-8DP, resin-bound 295367-11-2DP, acyl derivs., resin-bound 295367-12-3DP, acyl derivs., resin-bound 295367-13-4DP, acyl derivs., resin-bound 295367-14-5DP, acyl derivs., resin-bound 295367-15-6DP, acyl derivs., resin-bound 295367-16-7DP, acyl derivs., resin-bound 295367-17-8DP, acyl derivs., resin-bound 295367-18-9DP, resin-bound 295367-19-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(solid-phase synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivs.)
RN 295366-97-1 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

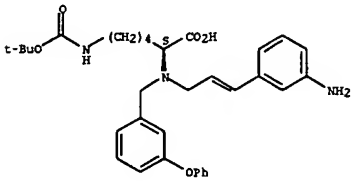
Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



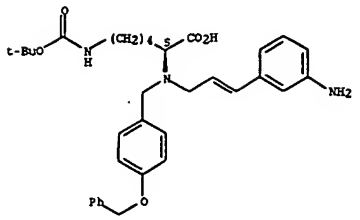
RN 295366-98-2 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



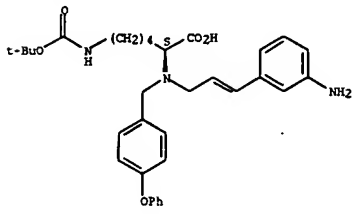
RN 295366-99-3 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



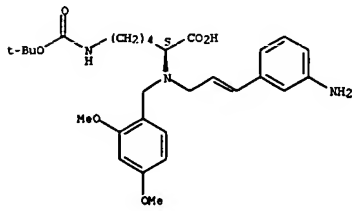
RN 295367-00-9 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



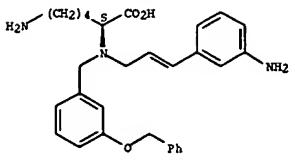
RN 295367-01-0 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



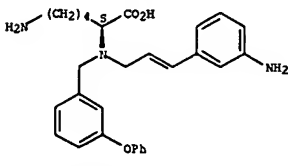
RN 295367-04-3 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

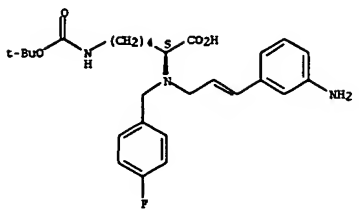


RN 295367-05-4 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

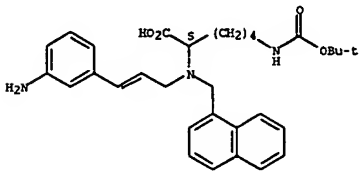


RN 295367-06-5 CAPLUS



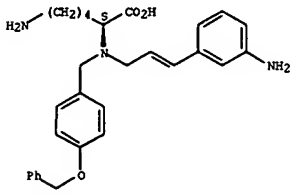
RN 295367-02-1 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[(1,1-dimethylethoxy)carbonyl]-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



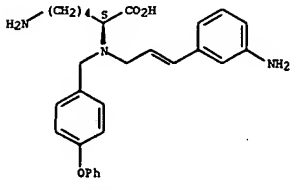
RN 295367-03-2 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(2,4-dimethoxyphenyl)methyl]-N6-[(1,1-dimethylethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-07-6 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

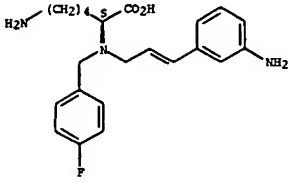


RN 295367-08-7 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

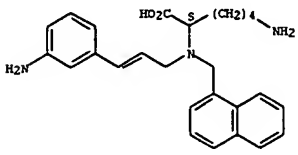
RN 295367-08-7 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



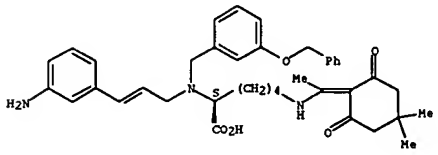
RN 295367-09-8 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

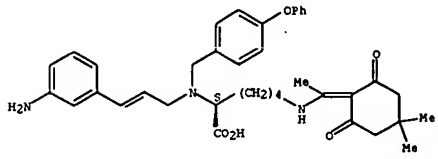


RN 295367-11-2 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

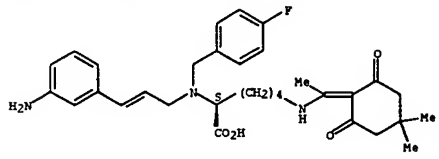


RN 295367-12-3 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX



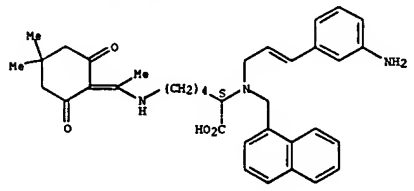
RN 295367-15-6 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl]-N2-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-16-7 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl]-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

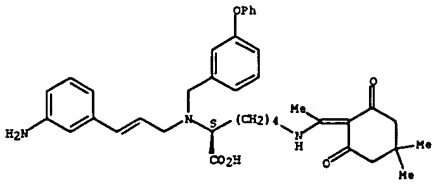
Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-17-8 CAPLUS

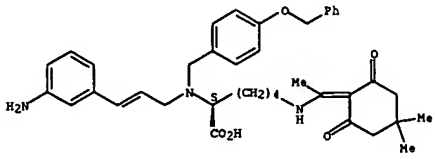
NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-13-4 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

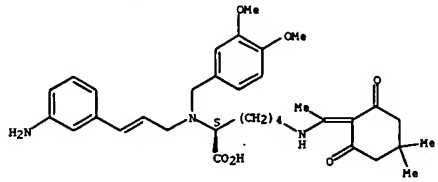


RN 295367-14-5 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl]-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

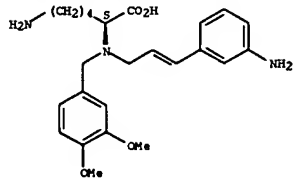
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(3,4-dimethoxyphenyl)methyl]-N6-[1-(4,4-dimethyl-2,6-dioxocyclohexylidene)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



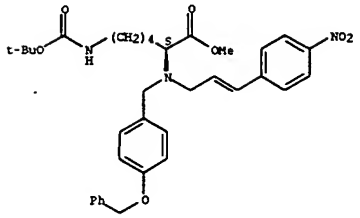
RN 295367-18-9 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-19-0 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[3-(4-nitrophenyl)-2-propenyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



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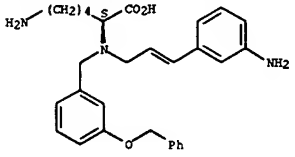
295367-06-5DP, acyl derivs. 295367-07-6DP, acyl derivs.
295367-08-7DP, acyl derivs. 295367-09-8DP, acyl derivs.
295367-10-1DP, acyl derivs. 295367-38-3DP, acyl derivs.
295367-39-4DP, acyl derivs. 295367-40-7DP, acyl derivs.
295367-41-8DP, acyl derivs. 295367-42-9DP, acyl derivs.
295367-43-0DP, acyl derivs. 295367-44-1DP, acyl derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase synthesis of Nα-benzyl-Nα-cinnamyl lysine and glutamic acid derivs.)

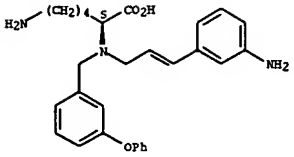
RN 295367-04-3 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



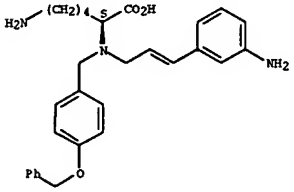
RN 295367-05-4 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



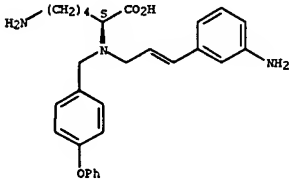
RN 295367-06-5 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-07-6 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

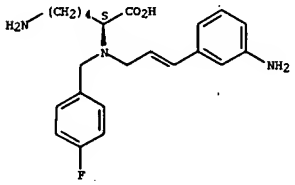
Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-08-7 CAPLUS

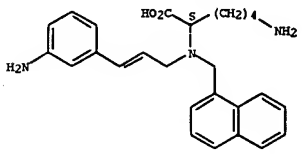
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



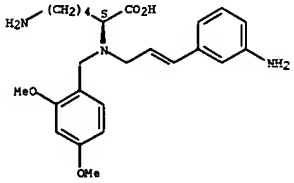
RN 295367-09-8 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



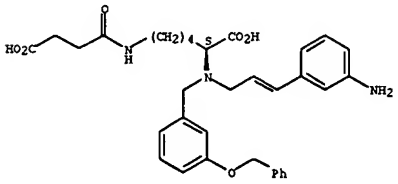
RN 295367-10-1 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N2-[(2,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



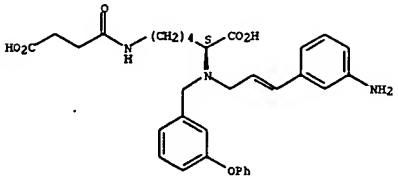
RN 295367-38-3 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-39-4 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

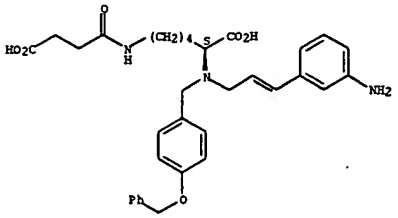
Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

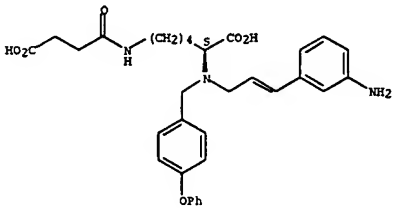
RN 295367-40-7 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-41-8 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

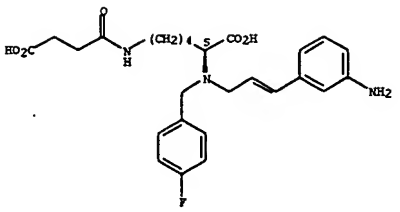
Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-42-9 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

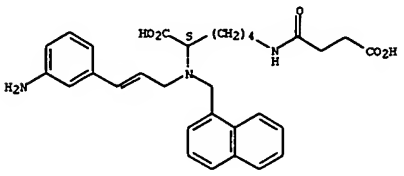
Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 295367-43-0 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

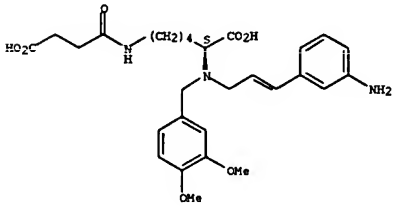
Absolute stereochemistry.
Double bond geometry unknown.



RN 295367-44-1 CAPLUS
CN L-Lysine, N2-[3-(3-aminophenyl)-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 21 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

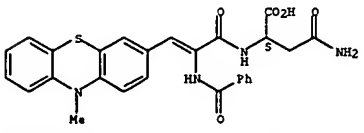
L63 ANSWER 22 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB A variety of amides and dipeptides linked to position 3 of phenothiazine was prepared by treating 2-phenyl-4-(10-methyl-3-phenothiazinylmethylene)-5(4H)-oxazolinone with amines and amino acids. IR and 1H-NMR spectral investigations were included.

ACCESSION NUMBER:	2000:419808 CAPLUS
DOCUMENT NUMBER:	133:193446
TITLE:	Diamides and dipeptides bound to the phenothiazinic ring
AUTHOR(S):	Bacu, Elena; Petrovanu, Magda; Grandclaudon, Pierre; Couture, Axel
CORPORATE SOURCE:	Departement de Chimie Organique, Faculte de Chimie, Universite "Al. I. Cuza" Iasi, Iasi, 6600, Rom.
SOURCE:	Revue Roumaine de Chimie (2000), Volume Date 1999, 44(7), 699-703 CODEN: RRCHAX; ISSN: 0035-3930
PUBLISHER:	Editura Academiei Romane
DOCUMENT TYPE:	Journal
LANGUAGE:	French

IT 289483-37-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(diamides and dipeptides bound to the phenothiazinic ring)

RN 289483-37-0 CAPLUS
CN L-Asparagine, N-benzoyl-2,3-didehydro-3-(10-methyl-10H-phenothiazin-3-yl)alanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB The invention provides amino acid derivative and peptidic compds. useful to inhibit tumor growth and to induce apoptosis. In general, the anti-cancer agents (ACA) are described by the formula (ACA)n-X [X = linker group with 2-5 functional groups or is absent; n = 1; ACA as described in the invention (Markush included)].

ACCESSION NUMBER: 2000:144899 CAPLUS
DOCUMENT NUMBER: 132:189658
TITLE: Amino acid derivative and peptide anti-cancer compounds and methods
INVENTOR(S): Stewart, John M.; Chan, Daniel C. F.; Gera, Lojos; York, Eunice; Bunn, Paul
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000011022	A1	20000302	WO 1999-US19381	19990820
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6388054	B1	20020514	US 1999-378019	19990819
AU 2000015959	A1	20000314	AU 2000-15959	19990820
US 2002183252	A1	20021205	US 2001-35662	20011228

PRIORITY APPLN. INFO.: US 1998-97210P P 19980820
US 1999-141169P P 19990625
US 1999-378019 A 19990819
WO 1999-US19381 W 19990820

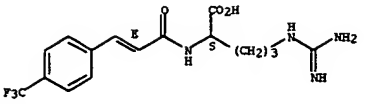
OTHER SOURCE(S): MARPAT 132:189658

IT 259883-16-4P 259883-22-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptide and non-peptide anti-cancer compds. and methods)

RN 259883-16-4 CAPLUS
CN L-Arginine, N2-[(2E)-1-oxo-3-[4-(trifluoromethyl)phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

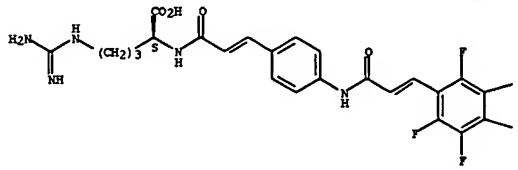
L63 ANSWER 23 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 259883-22-2 CAPLUS
CN L-Arginine, N2-[1-oxo-3-[4-[[1-oxo-3-(pentafluorophenyl)-2-propenyl]amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB The present invention concerns novel p-hydroxycinnamoyl-containing substrates which can be used in catalyzed reporter deposition to amplify the detector signal and improve assay detection limits. The detection of cytomegalovirus early antigen using catalyzed reporter deposition to amplify the detector signal is demonstrated.

ACCESSION NUMBER: 1999:784283 CAPLUS
DOCUMENT NUMBER: 132:20814
TITLE: Novel peroxidase substrates and their use in catalyzed reporter deposition
INVENTOR(S): Bobrow, Mark Norman
PATENT ASSIGNEE(S): Nen Life Science Products, Inc., USA
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9963108	A1	19991209	WO 1998-US11477	19980604
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2334010	AA	19991209	CA 1998-2334010	19980604
AU 9880574	A1	19991220	AU 1998-80574	19980604
AU 750640	B2	20020725		
EP 1084269	A1	20010321	EP 1998-928880	19980604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002517205	T2	20020618	JP 2000-552300	19980604

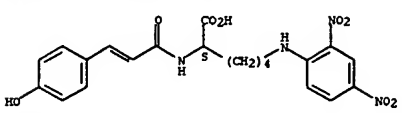
PRIORITY APPLN. INFO.: WO 1998-US11477 A 19980604

OTHER SOURCE(S): MARPAT 132:20814

IT 220203-76-9P 220203-79-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(novel peroxidase substrates and their use in catalyzed reporter deposition)

RN 220203-76-9 CAPLUS
CN L-Lysine, N6-(2,4-dinitrophenyl)-N2-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

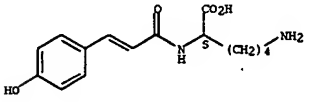
Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 24 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

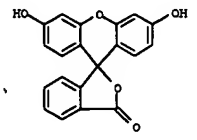
RN 220203-79-2 CAPLUS
CN L-Lysine, N2-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

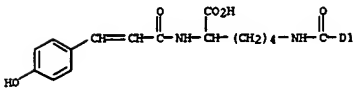
Absolute stereochemistry.
Double bond geometry unknown.



IT 220204-08-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(novel peroxidase substrates and their use in catalyzed reporter deposition)

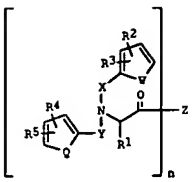
RN 220204-08-0 CAPLUS
CN L-Lysine, N6-[[3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5(or 6)-yl]carbonyl]-N2-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Substituted amino acids I [R1 is the side chain of a natural or unnatural amino acid which may be protected; R2, R3 and R4, R4 are H, a substituent, or benzo; W, Q = CH:CH, S, CH:N; X, Y = CO, alkyl, alkenyl, alkenylcarbonyl, (CH2)mCO, where m = 2-5; n = 1-3; Z = OH, alkoxy, phenoxy, phenylalkoxyamino, amino, etc. or OCH2CH2(OCH2CH2)sOCH2CH2O, NHCH2CH2(OCH2CH2)sOCH2CH2NH, NH(CH2)pO(CH2)qO(CH2)pNH, NH(CH2)qNMe(CH2)sNH, NH(CH2)sNH, [NH(CH2)s]3N, where s, p, and q are 1-7] were prepared as erythropoietin (EPO) mimetics. Thus, N,N-bis(3-phenoxycinnamyl)-Asp(OBu-t)-OBu-t was prepared and evaluated for the ability to compete with EPO in an immobilized EPO receptor preparation

ACCESSION NUMBER: 1999:691062 CAPLUS
DOCUMENT NUMBER: 131:310833
TITLE: Preparation of substituted amino acids as erythropoietin mimetics
INVENTOR(S): Connolly, Peter; Murray, William
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

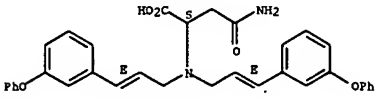
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954279	A1	19991028	WO 1999-US8582	19990419
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9936540	A1	19991108	AU 1999-36540	19990419
EP 1073623	A1	20010207	EP 1999-918686	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1998-82392P P 19980420
WO 1999-US8582 W 19990419

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 131:310833
IT 247202-82-0P 247202-83-1P 247202-90-0P
247203-01-6P 247203-06-1P 247204-09-7P
247204-10-0P 247204-11-1P 247204-12-2P
247204-14-4P 247204-15-5P 247204-16-6P
247204-17-7P 247204-18-8P 247204-19-9P
247204-20-2P 247204-21-3P 247204-22-4P
247204-23-5P 247204-24-6P 247204-25-7P
247204-27-9P 247204-28-0P 247204-29-1P
247204-30-4P 247204-31-5P 247204-32-6P
247204-33-7P 247204-34-8P 247204-36-0P
247204-37-1P 247204-38-2P 247204-39-3P
247204-40-6P 247204-41-7P 247204-42-8P
247204-43-9P 247204-44-0P 247204-45-1P
247204-47-3P 247204-48-4P 247204-49-5P
247204-50-8P 247204-51-9P 247204-52-0P
247204-54-2P 247204-55-3P 247204-57-5P
247204-58-6P 247204-59-7P 247204-60-0P
247204-61-1P 247204-62-2P 247204-63-3P
247204-64-4P 247204-65-5P 247204-67-7P
247204-68-8P 247204-69-9P 247204-70-2P
247204-71-3P 247204-72-4P 247204-73-5P
247204-74-6P 247204-76-8P 247204-77-9P
247204-78-0P 247204-79-1P 247204-80-4P
247204-81-5P 247205-64-7P 247205-65-8P
247205-66-9P 247205-67-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted amino acids as erythropoietin mimetics)

RN 247202-82-0 CAPLUS
CN L-Asparagine, N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

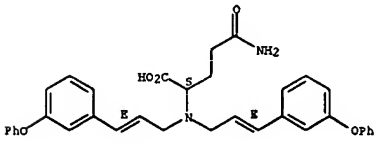
Absolute stereochemistry.
Double bond geometry as shown.



RN 247202-83-1 CAPLUS
CN L-Glutamine, N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

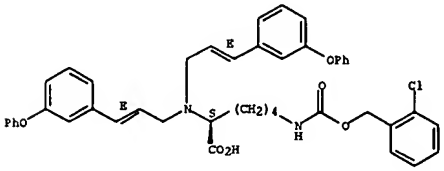
Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



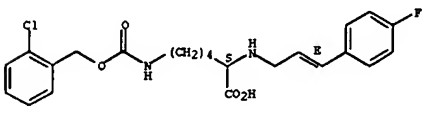
RN 247202-90-0 CAPLUS
CN L-Lysine, N6-[[(2-chlorophenyl)methoxy]carbonyl]-N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-01-6 CAPLUS
CN L-Lysine, N6-[[(2-chlorophenyl)methoxy]carbonyl]-N2-[(2E)-3-(4-fluorophenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

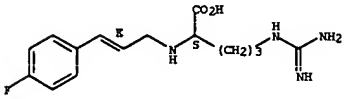
Absolute stereochemistry.
Double bond geometry as shown.



RN 247203-06-1 CAPLUS
CN L-Arginine, N2-[(2E)-3-(4-fluorophenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

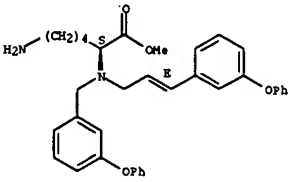
Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



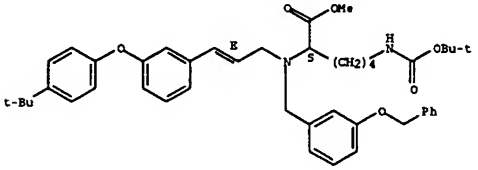
RN 247204-09-7 CAPLUS
CN L-Lysine, N2-[(3-phenoxyphenyl)methyl]-N2-[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-10-0 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

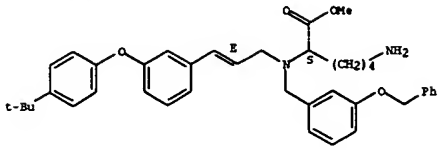
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-11-1 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

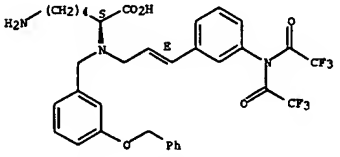
Absolute stereochemistry.

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.



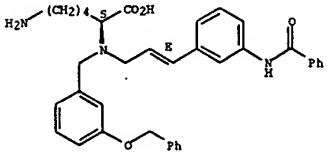
RN 247204-12-2 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-14-4 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

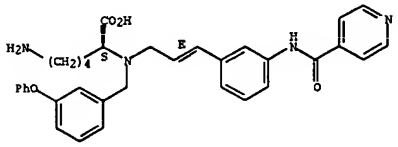
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-15-5 CAPLUS
CN L-Lysine, N2-[[3-(phenylmethoxy)phenyl]methyl]-N2-[(2E)-3-[3-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

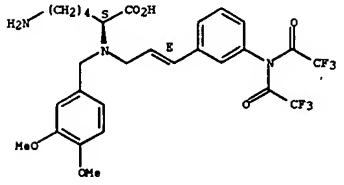
Absolute stereochemistry.

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.



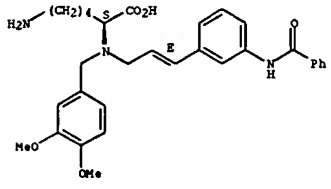
RN 247204-19-9 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



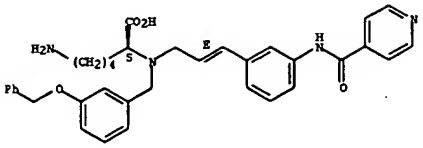
RN 247204-20-2 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



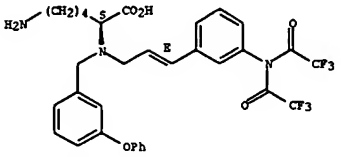
RN 247204-21-3 CAPLUS

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry as shown.



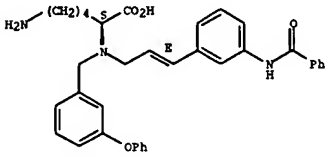
RN 247204-16-6 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-17-7 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

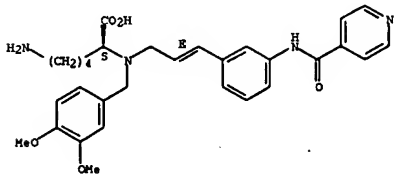


RN 247204-18-8 CAPLUS
CN L-Lysine, N2-[(3-phenoxyphenyl)methyl]-N2-[(2E)-3-[3-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

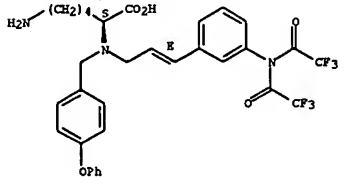
L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN L-Lysine, N2-[(3,4-dimethoxyphenyl)methyl]-N2-[(2E)-3-[3-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



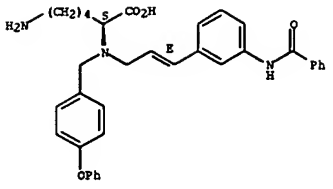
RN 247204-22-4 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



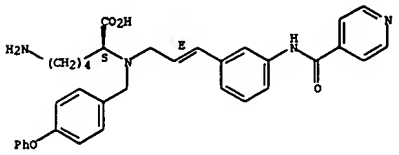
RN 247204-23-5 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



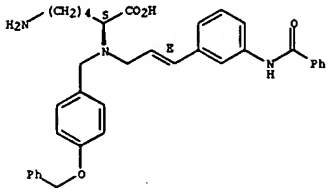
RN 247204-24-6 CAPLUS
CN L-Lysine, N2-[(4-phenoxyphenyl)methyl]-N2-[(2E)-3-[3-[(4-pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-25-7 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

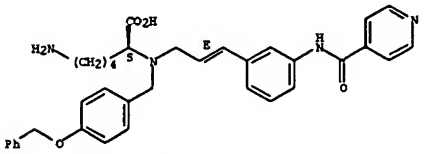
Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-27-9 CAPLUS
CN L-Lysine, N2-[[4-(phenylmethoxy)phenyl]methyl]-N2-[(2E)-3-[3-[(4-

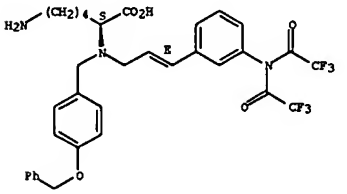
pyridinylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-28-0 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(bis(trifluoroacetyl)amino]phenyl]-2-propenyl]-N2-[[4-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-29-1 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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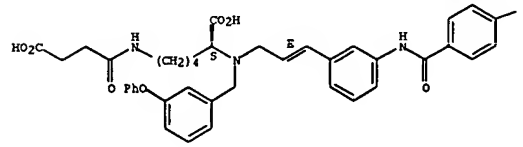

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RN 247204-30-4 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

RN 247204-31-5 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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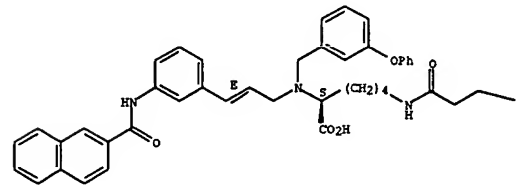

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RN 247204-32-6 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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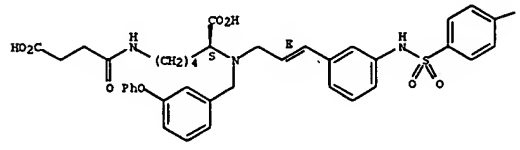

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RN 247204-33-7 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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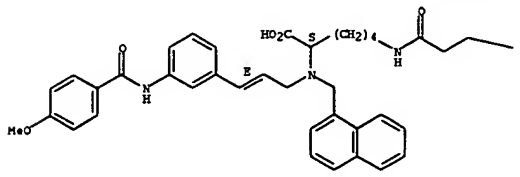

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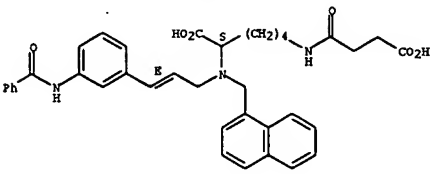
RN 247204-34-8 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-36-0 CAPLUS

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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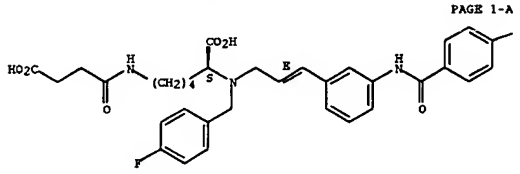

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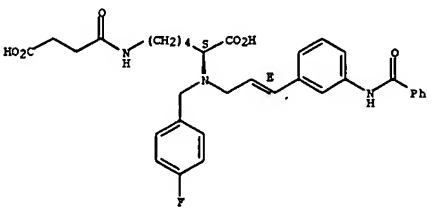
RN 247204-39-3 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-40-6 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]-N2-[(2E)-3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-N2-(1-naphthalenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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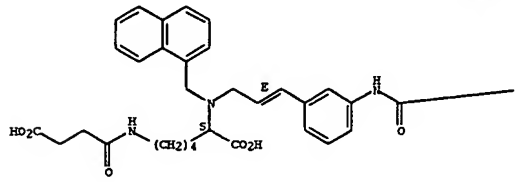

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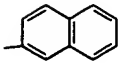
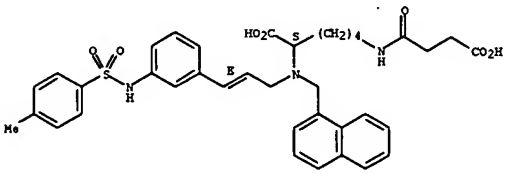


RN 247204-37-1 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]-N2-(1-naphthalenylmethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-38-2 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]-N2-[(2E)-

L63 ANSWER 25 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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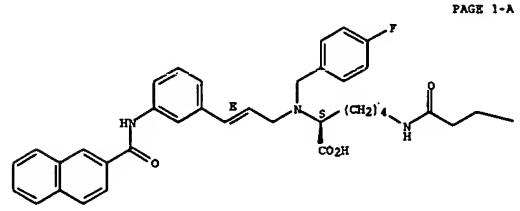

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RN 247204-41-7 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(4-fluorophenyl)methyl]-N2-[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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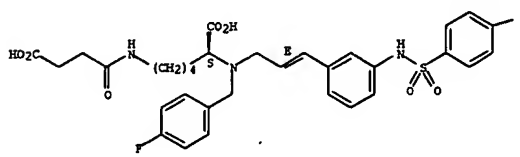

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RN 247204-42-8 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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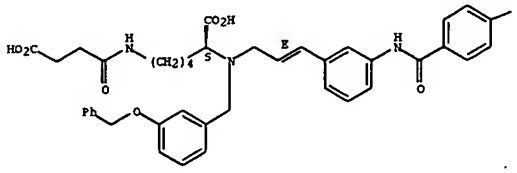

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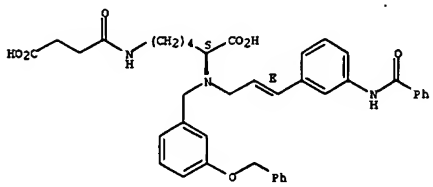
RN 247204-43-9 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-N6-(3-carboxy-1-oxopropyl)-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-44-0 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[(2-

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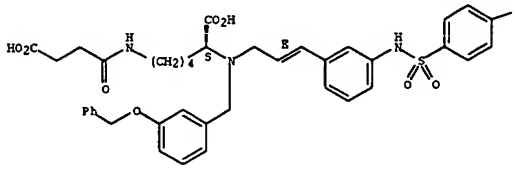

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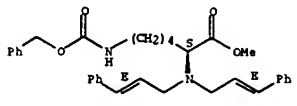
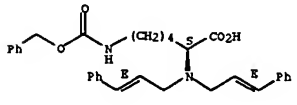
RN 247204-47-3 CAPLUS
CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2,N2-bis[(2E)-3-phenyl-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-48-4 CAPLUS
CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2,N2-bis[(2E)-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



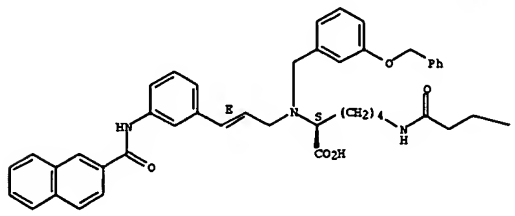
RN 247204-49-5 CAPLUS
CN L-Lysine, N6-[(phenylmethoxy)carbonyl]-N2,N2-bis[(2E)-3-phenyl-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

naphthalenylcarbonyl]amino]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

PAGE 1-A



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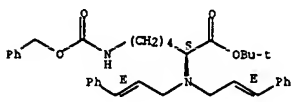
—CO_2H

RN 247204-45-1 CAPLUS
CN L-Lysine, N6-(3-carboxy-1-oxopropyl)-N2-[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]-N2-[[3-(phenylmethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

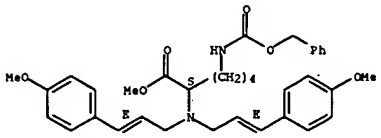
Absolute stereochemistry.
Double bond geometry as shown.

Double bond geometry as shown.



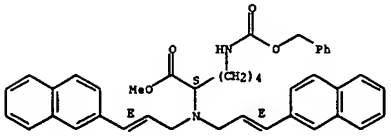
RN 247204-50-8 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(4-methoxyphenyl)-2-propenyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



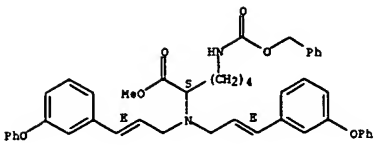
RN 247204-51-9 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(2-naphthalenyl)-2-propenyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



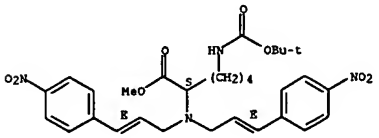
RN 247204-52-0 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



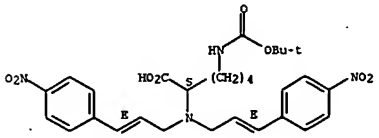
RN 247204-54-2 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(4-nitrophenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-55-3 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(4-nitrophenyl)-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-57-5 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(3-phenoxyphenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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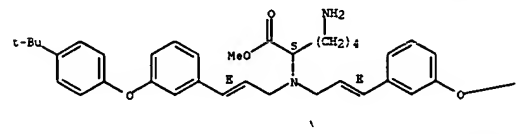

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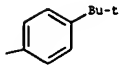
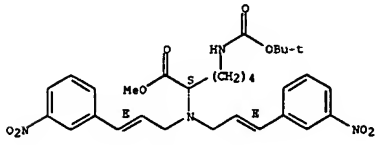


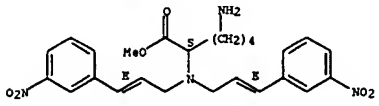
RN 247204-60-0 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2,N2-bis[(2E)-3-(3-nitrophenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

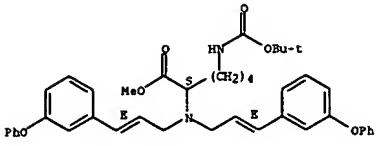


RN 247204-61-1 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(3-nitrophenyl)-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-62-2 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-(3-aminophenyl)-2-propenyl]-, methyl ester



RN 247204-58-6 CAPLUS
CN L-Lysine, N6-[(1,1-dimethylethoxy]carbonyl]-N2,N2-bis[(2E)-3-[3-[4-(1,1-dimethylethyl]phenoxy]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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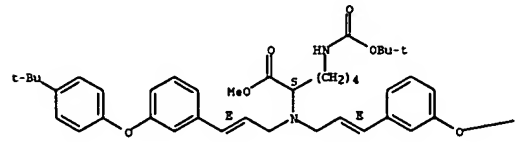

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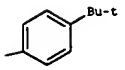
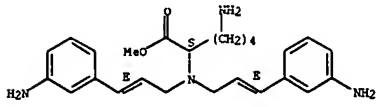


RN 247204-59-7 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

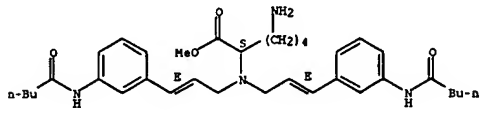
(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-63-3 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(1-oxopentyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-64-4 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(2-furanylcarbonyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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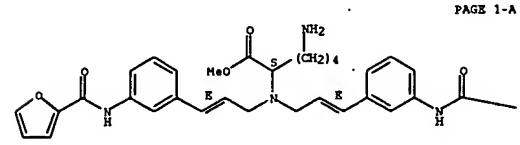

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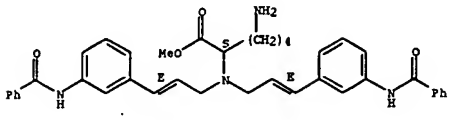
RN 247204-65-5 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-(benzoylamino)phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247204-67-7 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-methylbenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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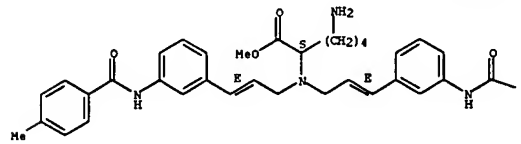

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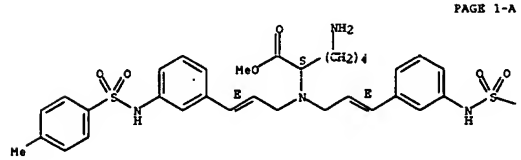

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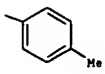

RN 247204-70-2 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[[(phenylmethoxy)carbonyl]amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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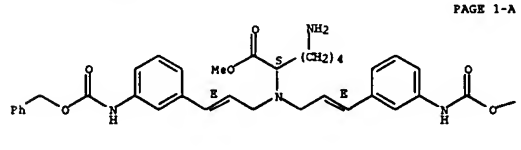

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RN 247204-71-3 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-bromobenzoyl)amino]phenyl]-2-propenyl]-,

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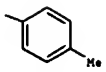

RN 247204-68-8 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-nitrobenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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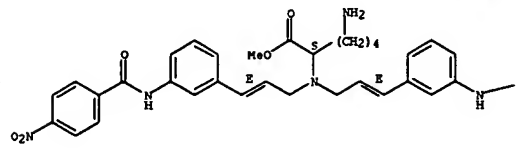

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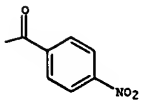

RN 247204-69-9 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[[(4-methylphenyl)sulfonyl]amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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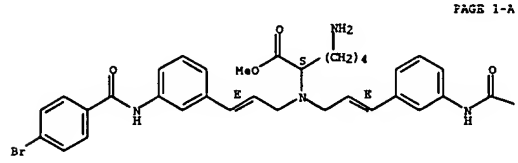

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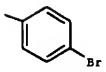

RN 247204-72-4 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(2-methoxybenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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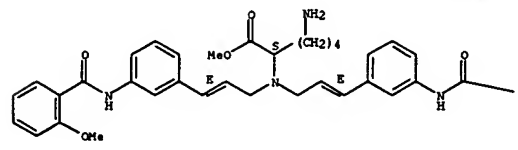

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RN 247204-73-5 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(3-methoxybenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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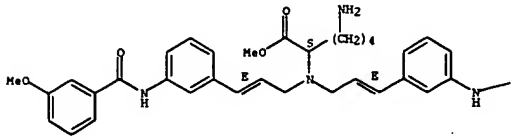

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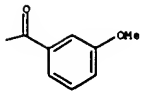

RN 247204-74-6 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-methoxybenzoyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

oxopropyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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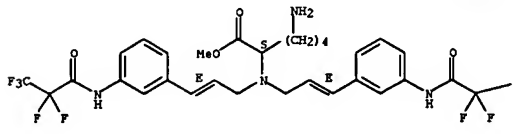

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RN 247204-78-0 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(2-naphthalenylcarbonyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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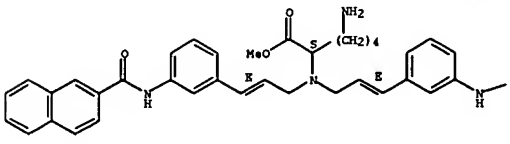

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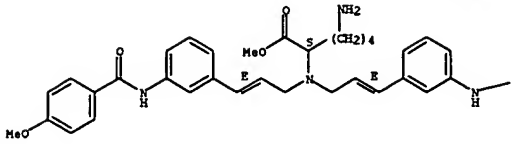

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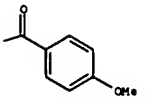

RN 247204-76-8 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(1-oxo-2-butenyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as described by E or Z.

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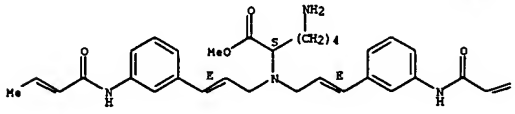

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RN 247204-77-9 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(2,2,3,3,3-pentafluoro-1-

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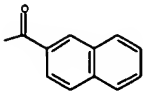

RN 247204-79-1 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(4-ethoxy-1,4-dioxobutyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

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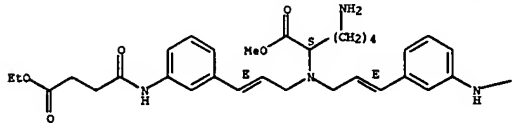

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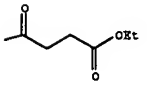
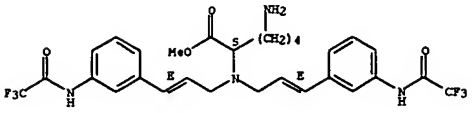


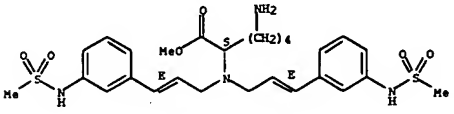
RN 247204-80-4 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(trifluoroacetyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



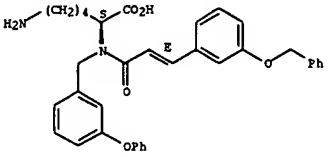
RN 247204-81-5 CAPLUS
CN L-Lysine, N2,N2-bis[(2E)-3-[3-[(methylsulfonyl)amino]phenyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



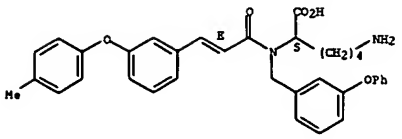
RN 247205-64-7 CAPLUS
CN L-Lysine, N2-[(2E)-1-oxo-3-[3-(phenylmethoxy)phenyl]-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



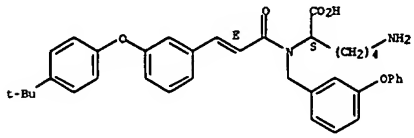
RN 247205-65-8 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-(4-methylphenoxy)phenyl]-1-oxo-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



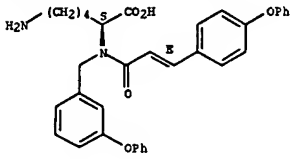
RN 247205-66-9 CAPLUS
CN L-Lysine, N2-[(2E)-3-[3-[4-(1,1-dimethylethyl)phenoxy]phenyl]-1-oxo-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247205-67-0 CAPLUS
CN L-Lysine, N2-[(2E)-1-oxo-3-(4-phenoxyphenyl)-2-propenyl]-N2-[(3-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Compds. of formula I are useful in the treatment of various disorders including, but not limited to, cancer, angiogenesis, restenosis, inflammation, bone diseases, and as antiviral agents [wherein G = amidino and cyclic analogs, 2-pyridinyl, 2-pyrimidinyl, other similar N-containing groups; R1, R2 = H, alkyl, aralkyl, heterocycloalkylalkyl; R3 = H, aryl, heterocycloalkyl; R4 = H, OH or NH2 or derivs.; provided that both R3 and R4 cannot be H; R5 = H, alkyl, optionally substituted with a terminal prodrug group; n = 1-4; and pharmaceutically acceptable salts]. Novel methods of making I are also provided. The compds. are selective inhibitors of certain integrin receptors such as αvβ3. Over 300 synthetic examples are given. For instance, the title compound II.HCl was prepared in 4 steps from the acid III, specifically: (1) amidation with 2S-(benzenesulfonylamino)-β-alanine Et ester; (2) saponification of the Et ester; (3) partial hydrogenation of the pyrimidine nucleus; and (4) acidic reesterification. II.HCl had an IC50 value of 0.12 μM in an osteopontin-αvβ3 cell attachment assay, and 0.15 μM in an osteoclast bone pitting assay.

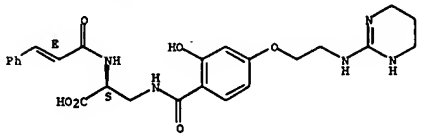
ACCESSION NUMBER: 1999:672767 CAPLUS
DOCUMENT NUMBER: 131:299288
TITLE: Acylresorcinol derivatives as selective vitronectin receptor inhibitors
INVENTOR(S): Kees, Kenneth Lewis; Garrick, Lloyd Michael; Gopalsamy, Arisamala
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9952879	A1	19991021	WO 1999-US8180	19990414
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9935610	A1	19991101	AU 1999-35610	19990414
PRIORITY APPLN. INFO.:			US 1998-59579 A	19980414
			WO 1999-US8180 W	19990414

OTHER SOURCE(S): MARPAT 131:299288
IT 247124-60-3P 247125-57-1P 247126-30-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of acylresorcinol derivs. as selective

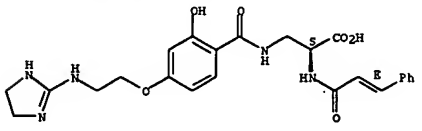
vitronectin receptor inhibitors)
RN 247124-60-3 CAPLUS
CN L-Alanine, 3-[[2-hydroxy-4-[2-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]ethoxy]benzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



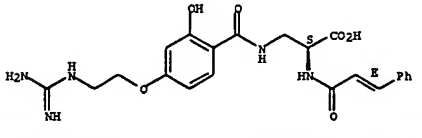
RN 247125-57-1 CAPLUS
CN L-Alanine, 3-[[4-[2-[(4,5-dihydro-1H-imidazol-2-yl)amino]ethoxy]-2-hydroxybenzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 247126-30-3 CAPLUS
CN L-Alanine, 3-[[4-[2-[(aminoiminomethyl)amino]ethoxy]-2-hydroxybenzoyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS

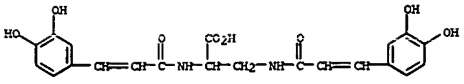
L63 ANSWER 26 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB The invention includes a group of compds. that are demonstrated to potently and selectively inhibit HIV integrase activity in vitro and to potently inhibit HIV replication in live, cultured cells at non-toxic concns. The novel compds. disclosed include 2,3-di-(3,4-dihydroxydihydroxydihydrocinnamoyl)-L-tartaric acid, 2,3-di-(3,4-dihydroxybenzoyl)-L-tartaric acid, 2,3-di-(3,4-dihydroxyphenylacetyl)-L-tartaric acid, 2,3-di-(3,4,5-trihydroxybenzoyl)-L-tartaric acid, 2,3-dicaffeoyldiamidopropionic acid, 1,2-dicaffeoyl-L-glyceric acid, bis-3,4-dicaffeoyldiamidobenzoic acid, di-3,4-dihydroxybenzylidene succinic acid, di-3,4-dihydrodihydroxybenzylidine succinic acid, 2,3-dicaffeoyl-L-serine, bis-dicaffeoyl-L-isoserine and 1,4-dicaffeoyl-L-lysine. Tests of integrase inhibitors with 2',3'-dideoxycytidine, zidovudine and nelfinavir (protease inhibitor) indicated a potent synergy against reverse transcriptase inhibitor-resistant virus. The potential benefit from the addition of integrase inhibitors to combination drug therapies is significant.
ACCESSION NUMBER: 1999:625998 CAPLUS
DOCUMENT NUMBER: 131:252543
TITLE: HIV integrase inhibitors and HIV therapy based on drug combinations including integrase inhibitors
INVENTOR(S): Robinson, W. Edward, Jr.; King, Peter J.; Reinecke, Manfred G.
PATENT ASSIGNEE(S): The Regents of the University of California, USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9948371	A1	19990930	WO 1999-US6700	19990326
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9933668	A1	19991018	AU 1999-33668	19990326
EP 1063888	A1	20010103	EP 1999-915065	19990326
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

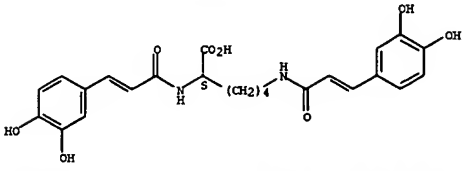
PRIORITY APPLN. INFO.: US 1998-79764P P 19980327
US 1998-93208P P 19980717
WO 1999-US6700 W 19990326
OTHER SOURCE(S): MARPAT 131:252543
IT 244612-63-3 244612-68-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(HIV integrase inhibitors and HIV therapy based on drug combinations including integrase inhibitors)
RN 244612-63-3 CAPLUS
CN Alanine, N-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]-3-[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

L63 ANSWER 27 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 244612-68-8 CAPLUS
CN L-Lysine, N2,N6-bis[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

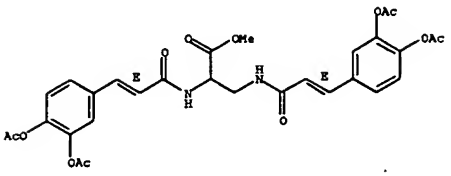
Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

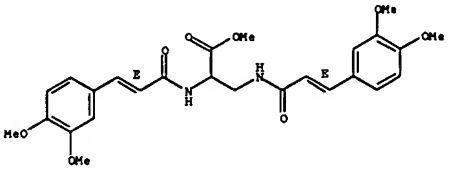
L63 ANSWER 28 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB The present study was undertaken to examine structural features of L-chicoric acid which are important for potency against purified HIV-1 integrase and for reported cytoprotective effects in cell-based systems. Through a progressive series of analogs, it was shown that enantiomeric D-chicoric acid retains inhibitory potency against purified integrase equal to its L-counterpart and further that removal of either one or both carboxylic functionalities results in essentially no loss of inhibitory potency. Addnl., while two caffeoyl moieties are required, attachment of caffeoyl groups to the central linking structure can be achieved via amide or mixed amide/ester linkages. More remarkable is the finding that blockage of the catechol functionality through conversion to tetraacetate esters results in almost no loss of potency, contingent on the presence of at least one carboxyl group on the central linker. Taken as a whole, the work has resulted in the identification of new integrase inhibitors which may be regarded as bis-caffeoyl derivs. of glycidic acid and amino acids such as serine and β-aminoalanine. The present study also examined the reported ability of chicoric acid to exert cytoprotective effects in HIV-infected cells. It was demonstrated in target and cell-based assays that the chicoric acids do not significantly inhibit other targets associated
with HIV-1 replication, including reverse transcription, protease function, NCp7 zinc finger function, or replication of virus from latently infected cells. In CEM cells, for both the parent chicoric acid and selected analogs, antiviral activity was observable under specific assay conditions and with high dependence on the multiplicity of viral infection. However, against HIV-1- and HIV-2-infected MT-4 cells, the chicoric acids and their tetraacetylated esters exhibited antiviral activity (50% effective concentration (EC50) ranging from 1.7 to 20 μM and 50%
inhibitory concentration (IC50) ranging from 40 to 60 μM).
ACCESSION NUMBER: 1999:222724 CAPLUS
DOCUMENT NUMBER: 131:39206
TITLE: Chicoric Acid Analogs as HIV-1 Integrase Inhibitors
AUTHOR(S): Lin, Zhaiwei; Neamati, Nouri; Zhao, He; Kiryu, Yoshimitsu; Turpin, Jim A.; Aberham, Claudia; Strebel, Klaus; Kohn, Kurt; Witvrouw, Myriam; Pannecouque, Christophe; Debyser, Zeger; De Clercq, Erik; Rice, William G.; Pommier, Yves; Burke, Terrence R., Jr.
CORPORATE SOURCE: Laboratory of Medicinal Chemistry Division of Basic Sciences, National Cancer Institute, Bethesda, MD, 20892, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(8), 1401-1414
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 227098-00-2P 227098-01-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of chicoric acid analogs as HIV-1 integrase inhibitors in relation to structure and antiviral activity)
RN 227098-00-2 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



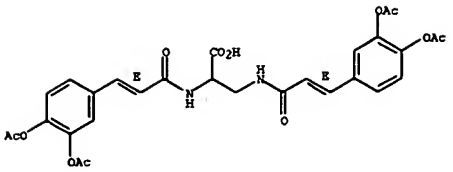
RN 227098-01-3 CAPLUS
CN Alanine, N-[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]-3-[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



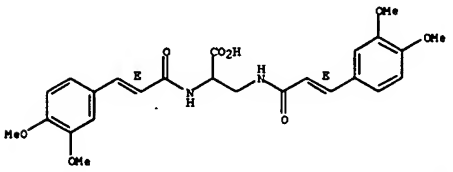
IT 227098-03-5P 227098-04-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of chicoric acid analogs as HIV-1 integrase inhibitors in relation to structure and antiviral activity)
RN 227098-03-5 CAPLUS
CN Alanine, N-[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]-3-[[(2E)-3-[3,4-bis(acetyloxy)phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 227098-04-6 CAPLUS
CN Alanine, N-[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]-3-[[(2E)-3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



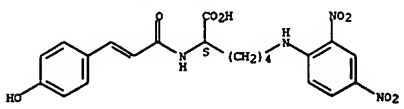
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB The present invention concerns novel p-hydroxycinnamoyl-containing substrates
which can be used in catalyzed reporter deposition to amplify the detector signal and improve assay detection limits.
ACCESSION NUMBER: 1999:69866 CAPLUS
DOCUMENT NUMBER: 130:150621
TITLE: P-hydroxycinnamoyl-containing substrates for an analyte dependent enzyme activation system
INVENTOR(S): Bobrow, Mark Norman
PATENT ASSIGNEE(S): New Life Science Products, Inc., USA
SOURCE: U.S., 11 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5863748	A	19990126	US 1997-818161	19970314

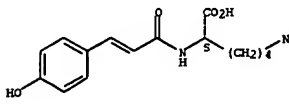
PRIORITY APPLN. INFO.: US 1997-818161 19970314
OTHER SOURCE(S): MARPAT 130:150621
IT 220203-76-9P 220203-79-2P 220204-08-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(p-hydroxycinnamoyl-containing substrates for an analyte dependent enzyme activation system)
RN 220203-76-9 CAPLUS
CN L-Lysine, N6-(2,4-dinitrophenyl)-N2-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



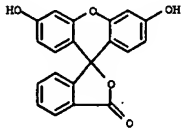
RN 220203-79-2 CAPLUS
CN L-Lysine, N2-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

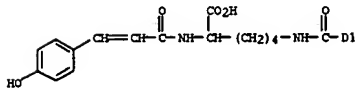
Absolute stereochemistry.
Double bond geometry unknown.



RN 220204-08-0 CAPLUS

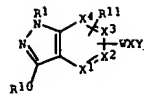
CN L-Lysine, N6-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5(or 6)-yl]carbonyl]-N2-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



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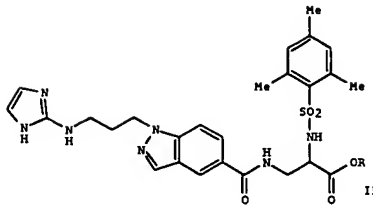


II

AB Title compds. [I; X1-X4 = N, C; ≥2 of X1-X4 = C; R1 = specified heterocyclylalkyl; R10 = H, amino, halo, NO2, cyano, CF3, sulfonylamino, carbamoyl, (substituted) alkyl, alkoxy, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, etc.; R11 = H, halo, CF3, cyano, NO2, OH, amino, (substituted) alkyl, alkoxy, aryl, aralkyl, alkoxycarbonyl, alkylcarbonyl, alkylsulfonyl, alkylaminosulfonyl; W = [C(R12)2]qCONR13, CONR13[C(R12)2]q; X = CR12R14CR12R15; WX = specified piperazinylcarbonyl(alkyl); Y = COR19; R12 = H, halo, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylcarbonyl, aryl, aralkyl; R13 = H, (substituted) alkyl, cycloalkylmethyl, aralkyl; R14 = H, alkylthioalkyl, aralkylthioalkyl, aralkoxyalkyl, alkyl, alkoxyalkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R15 = H, (substituted) alkyl, alkoxyalkyl, alkylaminoalkyl, aralkylcarbonyl, aryl, heteroaryl, heteroarylalkyl, aminosulfonyl, aminosulfonylamino, etc.; R19 = O(CH2)kN+R22R23R24 Z-; Z- = specified pharmaceutically acceptable anion; R22-R24 = H, (substituted) alkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl; R22R23 = (substituted) 5-7 membered heterocyclyl; R22R23R24 = (substituted) heterobicyclyl; q = 0-2; k = 2-6], were prepared I may be administered by iontophoresis for the inhibition of cell adhesion, the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis. Thus, title compound (II; R = CH2CH2N+Me3) showed electrophoretic mobility = 3.2 cm2/V/s at pH 4.5, vs. 1.7 cm2/V/s for II (R = Me).

ACCESSION NUMBER: 1998:682235 CAPLUS
DOCUMENT NUMBER: 129:302639
TITLE: Preparation of imidazolylaminopropylindazolylcarbonylaminopropionate ammonioalkyl esters and related compounds as integrin αvβ3 inhibitor prodrugs.
INVENTOR(S): Jadhav, Prabhakar; Batt, Douglas G.; Hussain, Munir A.; Pitts, William J.; Repta, Arnold J.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
SOURCE: PCT Int. Appl., 311 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

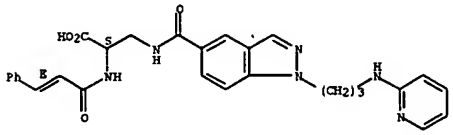
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9843962	A1	19981008	WO 1998-US6054	19980327
W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9867803	A1	19981022	AU 1998-67803	19980327
US 6214834	B1	20010410	US 1998-49305	19980327
PRIORITY APPLN. INFO.:			US 1997-41759P P	19970328
			WO 1998-US6054 W	19980327

OTHER SOURCE(S): MARPAT 129:302639
IT 208446-10-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazolylaminopropylindazolylcarbonylaminopropionate ammonioalkyl esters and related compds. as integrin inhibitor prodrugs)
RN 208446-10-0 CAPLUS
CN L-Alanine, N-[(2E)-1-oxo-3-phenyl-2-propenyl]-3-[[[1-[3-(2-pyridinylamino)propyl]-1H-indazol-5-yl]carbonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 192944-75-5
CMF C28 H28 N6 O4

Absolute stereochemistry.
Double bond geometry as shown.



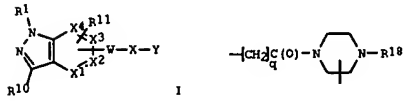
CM 2

CRN 76-05-1
CMF C2 H F3 O2

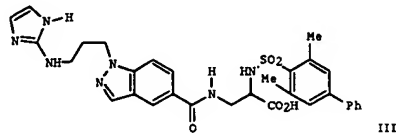
L63 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I II



III

AB The title compds. [I; X1-X4 = N, C (at least two of X1-X4 = C); R1 = 2-aminopyridin-6-yl(CH2)2, pyridin-2-ylamino(CH2)3, imidazol-2-ylamino(CH2)3, etc.; R10 = H, halo, No2, etc.; R11 = H, halo, CF3, etc.; W = [C(R12)2]qC(O)NR13 (wherein R12 = H, halo, C1-6 alkyl, etc.; R13 = H, C1-6 alkyl, C3-7 cycloalkylmethyl, etc.; q = 0-2), C(O)NR13[C(R12)2]q; X = C(R12)(R14)C(R12)(R15) (R14 = H, C1-10 alkyl, C2-10 alkenyl, etc.; R15 = H, C1-10 alkyl, C1-10 alkoxyalkyl, etc.); WX = II (R18 = H, C(O)OR17, C(O)R17, etc.; R17 = C1-10 alkyl, C3-11 cycloalkyl, etc.); Y = SO3H, PO3H, tetrazolyl, etc.] including 3-(1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino)-2-(benzyloxycarbonylamino)propionic acid, useful as antagonists of the αvβ3 integrin and related cell surface adhesive protein receptors, for the inhibition of cell adhesion, the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis, were prepared Thus, e.g., multi-step synthesis of the title compound 2(S)-III.CF3COOH is described. Compds. I are effective at 0.001-10 mg/kg/day.

ACCESSION NUMBER: 1998:366891 CAPLUS
DOCUMENT NUMBER: 129:41125
TITLE: Preparation of 3-(indazol-5-ylcarbonylamino)-2-aminopropionic acids as integrin receptor antagonists
INVENTOR(S): Jadhav, Prabhakar Kondaji; Petraitis, Joseph James; Batt, Douglas Guy
PATENT ASSIGNEE(S): Dupont Merck Pharmaceutical Co., USA
SOURCE: U.S., 119 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

L63 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5760028	A	19980602	US 1996-770538	19961220
PRIORITY APPLN. INFO.:			US 1996-770538	19961220

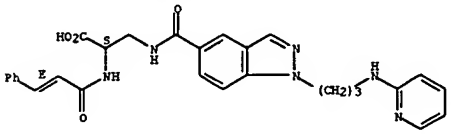
OTHER SOURCE(S): MARPAT 129:41125

IT 192944-75-5P 208446-10-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(indazol-5-ylcarbonylamino)-2-aminopropionic acids as integrin receptor antagonists)

RN 192944-75-5 CAPLUS

CN L-Alanine, N-[(2E)-1-oxo-3-phenyl-2-propenyl]-3-[[[1-[3-(2-pyridinylamino)propyl]-1H-indazol-5-yl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



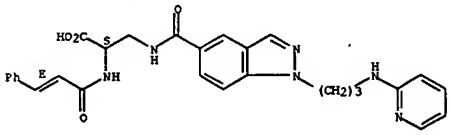
RN 208446-10-0 CAPLUS

CN L-Alanine, N-[(2E)-1-oxo-3-phenyl-2-propenyl]-3-[[[1-[3-(2-pyridinylamino)propyl]-1H-indazol-5-yl]carbonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 192944-75-5
CMF C28 H28 N6 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1

L63 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

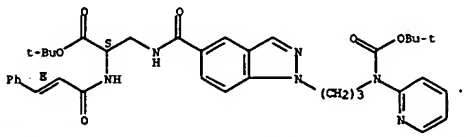
CMF C2 H F3 O2

IT 192944-74-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-(indazol-5-ylcarbonylamino)-2-aminopropionic acids as integrin receptor antagonists)

RN 192944-74-4 CAPLUS

CN L-Alanine, 3-[[[1-[3-[[(1,1-dimethylethoxy)carbonyl]-2-pyridinylamino]propyl]-1H-indazol-5-yl]carbonyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB The invention relates to agents preventing the formation of human malodor. In particular, the invention relates to the use of several classes of compds. which can act as such agents in cosmetic products, such as deodorants and antiperspirants. These compds. are normally odorless or nearly so, but upon contacting the skin, e.g., in skin care or in personal care compns., they prevent malodor. The compds. under consideration are acylglutamines and carbamoylalkanecarboxylic acids. Thus, N-tert-butyloxycarbonylglutamine was prepared by the acylation of L-glutamine with di-tert-Bu dicarbonate in dioxane. Thus, an antiperspirant stick contained stearyl alc. 17.0, castor wax 3.0, talc 5.0, aluminum zirconium tetrachlorohydrate 20.0, acylglutamine 1.0, fragrance 1.0 and Dimethicone Dow-245 to 100% by weight

ACCESSION NUMBER: 1998:126209 CAPLUS
DOCUMENT NUMBER: 128:145174
TITLE: Deodorant compositions containing acylglutamines or carbamoylalkanecarboxylic acids.
INVENTOR(S): Acuna, Gonzalo; Frater, Georg; Gygax, Peter
PATENT ASSIGNEE(S): Givaudan-Roure (International) S.A., Switz.; Givaudan SA
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 815833	A2	19980107	EP 1997-109895	19970618
EP 815833	A3	19980527		
EP 815833	B1	20030409		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, FI				
SG 91244	A1	20020917	SG 1997-1917	19970604
ZA 9705338	A	19971224	ZA 1997-5338	19970617
ES 2193297	T3	20031101	ES 1997-109895	19970618
US 5925339	A	19990720	US 1997-879239	19970619
AU 9726172	A1	19980115	AU 1997-26172	19970620
AU 714144	B2	19991223		
CA 2208615	AA	19971224	CA 1997-2208615	19970623
JP 10067628	A2	19980310	JP 1997-166181	19970623
BR 9703688	A	19980901	BR 1997-3688	19970624
US 6150542	A	20001121	US 1999-291025	19990413
PRIORITY APPLN. INFO.:			EP 1996-110149 A	19960624
			US 1997-879239 A3	19970619

OTHER SOURCE(S): MARPAT 128:145174

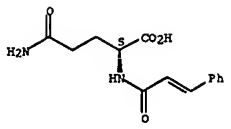
IT 202340-11-2
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(deodorant compns. containing acylglutamines or carbamoylalkanecarboxylates)

RN 202340-11-2 CAPLUS

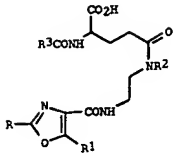
CN L-Glutamine, N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L63 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



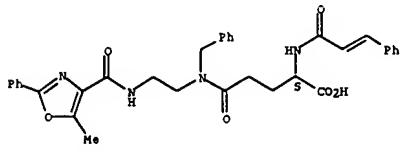
I

AB Amino acid compds. I (R, R1, R2, R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, Ph, certain heterocyclic moieties) were prepared as inhibitors of protein phosphatases, e.g., PP1, PP2A, PP3, CDC25A and CDC25B. The compds. are cell proliferation inhibitors. A solution phase synthesis of 2-decanoylamino-4-(methyl{2-[[5-methyl-2-phenyloxazole-4-carbonyl]amino]ethyl}carbamoyl)butyric acid benzyl ester from L-glutamic acid established the necessary protocols for the preparation of a library of compds. I, which were assayed for phosphatase inhibitory and antiproliferative activities.

ACCESSION NUMBER: 1998:8262 CAPLUS
DOCUMENT NUMBER: 128:89101
TITLE: Preparation of phosphatase inhibitors and methods for their use
INVENTOR(S): Lazo, John S.; Rice, Robert L.; Cunningham, April; Wipf, Peter
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

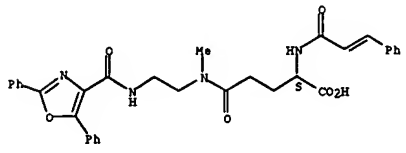
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5700821	A	19971223	US 1996-688530	19960730
CA 2261793	AA	19980205	CA 1997-2261793	19970730
WO 9804257	A1	19980205	WO 1997-US13408	19970730
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9738205	A1	19980220	AU 1997-38205	19970730
AU 715546	B2	20000203		
EP 959884	A1	19991201	EP 1997-935213	19970730
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000515165	T2	20001114	JP 1998-509128	19970730

Absolute stereochemistry.
Double bond geometry unknown.



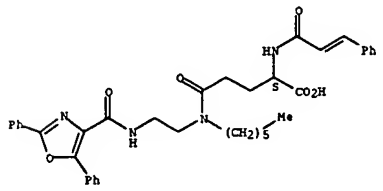
RN 188403-39-6 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N-methyl-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 188403-41-0 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N-hexyl-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

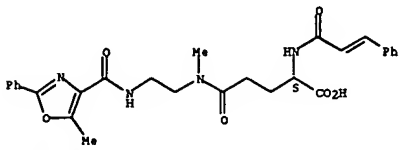


RN 188403-42-1 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-

JP 3268782	B2	20020325			
US 5856506	A	19990105	US 1997-917453		19970822
US 5925660	A	19990720	US 1997-917016		19970822
US 6040323	A	20000321	US 1997-917454		19970822
PRIORITY APPLN. INFO.:			US 1996-688530	A	19960730
			WO 1997-US13408	W	19970730

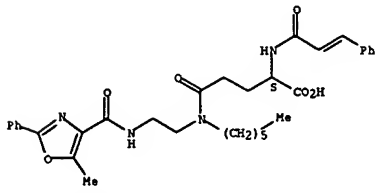
OTHER SOURCE(S): MARPAT 128:89101
IT 188403-30-7P 188403-33-0P 188403-36-3P
188403-39-6P 188403-41-0P 188403-42-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phosphatase inhibitors and methods for their use)
RN 188403-30-7 CAPLUS
CN L-Glutamine, N-methyl-N-[2-[[(5-methyl-2-phenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 188403-33-0 CAPLUS
CN L-Glutamine, N-hexyl-N-[2-[[(5-methyl-2-phenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

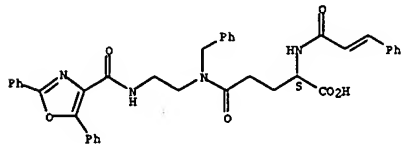
Absolute stereochemistry.
Double bond geometry unknown.



RN 188403-36-3 CAPLUS
CN L-Glutamine, N-[2-[[(5-methyl-2-phenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

oxo-3-phenyl-2-propenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB Tyrosine phosphatases (PTPases) dephosphorylate phosphotyrosines while dual-specificity phosphatases (DSPases) dephosphorylate contiguous and semicontiguous phosphothreonine and phosphotyrosine on cyclin dependent kinases and mitogen-activated protein kinases. Consequently, PTPases and DSPases have a central role controlling signal transduction and cell cycle progression. Currently, there are few readily available potent inhibitors of PTPases or DSPases other than vanadate. Using a pharmacophore modeled on natural product inhibitors of phosphothreonine phosphatases, the authors generated a refined library of novel, phosphate-free, small-mol. compds. synthesized by a parallel, solid-phase combinatorial-based approach. Among the initial 18 members of this targeted diversity library, the authors identified several inhibitors of DSPases: Cdc25A, -B, and -C and the PTPase PTP1B. These compds. at 100 μM did not significantly inhibit the protein serine/threonine phosphatases PP1 and PP2A. Kinetic studies with two members of this library indicated competitive inhibition for Cdc25 DSPases and noncompetitive inhibition for PTP1B. Compound AC-αα69 had a Ki of approx. 10 μM for recombinant human Cdc25A, -B, and -C, and a Ki of 0.85 μM for the PTP1B. The marked differences in Cdc25 inhibition as compared to PTP1B inhibition seen with relatively modest chemical modifications in the modular side chains demonstrate the structurally demanding nature of the DSPase catalytic site distinct from the PTPase catalytic site. These results represent the first fundamental advance toward a readily modifiable pharmacophore for synthetic PTPase and DSPase inhibitors and illustrate the significant potential of a combinatorial-based strategy that supplements the rational design of a core structure by a randomized variation of peripheral substituents.

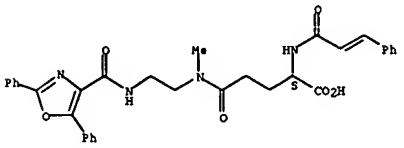
ACCESSION NUMBER: 1998:6397 CAPLUS
DOCUMENT NUMBER: 128:72247
TITLE: A Targeted Library of Small-Molecule, Tyrosine, and Dual-Specificity Phosphatase Inhibitors Derived from a Rational Core Design and Random Side Chain Variation
AUTHOR(S): Rice, Robert L.; Rusnak, James M.; Yokokawa, Fumiaki; Yokokawa, Shiho; Messner, Donald J.; Boynton, Alton L.; Wipf, Peter; Lazo, John S.
CORPORATE SOURCE: Departments of Pharmacology and Chemistry, University of Pittsburgh, Pittsburgh, PA, 15261, USA
SOURCE: Biochemistry (1997), 36(50), 15965-15974
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 188403-30-7, AC-α11γ 188403-33-0, AC-α16γ 188403-36-3, AC-α18γ 188403-39-6, AC-αα1γ 188403-41-0, AC-αα6γ 188403-42-1, AC-αα8γ
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(library of small-mol. phosphatase inhibitors with specificity for cyclin-dependent kinase phosphatases and mitogen-activated protein kinase phosphatases)

RN 188403-30-7 CAPLUS
CN L-Glutamine, N-methyl-N-[2-[[(5-methyl-2-phenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

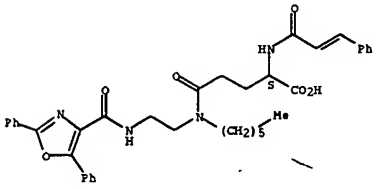
L63 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.
Double bond geometry unknown.



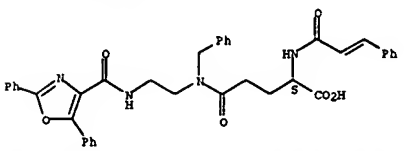
RN 188403-41-0 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N-hexyl-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



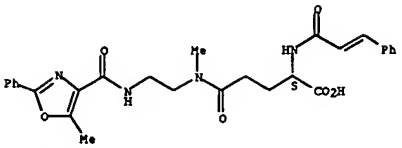
RN 188403-42-1 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



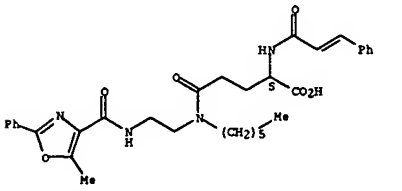
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



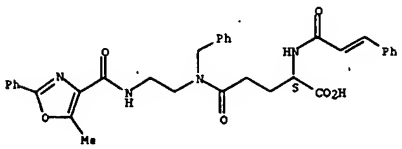
RN 188403-33-0 CAPLUS
CN L-Glutamine, N-hexyl-N-[2-[[(5-methyl-2-phenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 188403-36-3 CAPLUS
CN L-Glutamine, N-[2-[[(5-methyl-2-phenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 188403-39-6 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N-methyl-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

L63 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L63 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

AB This invention relates to novel heterocycles including 3-[1-[3-(imidazolin-2-ylamino)propyl]indazol-5-ylcarbonylamino]-2-(benzyloxycarbonylamino)propionic acid (I), which are useful as antagonists of the αvβ3 integrin and related cell surface adhesive protein receptors (no data). Thus, I was prepared from 3-methyl-4-nitrobenzoic acid by conversion to Et 5-indazolecarboxylate and reaction with 2-methylthio-4,5-dihydroimidazole-HI, followed by (S)-HZNCHZCH(NHCO2CH2Ph)CO2Et.

ACCESSION NUMBER: 1997:506247 CAPLUS
DOCUMENT NUMBER: 127:136075
TITLE: Annelated pyrazoles as novel integrin receptor antagonists
INVENTOR(S): Jadhav, Prabhakar Kondaji; Petraitis, Joseph James; Bett, Douglas Guy
PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Company, USA; Jadhav, Prabhakar Kondaji; Petraitis, Joseph James; Batt, Douglas Guy
SOURCE: PCT Int. Appl., 419 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9723480	A1	19970703	WO 1996-US20523	19961218
W: AM, AU, AZ, BA, BR, BY, CA, CN, CU, CZ, EE, HU, IL, JP, KG, KR, KZ, LC, LT, LV, MD, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, UA, US, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2240439	AA	19970703	CA 1996-2240439	19961218
AU 9713456	A1	19970717	AU 1997-13456	19961218
EP 939757	A1	19990908	EP 1996-944984	19961218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000501105	T2	20000202	JP 1997-523845	19961218
ZA 9610873	A	19980623	ZA 1996-10873	19961223

PRIORITY APPLN. INFO.: US 1995-9088P P 19951222
US 1996-646663 A 19960508
US 1996-25699P P 19960909
WO 1996-US20523 W 19961218

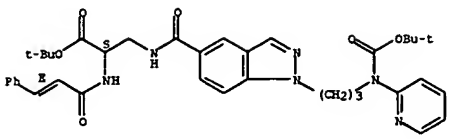
OTHER SOURCE(S): MARPAT 127:136075

IT 192944-74-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of annelated pyrazoles as integrin receptor antagonists)

RN 192944-74-4 CAPLUS
CN L-Alanine, 3-[[[1-[3-[[[(1,1-dimethylethoxy)carbonyl]-2-pyridinylamino]propyl]-1H-indazol-5-yl]carbonyl]amino]-N-[(2E)-1-oxo-3-phenyl-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L63 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



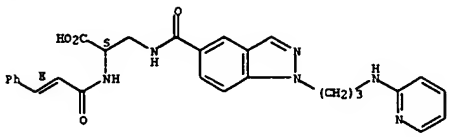
IT 192944-76-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of annelated pyrazoles as integrin receptor antagonists)

RN 192944-76-6 CAPLUS
CN L-Alanine, N-[(E)-1-oxo-3-phenyl-2-propenyl]-3-[[[1-[3-(2-pyridinylamino)propyl]-1H-indazol-5-yl]carbonyl]amino]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 192944-75-5
CMF C28 H28 N6 O4

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L63 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

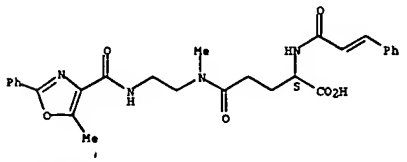
AB In eukaryotes, phosphorylation of serine, threonine, and tyrosine residues on proteins is a fundamental post-translational regulatory process for such functions as signal transduction, gene transcription, RNA splicing, cellular adhesion, apoptosis, and cell cycle control. Based on functional groups present in natural product serine/threonine protein phosphatase (PSTPase) inhibitors, we have designed pharmacophore model and demonstrated the feasibility of a combinatorial chemical approach for the preparation of functional analogs of the model. Preliminary biol. testing of 18 structural variants of the model has identified two compds. with growth inhibitory activity against cultured human breast cancer cells. In vitro inhibition of the PSTPase PP2A was demonstrated with one of the compds. Using flow cytometry, it was observed that one compound caused prominent inhibition in the G1 phase of the cell cycle. Thus, the combinatorial modifications of the minimal pharmacophore can generate biol. interesting antiproliferative agents.

ACCESSION NUMBER: 1997:123456 CAPLUS
DOCUMENT NUMBER: 126:220304
TITLE: Combinatoriel synthesis and biologicel evaluation of librery of small-molecule Ser/Thr-protein phosphatase inhibitors
AUTHOR(S): Wipf, Peter; Cunningham, April; Rice, Robert L.; Lazo, John S.
CORPORATE SOURCE: Department of Chemistry, University of Pittsburgh, Pittsburgh, PA, 15260, USA
SOURCE: Bioorganic & Medicinel Chemistry (1997), 5(1), 165-177
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 188403-30-7P 188403-33-0P 188403-36-3P 188403-39-6P 188403-41-0P 188403-42-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biologicel study, unclessified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(combinatorial synthesis and biol. evaluation of library of small-mol. Ser/Thr-protein phosphatase inhibitors)

RN 188403-30-7 CAPLUS
CN L-Glutamine, N-methyl-N-[2-[[(5-methyl-2-phenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

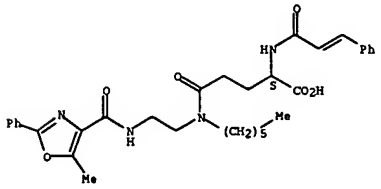
Absolute stereochemistry.
Double bond geometry unknown.



RN 188403-33-0 CAPLUS
CN L-Glutamine, N-hexyl-N-[2-[[(5-methyl-2-phenyl-4-

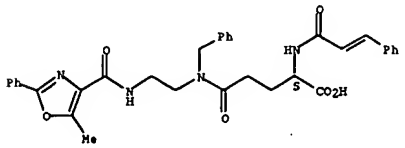
L63 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



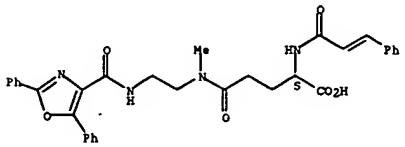
RN 188403-36-3 CAPLUS
CN L-Glutamine, N-[2-[[(5-methyl-2-phenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



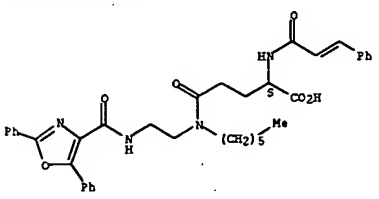
RN 188403-39-6 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N-methyl-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



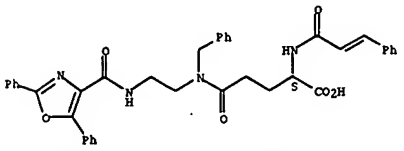
L63 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 188403-41-0 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N-hexyl-N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



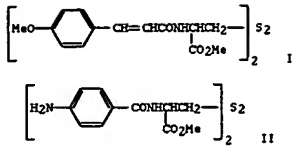
RN 188403-42-1 CAPLUS
CN L-Glutamine, N-[2-[[(2,5-diphenyl-4-oxazolyl)carbonyl]amino]ethyl]-N2-(1-oxo-3-phenyl-2-propenyl)-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L63 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI

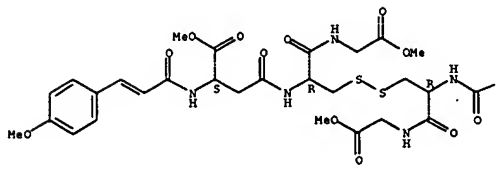


AB Derivs. of sulfur-containing or sulfur-free α-amino acids, 4-aminobenzoic and 4-methoxycinnamic acids, which are potential sunscreens, were prepared The effects on melanin formation of 2 compds. (I and II) were studied via enzymic reactions and cell culture. I and II enhance pigmentation.
ACCESSION NUMBER: 1994:239227 CAPLUS
DOCUMENT NUMBER: 120:239227
TITLE: New agents for cutaneous photoprotection: derivatives of α-amino acids, 4-aminobenzoic and 4-methoxycinnamic acids
AUTHOR(S): Zhao, M. J.; Robert, D.; Jung, L.
CORPORATE SOURCE: Fac. Pharm., Univ. Louis Pasteur, Illkirch, 67401, Fr.
SOURCE: European Journal of Medicinal Chemistry (1993), 28(12), 949-54
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 154383-58-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and photoprotectant properties of)
RN 154383-58-1 CAPLUS
CN Glycine, N-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]-L-β-aspartyl-L-cysteinyl-, methyl ester, bimol. (2→2')-disulfide (9CI) (CA INDEX NAME)

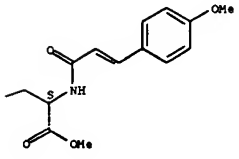
Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

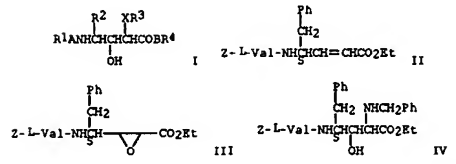
PAGE 1-A



PAGE 1-B



L63 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB Title compds. I [A and B = bond or (un)substituted amino acid residue; R1 = H, amino protecting group, R6Y (R6 = H, alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, etc.; Y = CO, NHCO,NHCS, SO2, OCO, OCS); R2 = amino acid side chain, alkyl, aralkyl, trimethylsilylmethyl, 2-thienylmethyl, etc.; R3 = alkyl, alkenyl, alkynyl, cycloalkyl, aryl, etc.; R4 = OR7 or NHR7 where R7 has the meaning indicated for R6; X = S or NR5 (R5 = H, Me, HCO, Ac) were prepared antiviral agents, particularly HIV-1 proteinase inhibitors. Thus, Z-L-Val-OC6H4NO2-p (Z = PhCH2O2C) was coupled with L-phenylalaninol (Phe-ol) in the presence of Et3N in DMF to give Z-L-Val-L-Phe-ol, which underwent the Swern oxidation with oxalyl chloride and DMSO to give the aldehyde, which underwent the Wittig reaction with Ph3P:CHCO2Et in toluene to give alkene II, which underwent epoxidn. with m-chloroperbenzoic acid in CH2Cl2 to give epoxide III. The epoxide of III was cleaved by PhCH2NH2 to give title compound IV. I were measured for their ability to inhibit HIV proteinase and to inhibit the cellular HIV-induced cytopathic effect.
ACCESSION NUMBER: 1993:473121 CAPLUS
DOCUMENT NUMBER: 119:73121
TITLE: 4-amino-3-hydroxycarboxylic acid derivatives
INVENTOR(S): Billich, Andreas; Charpiot, Brigitte; Lehr, Philip; Scholz, Dieter
PATENT ASSIGNEE(S): Sandoz Ltd., Switz.; Sandoz-Patent-G.m.b.H.
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9301166	A1	19930121	WO 1992-EP1471	19920630
W: AU, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2109326	AA	19930103	CA 1992-2109326	19920630
AU 9221944	A1	19930211	AU 1992-21944	19920630
EP 594656	A1	19940504	EP 1992-913821	19920630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 07501786	T2	19950223	JP 1992-501937	19920630
ZA 9204932	A	19940103	ZA 1992-4932	19920702

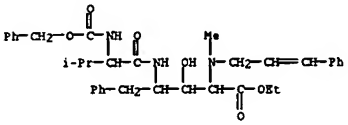
	CN 1088912	A	19940706	CN 1993-100562	19930101
PRIORITY APPLN. INFO.:				GB 1991-14261	A 19910702
				GB 1991-23721	A 19911107
				GB 1992-3884	A 19920224
				WO 1992-EP1471	A 19920630

OTHER SOURCE(S): MARPAT 119:73121

IT 148742-66-9P 148743-12-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as HIV proteinase inhibitor)

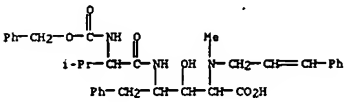
RN 148742-66-9 CAPLUS

CN Pentonic acid, 2,4,5-trideoxy-4-[[3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-2-[methyl(3-phenyl-2-propenyl)amino]-5-phenyl-, ethyl ester, [2(E),4(S)]- (9CI) (CA INDEX NAME)



RN 148743-12-8 CAPLUS

CN Pentonic acid, 2,4,5-trideoxy-4-[[3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-2-[methyl(3-phenyl-2-propenyl)amino]-5-phenyl-, [2(E),4(S)]- (9CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB FR-900156 substance-related peptides I [R = H, acyl; R1 = H, Me, CHMe2, CH2Ph, (un)protected CH2OH; R2 = H, (un)protected CO2H, CONR6R7 [R6 = (un)protected mono- or dicarboxyalkyl; R7 = H, alkyl]; R3, R4 = H (un)protected CO2H, CONR6R7; R5 = H, NH2-protective group; n = 0-2; m = 1-3] were prepared Thus, meso-diaminopimelic acid II (Z = PhCH2O2C, Boc = Me3CO2C) was coupled with H-Gly-OCH2Ph to give peptide III (R8 = Z, R9 = CH2Ph), which was deblocked by hydrogenolysis to give III (R8 = R9 = H). The latter was coupled with Ac-D-Lac-Gly-D-Glu-OCH2Ph (Lac = lactic acid residue) to give peptide IV, which was deblocked by hydrogenolysis, saponification, and acidolysis by CF3CO2H and then treated with 0.1N H2SO4 and aqueous Na metaperiodate to give branched peptide V. Numerous other I analogs were prepared I were shown to enhance immune response and can be used to treat infectious diseases.

ACCESSION NUMBER:	1989:478604 CAPLUS
	Correction of: 1984:552347
DOCUMENT NUMBER:	111:78604
	Correction of: 101:152347
TITLE:	Peptide and its use
INVENTOR(S):	Kitaura, Yoshihiko; Nakaguchi, Osamu; Hamai, Keiji; Aratani, Matsuhiko; Takeno, Hidekazu; Okada, Satoshi; Tanaka, Hirokazu; Hashimoto, Masashi; Kuroda, Yoshio; et al.
PATENT ASSIGNEE(S):	Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE:	U.S., 172 pp. Cont.-in-part of U.S. Ser. No. 149,441, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4322341	A	19820330	US 1980-201241	19801027
US 4311640	A	19820119	US 1979-93523	19791113
US 4349466	A	19820914	US 1981-229072	19810128
EP 50856	A2	19820505	EP 1981-108796	19811023
EP 50856	A3	19820804		
EP 50856	B1	19841227		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 10933	E	19850115	AT 1981-108796	19811023
CA 1241642	A1	19880906	CA 1981-388696	19811026
JP 57114556	A2	19820716	JP 1981-172658	19811027
JP 03025437	B4	19910405		
US 4458078	A	19840703	US 1982-377841	19820513
US 4725582	A	19880216	US 1982-377836	19820513
US 4801580	A	19890131	US 1982-377931	19820513
US 4666890	A	19870519	US 1982-380061	19820520
US 4539155	A	19850903	US 1983-515590	19830721
US 4749691	A	19880607	US 1987-37470	19870413

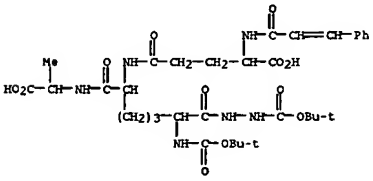
PRIORITY APPLN. INFO.:	US 1979-93523	A2	19791113
	US 1980-110020	A2	19800107
	US 1980-147710	A2	19800508
	US 1980-149441	A2	19800513
	GB 1978-44346	A	19781114
	GB 1979-26705	A	19790731
	GB 1979-35401	A	19791011

	GB 1979-35730	A	19791015
	GB 1979-36000	A	19791017
	GB 1979-37343	A	19791029
	DK 1979-4722	A	19791107
	AU 1979-52759	A	19791113
	CA 1979-339737	A	19791113
	EP 1979-104470	A	19791114
	JP 1979-147275	A	19791114
	KR 1979-3985	A	19791114
	US 1980-171024	A	19800722
	US 1980-201241	A	19801027
	US 1981-229072	A	19810128
	EP 1981-108796	A	19811023
	US 1982-377841	A3	19820513
	US 1982-380061	A3	19820520

IT 79334-40-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

RN 79334-40-0 CAPLUS

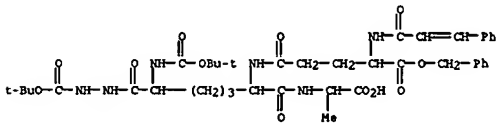
CN D-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl-N6-[(1,1-dimethylethoxy)carbonyl]-7-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-7-oxo-L-erythro-2,6-diaminoheptanoyl- (9CI) (CA INDEX NAME)



IT 79358-40-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and partial deblocking of)

RN 79358-40-0 CAPLUS

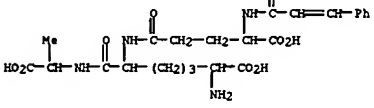
CN D-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl-N6-[(1,1-dimethylethoxy)carbonyl]-7-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-7-oxo-L-erythro-2,6-diaminoheptanoyl-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



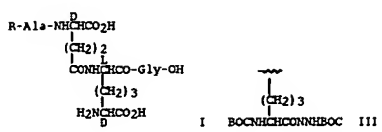
IT 79358-41-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 79358-41-1 CAPLUS

CN D-Alanine, N-[(R)-6-carboxy-N2-[N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl]-L-lysyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB A new antibiotic FR-900156, D-lactyl-L-alanyl-γ-D-glutamyl-L-mesodiaminopimelylglycine (I; R = D-lactyl), was manufactured by fermentation of Streptomyces olivaceogriceus; its' oligopeptide analogs R1NHCHR4(CH2)mCONHCHR2(CH2)3CHR3NHR5 [II; R1 = alkanoyl; R2,R3 = H, (un)protected CO2H, substituted CONH2; R4 = H, (un)protected CO2H, (un)substituted CONHR2; R5 = H, protecting group; m = 1-3] were prepared I and II showed a protective effect against bacterial infection and enhanced cellular immunity and humoral antibody production A tetrapeptide III (R = H) (662 mg) was dissolved in 50% aqueous Me2CO and NaHCO3 was added to the solution To the mixture was added 408 mg MeCH2COCl at 0° and the resulting mixture was kept at 0° for 1 h, maintaining the pH 7-8 with NaHCO3, to give 400 mg III (R = MeCH2CO). The latter compound was treated with CF3CO2H at ambient temperature to give a white solid which was dissolved in H2O and to the solution was added 0.1 N H2SO4 and aqueous solution of 260 mg NaIO4 with stirring under ice-cooling. The mixture was stirred for 2 h to give, after chromatog. on a macroporous non-ionic adsorption resin HP20 (Mitsubishi Chemical Industry Co., Ltd.), 102 mg I (R = MeCH2CO). II at 1 mg/kg i.p. extended the survival of mice inoculated i.p. with Escherichia coli by 22.2-100%. A hard gelatin capsule containing 300 FR-900156 and 15 mg magnesium stearate was described.

ACCESSION NUMBER: 1989:193403 CAPLUS
DOCUMENT NUMBER: 110:193403
TITLE: Manufacture of antibiotic FR-900156 from Streptomyces olivaceogriceus and preparation of its analogs
INVENTOR(S): Kitaura, Yoshihiko; Nakaguchi, Osamu; Aratani, Matsuhiko; Takeno, Hidekazu; Okada, Satoshi; Tanaka, Hirokazu; Hashimoto, Masashi; Kuroda, Yoshio; Iguchi, Eiko; et al.
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 186 pp. Division of U.S. 4,349,466.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4666890	A	19870519	US 1982-380061	19820520

L63 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

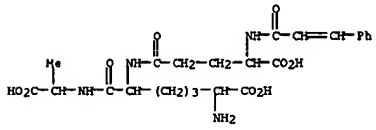
DK 7904722	A	19800515	DK 1979-4722	19791107
DK 148809	B	19851007		
DK 148809	C	19860317		
AU 7952759	A1	19800626	AU 1979-52759	19791113
AU 529275	B2	19830602		
US 4311640	A	19820119	US 1979-93523	19791113
HU 23914	O	19821028	HU 1979-FU379	19791113
HU 181434	B	19830728		
CA 1143682	A1	19830329	CA 1979-339737	19791113
JP 55085552	A2	19800627	JP 1979-147275	19791114
JP 63018598	B4	19880419		
ES 485962	A1	19800701	ES 1979-485962	19791114
AT 1388	E	19820815	AT 1979-104479	19791114
ES 493817	A1	19810716	ES 1980-493817	19800729
AU 8060939	A1	19810319	AU 1980-60939	19800730
AU 544864	B2	19850620		
US 4322341	A	19820330	US 1980-201241	19801027
US 4349466	A	19820914	US 1981-229072	19810128
ES 499470	A1	19820816	ES 1981-499470	19810216
US 4487763	A	19841211	US 1982-402440	19820728
US 4512980	A	19850423	US 1982-402438	19820728
US 32992	E	19890718	US 1984-611733	19840518
US 4749691	A	19880607	US 1987-37470	19870413

PRIORITY APPLN. INFO.:

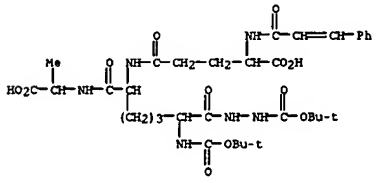
GB 1978-44346	A	19781114
GB 1979-26705	A	19790731
GB 1979-35401	A	19791011
GB 1979-35730	A	19791015
GB 1979-36000	A	19791017
GB 1979-37343	A	19791029
DK 1979-4722	A	19791107
AU 1979-52759	A	19791113
CA 1979-339737	A	19791113
US 1979-93523	A2	19791113
EP 1979-104470	A	19791114
JP 1979-147275	A	19791114
KR 1979-3985	A	19791114
US 1980-110020	A2	19800107
US 1980-147710	A2	19800508
US 1980-149441	A2	19800513
US 1980-171024	A2	19800722
US 1980-201241	A2	19801027
US 1981-229072	A3	19810128
EP 1979-104479	A	19791114
GB 1980-10459	A	19800328
US 1980-193453	A3	19801003
US 1982-380061	A3	19820520

IT 79358-41-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as immunostimulant)
RN 79358-41-1 CAPLUS
CN D-Alanine, N-[(R)-6-carboxy-N2-[N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl]-L-lysyl]- (9CI) (CA INDEX NAME)

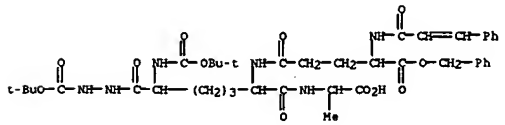
L63 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 79334-40-0P 79358-40-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for immunostimulating peptide)
RN 79334-40-0 CAPLUS
CN D-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl-N6-[(1,1-dimethylethoxy)carbonyl]-7-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-7-oxo-L-erythro-2,6-diaminoheptanoyl- (9CI) (CA INDEX NAME)



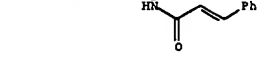
RN 79358-40-0 CAPLUS
CN D-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl-N6-[(1,1-dimethylethoxy)carbonyl]-7-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-7-oxo-L-erythro-2,6-diaminoheptanoyl-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



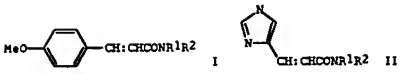
L63 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB To further explore the functions of carboxypeptidase N (CPN) in vivo, 2 studies were undertaken to find CPN inhibitors of high potency and relatively long duration of action. In each study, the inhibition of hydrolysis of [3H]benzoyl-Ala-Arg was examined with pure bovine serum CPN or human serum. In the 1st study, a series of acylamino acids and acyl di- and tripeptides containing arginine, lysine, or both was synthesized. All proved to be weak inhibitors (Ki = 10-3-10-4M). Nα-Carbamoyl-Arg was the strongest (Ki = 3.5 + 10-5M). In the 2nd study, S-acyl (thio ester) derivs. of the highly potent CPN inhibitor 2-mercaptomethyl-3-guanidoethylthiopropionic acid (2-MGP) were prepared, as certain S-acyl groups markedly increased the duration of captopril, another SH group-containing compound Acetyl-, butoxycarbonyl (Boc)-phenylalanyl-, phenylalanyl-, benzoyl-alanyl-, alanyl-, and Boc-alanyl-2-MGP retained the high potency of 2-MGP in vitro. Although Ala-2-MGP exerted maximum effects in vivo, like those of 2-MGP, the duration of action of Ala-2-MGP was slightly shorter than that of 2-MG. Evidently, the SH group of 2-MGP can be taken up in some forms of thio ester linkage and still retain virtually the full potency of 2-MGP itself. Thus, a free SH function is apparently not essential for the action of 2-MGP.

ACCESSION NUMBER: 1989:108663 CAPLUS
DOCUMENT NUMBER: 108:108663
TITLE: Synthetic inhibitors of carboxypeptidase N
AUTHOR(S): Fisher, George H.; Ryan, James W.; Chung, Alfred; Plummer, Thomas H., Jr.
CORPORATE SOURCE: Sch. Med., Univ. Miami, Miami, FL, 33101, USA
SOURCE: Advances in Experimental Medicine and Biology (1986), 198A(Kinins 4, Pt. A), 405-10
CODEN: AEMBAP; ISSN: 0065-2598
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 113067-14-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and kinetics of carboxypeptidase N of human and other animal inhibition by)
RN 113067-14-4 CAPLUS
CN L-Arginine, N2-(1-oxo-3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



AB The title compds. cis- or trans-I and -II (R1,R2 = H, alkyl, aryl, etc.; NR1R2 = heterocyclic group) are prepared as sunscreens, especially effective for absorbing the 310 nm radiation. Thus, 4-methoxycinnamoyl chloride (preparation given) was reacted with piperidine in benzene to give I (NR1R2 = piperidino). Formulation examples are given.

ACCESSION NUMBER: 1987:23102 CAPLUS
DOCUMENT NUMBER: 106:23102
TITLE: Amides of p-methoxycinnamic and urocanic acid and their utilization as sunscreens.
INVENTOR(S): Jung, Louis; Robert, Dominique
PATENT ASSIGNEE(S): Universite Louis Pasteur de Strasbourg, Fr.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8605783	A1	19861009	WO 1986-FR108	19860328
W: JP, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
FR 2579461	A1	19861003	FR 1985-4898	19850328
FR 2579461	B1	19880826		
EP 218622	A1	19870422	EP 1986-901914	19860328
EP 218622	B1	19910710		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 62502749	T2	19871022	JP 1986-501945	19860328
AT 65078	E	19910715	AT 1986-901914	19860328
US 4931471	A	19900605	US 1988-252655	19881003

PRIORITY APPLN. INFO.:

FR 1985-4898	A	19850328
EP 1986-901914	A	19860328
WO 1986-FR108	W	19860328
US 1986-939119	B1	19861119

OTHER SOURCE(S): CASREACT 106:23102

IT 105968-82-9P
RL: THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as sunscreen)

RN 105968-82-9 CAPLUS
CN Glycine, N-[3-(4-methoxyphenyl)-1-oxo-2-propenyl]-L-γ-glutamyl-L-cysteinyl-, methyl ester, bimol. (2→2')-disulfide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L63 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Double bond geometry unknown.

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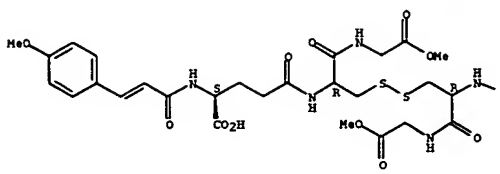
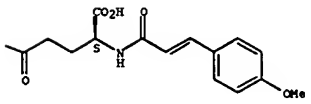


PAGE 1-B



L63 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazoles I (R = protective group, R1 = H or protective group) and II are intermediates for the preparation of pharmacol. active peptides. The synthesis of the peptides (>100) was carried out by various classical methods. Thus, glutamyl(diaminopimelyl)-containing peptide III was prepared from IV (Boc = Me3CO2C) by coupling, hydrogenolysis, deprotection, and hydrazide cleavage reactions. The product peptides have immune response-enhancing activity, mitogenic activity, antiinfection and anticancer activities, etc. (data tabulated).

ACCESSION NUMBER: 1985:542381 CAPLUS
DOCUMENT NUMBER: 103:142381
TITLE: Oxazole derivatives
INVENTOR(S): Kitaura, Yoshihiko; Kakaguchi, Osamu; Hemmi, Keiji; Acatani, Matsuhiko; Takeno, Hidekazu; Okada, Satashi; Tanaka, Hirakazu; Hashimoto, Masashi; Kuroda, Yashio; et al.
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 157 pp. Division of U.S. 4,349,466.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4458078	A	19840703	US 1982-377841	19820513
US 4311640	A	19820119	US 1979-93523	19791113
HU 23914	O	19821028	HU 1979-FU379	19791113
HU 181434	B	19830728		
ES 485962	A1	19800701	ES 1979-485962	19791114
AT 1388	E	19820815	AT 1979-104479	19791114
ES 493817	A1	19810716	ES 1980-493817	19800729
AU 8060939	A1	19810319	AU 1980-60939	19800730
AU 544864	B2	19850620		
US 4322341	A	19820330	US 1980-201241	19801027
US 4349466	A	19820914	US 1981-229072	19810128
ES 499470	A1	19820816	ES 1981-499470	19810216
US 4487763	A	19841211	US 1982-402440	19820728
US 4512980	A	19850423	US 1982-402438	19820728
US 4539155	A	19850903	US 1983-515590	19830721
US 32992	E	19890718	US 1984-611733	19840518

PRIORITY APPLN. INFO.:

GB 1978-44346	A	19781114
GB 1979-26705	A	19790731
GB 1979-35401	A	19791011
GB 1979-35730	A	19791015
GB 1979-36000	A	19791017
GB 1979-37343	A	19791029
US 1979-93523	A2	19791113
US 1980-110020	A2	19800107
US 1980-147710	A2	19800508

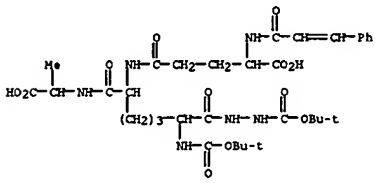
L63 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

US 1980-149441	A2	19800513
US 1980-171024	A2	19800722
US 1980-201241	A2	19801027
US 1981-229072	A3	19810128
EP 1979-104479	A	19791114
GB 1980-10459	A	19800328
US 1980-193453	A3	19801003
US 1982-377841	A3	19820513

OTHER SOURCE(S): CASREACT 103:142381

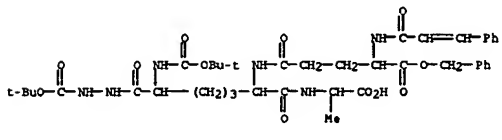
IT 79334-40-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and deprotection-hydrazide cleavage of)

RN 79334-40-0 CAPLUS
CN D-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl-N6-[(1,1-dimethylethoxy)carbonyl]-7-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-7-oxo-L-erythro-2,6-diaminoheptanoyl- (9CI) (CA INDEX NAME)



IT 79358-40-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

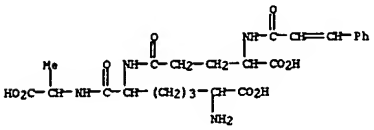
RN 79358-40-0 CAPLUS
CN D-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl-N6-[(1,1-dimethylethoxy)carbonyl]-7-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-7-oxo-L-erythro-2,6-diaminoheptanoyl-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)



IT 79358-41-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as therapeutic agent)

RN 79358-41-1 CAPLUS

L63 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN D-Alanine, N-[(R)-6-carboxy-N2-[N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl]-L-lysyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB The apparent affinities of bradykinin [58-82-2] and 3 analogs containing α,β-didehydrophenylanine in positions 5, 8, and 5 and 8 for angiotensin-converting enzyme (EC 3.4.15.1) (ACE) [9015-82-1] were determined by their abilities to inhibit the hydrolysis of 3H-labeled hippuryl-His-Leu by partially purified ACE. On the basis of I50 values (concentration of peptide required to inhibit hydrolyses of [3H]hippuryl-His-Leu by 50%), the affinity of the peptides for ACE decreased in the order: bradykinin > 8-(α,β-didehydrophenylalanine)-bradykinin [79778-53-3] > 5-(α,β-didehydrophenylalanine)-bradykinin [79778-46-4] > 5,8-(α,β-didehydrophenylalanine)-bradykinin [79778-47-5]. Inhibition of ACE by RAC-V-21 (2.5 μmol/kg) had no effect on the blood pressure effects of the 5- or 8-substituted bradykinin, indicating that ACE plays no role in terminating the activities of either analog. Apparently, didehydrophenylalanine in position 5 of bradykinin interferes with the binding of the peptide to the distant binding sites known to occur in ACE.
ACCESSION NUMBER: 1983:210180 CAPLUS
DOCUMENT NUMBER: 98:210180
TITLE: Analogs of bradykinin containing dehydrophenylalanine
AUTHOR(S): Fisher, George H.; Ryan, James W.; Berryer, Pierre
CORPORATE SOURCE: Sch. Med., Univ. Miami, Miami, FL, 33101, USA
SOURCE: Advances in Experimental Medicine and Biology (1983), 156A(Kinins-3, Pt. A), 607-12
CODEN: AEMBAP; ISSN: 0065-2598
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 79778-47-5 79778-53-3
RL: BIOL (Biological study)
(angiotensin-converting enzyme affinity for, structure in relation to)
RN 79778-47-5 CAPLUS
CN Bradykinin, 5-[(Z)-α,β-didehydrophenylalanine]-8-[(Z)-α,β-didehydrophenylalanine]- (9CI) (CA INDEX NAME)

L63 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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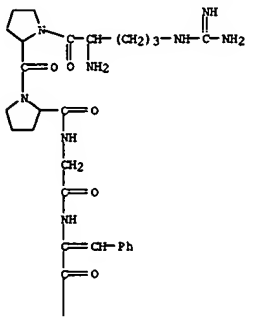

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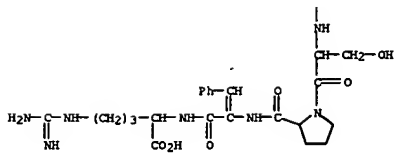
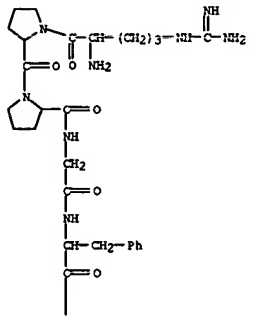


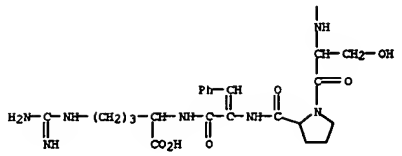
RN 79778-53-3 CAPLUS
CN Bradykinin, 8-[(Z)-α,β-didehydrophenylalanine]- (9CI) (CA INDEX NAME)

L63 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

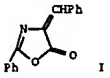
PAGE 1-A



PAGE 2-A



L63 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

AB Dehydropeptides R-(NHCHR1CO)m-NHC(:CR2R3)-CO-(NR4CHR5CO)n-[NHC(:CR6R7)CO]p-(NHCR8R9CO)q-R10 [R = H, alkanoyl, heteroaryl, (un)substituted Bz, naphthyl; R1, R5, R8 = H, alkyl, (un)substituted phenylalkyl; R2, R6, R9 = H, alkyl; R3, R7 = (un)substituted Ph, naphthyl; R4 = H; R4R5 = alkylene; R10 = OH, (un)substituted NHNH2, NH2; m, n, p, q = 0, 1] were prepared as antitumor agents (no data). Thus, oxazolone I was treated with proline to give 59% AcNHC(:CHPh)CO-Pro-OH.

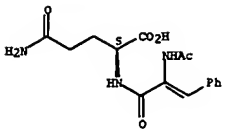
ACCESSION NUMBER: 1982:472781 CAPLUS
DOCUMENT NUMBER: 97:72781
TITLE: Tumor-resolving and histolytic medicaments and their use
INVENTOR(S): Etschenberg, Eugen; Opitz, Wolfgang; Raddatz, Siegfried
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: U.S., 26 pp. Cont.-in-part of U.S. Ser. No. 862,896, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4310517	A	19820112	US 1979-82450	19791009
DE 2659154	A1	19780706	DE 1976-2659154	19761228
DE 2745673	A1	19790412	DE 1977-2745673	19771011
PRIORITY APPLN. INFO.:			DE 1976-2659154 A	19761228
			DE 1977-2745673 A	19771011
			US 1977-862896 A2	19771221

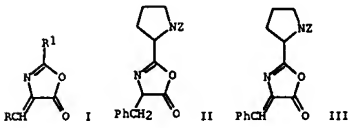
IT 68762-62-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 68762-62-9 CAPLUS
CN L-Glutamine, N2-(N-acetyl-α,β-didehydrophenylalanyl)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L63 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I II III

AB Dehydropeptides, which are stable to enzymic degradation, were prepared from azlactones I (R = alkyl, aryl, or N-containing heterocyclic group; R1 = N-protected amino acid or peptide moiety). I were prepared by oxidizing the corresponding saturated azlactones. Thus, cyclizing Z-Pro-Phe-OH (Z = PhCH2O2C) by DCC gave 89% azlactone II, which was oxidized by DDQ to give 48% unsatd. azlactone III. Treating III with H-Phe-OMe gave 88% Z-Pro-NHC(:CHPh)CO-Phe-OR2 (IV, R2 = Me), which was saponified to give 66% IV
(R2 = H).

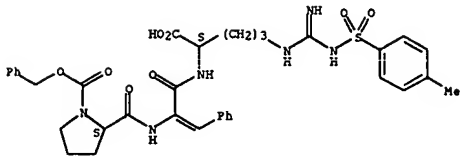
ACCESSION NUMBER: 1982:69442 CAPLUS
DOCUMENT NUMBER: 96:69442
TITLE: Dehydropeptides
INVENTOR(S): Stammer, Charles H.
PATENT ASSIGNEE(S): Research Corp. , USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4283328	A	19810811	US 1979-80210	19791001
US 4350628	A	19820921	US 1981-247006	19810324
PRIORITY APPLN. INFO.:			US 1978-921239 A3	19780703
			US 1979-80210 A3	19791001
OTHER SOURCE(S):		CASREACT 96:69442		

IT 80612-92-6DP, resin-bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 80612-92-6 CAPLUS
CN L-Ornithine, N2-[α,β-didehydro-N-[1-[(phenylmethoxy)carbonyl]-L-prolyl]phenylalanyl]-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L63 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

GI For diagram(s), see printed CA Issue.

AB FR-900156 substance-related peptides I [R = H, acyl; R1 = H, Me, CHMe2, (un)protected CH2OH, CH2Ph; R3 = H, (un)protected CO2H, CONR6R7 [R6 = (un)protected mono- or dicarboxyalkyl; R7 = H, alkyl]; R3, R4 = H, (un)protected CO2H, CONR6R7); R5 = H, NHZ-protective group; n = 0-2; m = 1-3] were prepared Thus, coupling meso-diaminopimelic acid II (Z = PhCH2O2C, BOC = Me3CO2C) with H-Gly-OCH2Ph by ClCO2CH2CHMe2 gave peptide III (R8 = Z, R9 = CH2Ph), which was deblocked by hydrogenolysis over Pd/C to give III (R8 = R9 = H). The last was coupled with Ac-D-Lac-Gly-D-Glu-OCH2Ph (Lac = lactic acid residue) by ClCO2CH2CHMe3 to give peptide IV, which was saponified, BOC-deblocked, and then treated with 0.1N H2SO4/aqueous Na metaperiodate to give branched peptide V. Numerous other I analogs were prepared I were shown to enhance immune response and can be used to treat infectious diseases.

ACCESSION NUMBER: 1982:69437 CAPLUS
DOCUMENT NUMBER: 96:69437
TITLE: Peptides, their pharmaceutical compositions and their intermediates
INVENTOR(S): Kitaura, Yoshihiko; Nakaguchi, Osamu; Hemmi, Keiji; Aratani, Matsuhiko; Takeno, Hidekazu; Okada, Satoshi; Tanaka, Hirokazu; Hashimoto, Masashi; Kuroda, Yoshio; et al.
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 502 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 25842	A2	19810401	EP 1980-104502	19800730
EP 25842	A3	19820210		
EP 25842	B1	19870603		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4311640	A	19820119	US 1979-93523	19791113
AT 1388	E	19820815	AT 1979-104479	19791114
DK 8003272	A	19810201	DK 1980-3272	19800729
DK 156252	B	19890717		
DK 156252	C	19891218		
ES 493817	A1	19810716	ES 1980-493817	19800729
AU 8060939	A1	19810319	AU 1980-60939	19800730
AU 544864	B2	19850620		
HU 188565	B	19860428	HU 1980-1911	19800730
HU 28730	O	19831228		
AT 27607	E	19870615	AT 1980-104502	19800730
JP 56045449	A2	19810425	JP 1980-106279	19800731
JP 01013463	B4	19890306		
ES 499470	A1	19820816	ES 1981-499470	19810216
US 4487763	A	19841211	US 1982-402440	19820728
US 4512980	A	19850423	US 1982-402438	19820728
JP 63258488	A2	19881025	JP 1988-54435	19880308
JP 03027560	B4	19910416		
JP 02288895	A2	19901128	JP 1990-95413	19900410
JP 06013549	B4	19940223		

PRIORITY APPLN. INFO.:

GB 1979-26705	A	19790731
GB 1979-35401	A	19791011

L63 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

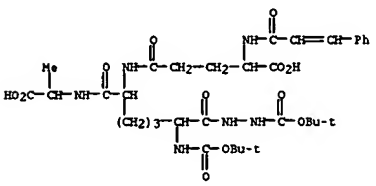
GB 1979-35730	A	19791015
GB 1979-36000	A	19791017
GB 1979-37343	A	19791029
US 1979-93523	A	19791113
US 1980-110020	A	19800107
US 1980-147710	A	19800508
US 1980-149441	A	19800513
GB 1978-44346	A	19781114
EP 1979-104479	A	19791114
GB 1980-10459	A	19800328
EP 1980-104502	A	19800730
US 1980-193453	A3	19801003

OTHER SOURCE(S): CASREACT 96:69437

IT 79334-40-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

RN 79334-40-0 CAPLUS

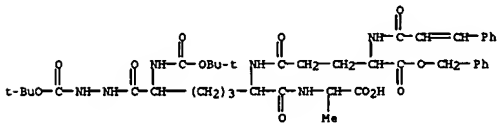
CN D-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl-N6-[(1,1-dimethylethoxy)carbonyl]-7-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-7-oxo-L-erythro-2,6-diaminoheptanoyl- (9CI) (CA INDEX NAME)



IT 79358-40-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and partial deblocking of)

RN 79358-40-0 CAPLUS

CN D-Alanine, N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl-N6-[(1,1-dimethylethoxy)carbonyl]-7-[2-[(1,1-dimethylethoxy)carbonyl]hydrazino]-7-oxo-L-erythro-2,6-diaminoheptanoyl-, 1-(phenylmethyl) ester (9CI) (CA INDEX NAME)

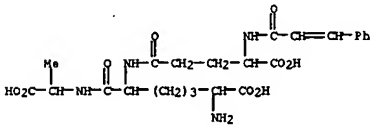


L63 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

IT 79358-41-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

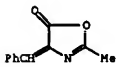
RN 79358-41-1 CAPLUS

CN D-Alanine, N-[(R)-6-carboxy-N2-[N-(1-oxo-3-phenyl-2-propenyl)-D-γ-glutamyl]-L-lysyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

GI



I

AB Dehydropeptides RCONHC(:CR1R2)CO[NHC(:CR3R4)CO]m(NHCHR5CO)nR6 (R = C1-6 alkyl optionally substituted by 1-3 halogen atoms or C1-3 alkoxy; Ph, styryl, or thienyl; R1 = H, C1-4 alkyl; R2 = Ph, naphthyl, C4-6 cycloalkyl, C1-4 alkyl, unsatd. heterocyclic radical optionally substituted by NO2; CR1R2 = cyclopentylidene, cyclohexylidene, cyclopentenylidene, or cyclohexenylidene; R3 = H, Me, Et; R4 = Ph substituted by 1-3 halogen or a 5-7-membered heterocyclic group containing 1 or 2 N, O, or S atoms; R5 = CH2Ph substituted by 1 or 2 halogen atoms or by OH or NO2; CH2CH2SMe or CH2CO2H; R6 = OH, NH2, C1-10 alkylamino, C1-5 alkoxy, dialkylamino; m and n = 0 or 1) were prepared as antitumor agents (no data). Thus, oxazolone I was treated with D-proline to give 55% AcNHC(:CHPh)CO-D-Pro-OH.

ACCESSION NUMBER: 1982:7087 CAPLUS
DOCUMENT NUMBER: 96:7087
TITLE: Dehydrooligopeptides and their medicinal use
INVENTOR(S): Etschenberg, Eugen; Opitz, Wolfgang; Raddatz, Siegfried
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: U.S., 16 pp. Cont.-in-part of U.S. Ser. No. 863,208, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4276288	A	19810630	US 1979-82451	19791009
DE 2659114	A1	19780706	DE 1976-2659114	19761228
DE 2745584	A1	19790419	DE 1977-2745584	19771011

PRIORITY APPLN. INFO.:

DE 1976-2659114	A	19761228
DE 1977-2745584	A	19771011
US 1977-863208	A2	19771222

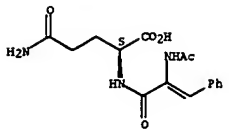
IT 68762-62-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68762-62-9 CAPLUS

CN L-Glutamine, N2-(N-acetyl-α,β-didehydrophenylalanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L63 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

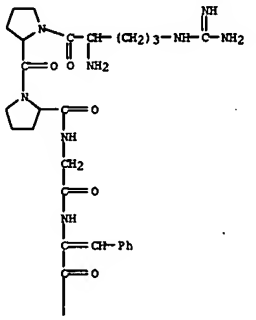
AB Bradykinin (BK) analogs H-Arg-Pro-Pro-Gly-X-Ser-Pro-X1-Arg-OH [I; X = ΔZPhe, X1 = Phe (II); X = Phe, X1 = ΔZPhe (III); X = X1 = ΔZPhe (IV)] were prepared by the solid-phase method using Me3CO2C-Gly-ΔZPhe-OH and Me3CO2C-Pro-ΔZPhe-OH for the incorporation of the dehydrophenylalanine residue. I were assayed for their in vitro ability to stimulate the contraction of smooth muscle using rat uterus and guinea pig ileum and their in vivo effect on arterial blood pressure in rats. II exhibited high biol. activity when compared to BK, whereas III was less potent than BK; IV had activities comparable to those of BK. All I are more resistant than BK to enzymic degradation during passage through the pulmonary vascular bed.

ACCESSION NUMBER: 1981:604421 CAPLUS
DOCUMENT NUMBER: 95:204421
TITLE: Dehydrophenylalanyl analogs of bradykinin: synthesis and biological activities
AUTHOR(S): Fisher, George H.; Berryer, Pierre; Ryan, James W.; Chauhan, Virander; Stammer, Charles H.
CORPORATE SOURCE: Sch. Med., Univ. Miami, Miami, FL, 33101, USA
SOURCE: Archives of Biochemistry and Biophysics (1981), 211(1), 269-75
CODEN: ABBIA4; ISSN: 0003-9861
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 79778-47-5P 79778-53-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of)

RN 79778-47-5 CAPLUS
CN Bradykinin, 5-[(Z)-α,β-didehydrophenylalanine]-8-[(Z)-α,β-didehydrophenylalanine]- (9CI) (CA INDEX NAME)

PAGE 1-A



L63 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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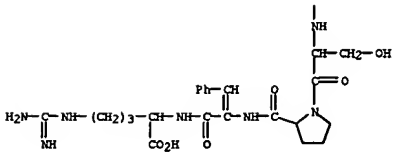
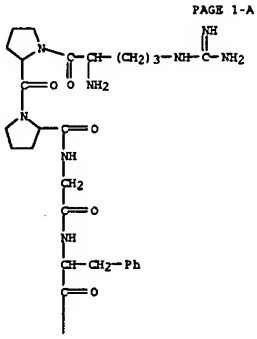


RN 79778-53-3 CAPLUS
CN Bradykinin, 8-[(Z)-α,β-didehydrophenylalanine]- (9CI) (CA INDEX NAME)

PAGE 1-A



L63 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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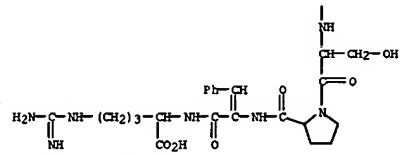
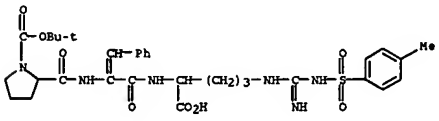
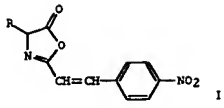


IT 79778-52-2DP, resin-bound
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and solid-phase peptide synthesis with)

RN 79778-52-2 CAPLUS
CN L-Ornithine, N2-[(Z)-α,β-didehydro-N-[1-[(1,1-dimethylethoxy)carbonyl]-L-prolyl]phenylalanyl]-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)



L63 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



I

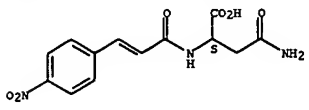
AB Oxazolines I (R = CH2CO2H, CH2CONH2, CH2CH2CO2H, CH2CH2SMe) were obtained in 65-75% yield by cyclizing 4-O2NC6H4CH:CHCONHCHRCO2H (II) with Ac2O-HOAc. II were prepared in 75-85% yield by treating 4-O2NC6H4CH:CHCOCl with H2NCHRCO2H in the presence of base.

ACCESSION NUMBER: 1981:587131 CAPLUS
DOCUMENT NUMBER: 95:187131
TITLE: New derivatives of N-(p-nitrocinnamoyl)-α-amino acids with potential antitumoral effect
AUTHOR(S): Budeanu, Constantin; Ivas, Elena; Sunel, Valeriu
CORPORATE SOURCE: Inst. Politeh.-Iasi, Fac. Tehnol. Chim., Iasi, Rom.
SOURCE: Revistade Chimie (Bucharest, Romania) (1981), 32(5), 454-6
CODEN: RCBUAU; ISSN: 0034-7752
DOCUMENT TYPE: Journal
LANGUAGE: Romanian

IT 79565-89-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 79565-89-2 CAPLUS
CN L-Asparagine, N2-[3-(4-nitrophenyl)-1-oxo-2-propenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 51 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
AB Pharmaceutical compns. containing 1-90% weight of dehydrooligopeptides or their
salts, prepared by alkaline hydrolysis of the corresponding 2,4-disubstituted
5(4H)oxazolones or by aminolysis of the oxazolones with the alkali metal salts, esters, or amides of amino acids, showed tumor resolving and histolytic activity with low toxicity and good general tolerance when administered at 1-100 mg/kg/day.

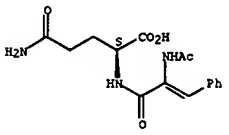
ACCESSION NUMBER: 1981:175538 CAPLUS
DOCUMENT NUMBER: 94:175538
TITLE: Tumor-resolving and histolytic medicaments comprising dehydrooligopeptides
INVENTOR(S): Etschenberg, Eugen; Opitz, Wolfgang; Raddatz, Siegfried
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Brit., 48 pp.
CODEN: BRXXAA
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 1570140	A	19800625	GB 1977-53179	19771221
DE 2659154	A1	19780706	DE 1976-2659154	19761228
DE 2745673	A1	19790412	DE 1977-2745673	19771011
PRIORITY APPLN. INFO.:			DE 1976-2659154 A	19761228
			DE 1977-2745673 A	19771011

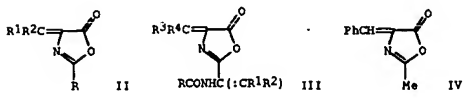
IT 68762-62-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for neoplasm inhibiting oligopeptides)

RN 68762-62-9 CAPLUS
CN L-Glutamine, N2-(N-acetyl-α,β-didehydrophenylalanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



II III IV

AB RCO-NHC(:CR1R2)CO-[NHC(:CR3R4)CO]m-[NR5CR6R7CO]n-R8 (I; R = alkyl, alkenyl, aryl, heterocyclic, aralkyl, aralkenyl, carbamoyl; R1 = H, alkyl; R2 = heterocyclic, aryl, aralkenyl, aralkyl, Et, cycloalkyl; R1R2=cyclopentylidene, cyclohexylidene, cyclopentenylidene, cyclohexenylidene; R3 = H, C1-2-alkyl; R4 = substituted Ph, aralkenyl, heterocyclic; R5 = H, alkyl; R6 = substituted CH2Ph, CH2OH, CH2CH2SMe, CH2CH2CONH2, CH2CH2CO2H; R7 = H; R5R6 = C2-4-alkylene; R6R7 = C4-5-alkylene; R8 = OH, NH2, NHR9, OR9 (R9 = alkyl, aryl, aralkyl), 5-or 6-membered N-containing heterocyclic ring, alkylthio, NHNH2; m and n = 0, 1],
useful as tumor- or tissue-dissolving agents with low toxicity, were prepared by either treating oxazolone II with HNR5CR6R7COR8 or by hydrolyzing oxazolone III. Thus, oxazolone IV was treated with D-proline to give 55% AcNHC(:CHPh)CO-D-Pro-OH. Ninety other examples of I are given.

ACCESSION NUMBER: 1979:474907 CAPLUS
DOCUMENT NUMBER: 91:74907
TITLE: Dehydrooligopeptides
INVENTOR(S): Etschenberg, Eugen; Opitz, Wolfgang; Raddatz, Siegfried
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 75 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2745584	A1	19790419	DE 1977-2745584	19771011
NO 7704303	A	19780629	NO 1977-4303	19771214
GB 1568137	A	19800529	GB 1977-53180	19771221
AU 7731913	A1	19790628	AU 1977-31913	19771222
AU 509040	B2	19800417		
FI 7703922	A	19780629	FI 1977-3922	19771223
DK 7705815	A	19780629	DK 1977-5815	19771227
SE 7714782	A	19780629	SE 1977-14782	19771227
NL 7714440	A	19780630	NL 1977-14440	19771227
FR 2376128	A1	19780728	FR 1977-39354	19771227
FR 2376128	B1	19800613		
AT 7709326	A	19800515	AT 1977-9326	19771227
AT 360185	B	19801229		
JP 53082721	A2	19780721	JP 1977-157508	19771228
ES 465518	A1	19790501	ES 1977-465518	19771228
US 4276289	A	19810630	US 1979-82451	19791009
PRIORITY APPLN. INFO.:			DE 1976-2659114 A	19761228

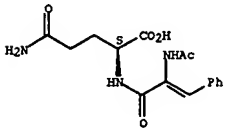
L63 ANSWER 52 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

		DE 1977-2745584 A	19771011
		US 1977-863208 A2	19771222

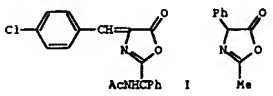
IT 68762-62-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 68762-62-9 CAPLUS
CN L-Glutamine, N2-(N-acetyl-α,β-didehydrophenylalanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI



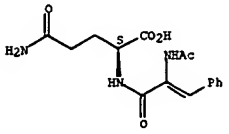
AB Dehydropeptides R(NR1CHR2CO)mNR3C(:CR4R5)CO(NR6CHR7CO)n[NR8C(:CR9R10)CO]p(NR11CR12R13CO)qR14 [R = H, alkoxycarbonyl, aralkoxycarbonyl, H2NCO, alkanoyl, alkenoyl, aroyl, aralkanoyl, aralkenoyl, lower alkylsulfonyl, arylsulfonyl, heteroaryl; R1, R6, and R11 = H, lower alkyl; R2, R7, and R12 = H, straight or branched lower alkyl, aryl, aralkyl, aralkenyl, indolylmethyl, heterocyclylmethyl with 1-2 hetero atoms in a 4-7-membered ring; R1R2, R6R7, and R11R12 = (CH2)3, (CH2)4; R3, R4, R8, and R9 = H, lower alkyl; R5 and R10 = alkyl, aryl, aralkyl, aralkenyl, 5-7-membered heterocyclic ring with 1-2 hetero atoms; R4R5 and R9R12 = (CH2)r (r = 3-7); R13 = H; R12R13 = (CH2)s (s = 4-7); R14 = OH, lower alkoxy, lower alkenyloxy, NH2, alkylamino, dialkylamino, alkenylamino, dialkenylamino, arylamino, aralkylamino, diaralkylamino, 4-7-membered N-containing heterocyclic ring with 1-2 hetero atoms, NHR15 (R15 = 3-7-membered alicyclic ring; m, n, p, and q = 0, 1] and their pharmaceutically acceptable salts were prepared as tumor- and tissue-dissolving pharmaceuticals. Thus, oxazolone I was saponified with 2N NaOH to give 84.5% dehydrodipeptide DL-AcNHC(:CHPh)CONHCH(CH2C6H4Cl-3)CO2H. Oxazolone II was treated with proline in acetone to give 59% AcNHC(:CHPh)CO-Pro-OH. Approx. 78 dehydro derivs. were prepared

ACCESSION NUMBER: 1979:104359 CAPLUS
DOCUMENT NUMBER: 90:104359
TITLE: Tumor- and tissue-dissolving pharmaceutical
INVENTOR(S): Etschenberg, Eugen; Opitz, Wolfgang; Raddatz, Siegfried
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 59 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

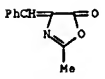
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
DE 2659154	A1	19780706	DE 1976-2659154		19761228
AU 514183	B2	19810129	AU 1977-30457		19771108
GB 1570140	A	19800625	GB 1977-53179		19771221
AU 7731912	A1	19790628	AU 1977-31912		19771222
BE 862329	A1	19780627	BE 1977-183848		19771227
FR 2375867	A1	19780728	FR 1977-39353		19771227
FR 2375867	B1	19800613			
JP 53086043	A2	19780729	JP 1977-157507		19771228
US 4310517	A	19820112	US 1979-82450		19791009
PRIORITY APPLN. INFO.:			DE 1976-2659154	A	19761228
			DE 1977-2745673	A	19771011
			US 1977-862896	A2	19771221

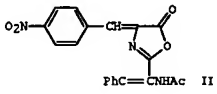
L63 ANSWER 53 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
IT 68762-62-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 68762-62-9 CAPLUS
CN L-Glutamine, N2-(N-acetyl-α,β-didehydrophenylalanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN
GI





AB Dehydropeptides RCONHC(:CR1R2)CO[NHC(:R3R4)CO]m[NR5CR6R7CO]nR8 [R = alkyl, aryl, heterocyclic, aralkyl, aralkenyl; R1 = H, lower alkyl, R2 = heterocyclic, aryl, aralkenyl, aralkyl, Et, cycloalkyl; CR1R2 = cyclopentylidene, cyclohexylidene, cyclopentenylidene, cyclohexenylidene; R3 = H, C1-2 alkyl; R4 = substituted Ph, aralkenyl, heterocyclic; R5 = H, alkyl; R6 = substituted CH2Ph, CH2OH, CH2CH2SMe, CH2CH2CONH2, CH2CH2CO2Et; R5R6 = (CH2)3, (CH2)4; R7 = H, R6R7 = (CH2)4, (CH2)5; R8 = NHR9 (R9 = H, alkyl, aryl, arakyl), 5-7-membered N-containing heterocyclic ring, OR10 (R10 = H, aralkyl, alkyl, aryl), m and n = 0, 1] and physiol. acceptable salts were prepared as tumor- and tissue-dissolving agents. Thus, oxazolone I was treated with D-proline to give 55% AcNHC(:CHPh)CO-D-Pro-OH. Oxazolone II was saponified with N NaOH in Me2CO to give 56.4% AcNHC(:CHPh)CONHC(:CHC6H4NO2-4)CO2H. Approx. 49 dehydropeptides were prepared

ACCESSION NUMBER: 1979:55277 CAPLUS
DOCUMENT NUMBER: 90:55277
TITLE: Dehydrooligopeptides
INVENTOR(S): Etschenberg, Eugen; Opitz, Wolfgang; Raddatz, Siegfried
PATENT ASSIGNEE(S): Troponwerke G.m.b.H. und Co. K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 60 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

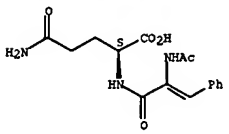
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2659114	A1	19780706	DE 1976-2659114	19761228
NO 7704303	A	19780629	NO 1977-4303	19771214
GB 1568137	A	19800529	GB 1977-53180	19771221

L63 ANSWER 54 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AU 7731913	A1	19790628	AU 1977-31913	19771222
AU 509040	B2	19800417		
FI 7703922	A	19780629	FI 1977-3922	19771223
BE 862330	A1	19780627	BE 1977-183849	19771227
DK 7705815	A	19780629	DK 1977-5815	19771227
SE 7714782	A	19780629	SE 1977-14782	19771227
NL 7714440	A	19780630	NL 1977-14440	19771227
FR 2376128	A1	19780728	FR 1977-39354	19771227
FR 2376128	B1	19800613		
AT 7709326	A	19800515	AT 1977-9326	19771227
AT 360185	B	19801229		
JP 53082721	A2	19780721	JP 1977-157508	19771228
ES 465518	A1	19790501	ES 1977-465518	19771228
US 4276288	A	19810630	US 1979-82451	19791009
PRIORITY APPLN. INFO.:			DE 1976-2659114 A	19761228
			DE 1977-2745584 A	19771011
			US 1977-863208 A2	19771222

IT 68762-62-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 68762-62-9 CAPLUS
CN L-Glutamine, N2-(N-acetyl-α,β-didehydrophenylalanyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L63 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN

GI For diagram(s), see printed CA Issue.

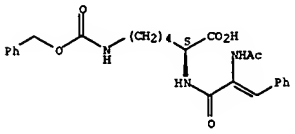
AB The CD of Ac-[Phe(Δ)]n-Lys(CO2CH2Ph)-OR [I; Phe(Δ) = NHC(:CHPh)CO; n = 1, 2; R = H, Me], Ac- Phe(Δ)-Tyr(Δ)-Gly-OH [II; Tyr(Δ) = NHC(:CHC6H4OH-p)CO], Ac-Phe(Δ)-Phe(Δ)-Phe(Δ)-Val-OH (III), and branched dehydropeptides IV (m = 1, 2) showed that peptides containing only one dehydro residue had a very weak CD band, whereas peptides containing two adjacent dehydrophenylalanine residues had similarly shaped CD curves that were independent of the C-terminal residue. The influence of urea upon the CD of Ac-Phe(Δ)-Phe(Δ)-Val-OH is discussed, and the crystal and mol. structure of Ac-Phe(Δ)-Phe(Δ)-Ala-OH was determined by x-ray diffraction.

ACCESSION NUMBER: 1978:23366 CAPLUS
DOCUMENT NUMBER: 88:23366
TITLE: Chiroptical properties and conformation of dehydrophenylalanine peptides
AUTHOR(S): Pieroni, Osvaldo; Fissi, Adriano; Merlino, Stefano; Ciardelli, Francesco
CORPORATE SOURCE: Lab. Stud. Propr. Fis. Biomol. Cell., CNR, Pisa, Italy
SOURCE: Israel Journal of Chemistry (1977), 15(1-2), 22-8
CODEN: ISJCAT; ISSN: 0021-2148
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 65003-74-9P 65003-76-1P 65003-77-2P
65003-78-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and UV absorption and CD of)

RN 65003-74-9 CAPLUS
CN L-Lysine, N2-(N-acetyl-α,β-didehydrophenylalanyl)-N6-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

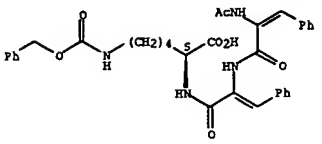
Absolute stereochemistry.
Double bond geometry unknown.



RN 65003-76-1 CAPLUS
CN L-Lysine, N2-[N-(N-acetyl-α,β-didehydrophenylalanyl)-α,β-didehydrophenylalanyl]-N6-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

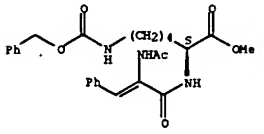
Absolute stereochemistry.
Double bond geometry unknown.

L63 ANSWER 55 OF 55 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



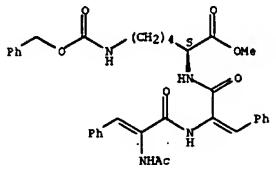
RN 65003-77-2 CAPLUS
CN L-Lysine, N2-(N-acetyl-α,β-didehydrophenylalanyl)-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 65003-78-3 CAPLUS
CN L-Lysine, N2-[N-(N-acetyl-α,β-didehydrophenylalanyl)-α,β-didehydrophenylalanyl]-N6-[(phenylmethoxy)carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                   -40.15    -127.75

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STRUCTURE FILE UPDATES:     7 MAR 2005  HIGHEST RN 844431-17-0
DICTIONARY FILE UPDATES:    7 MAR 2005  HIGHEST RN 844431-17-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
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Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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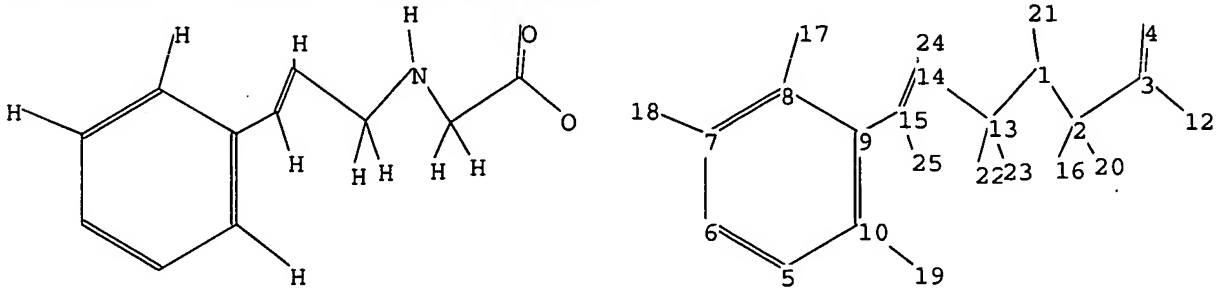


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13-23  14-15  14-24  15-25
ring bonds :
5-6  5-10  6-7  7-8  8-9  9-10
exact/norm bonds :
1-13  1-2  3-4  3-12
exact bonds :
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15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS

L64 STRUCTURE UPLOADED

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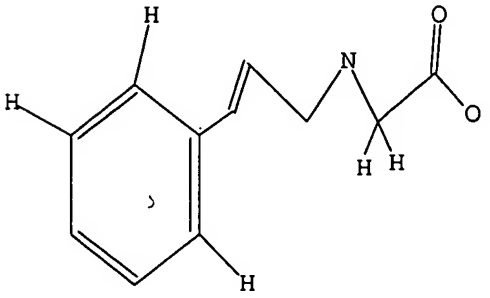

G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 1270 TO ITERATE

78.7% PROCESSED 1000 ITERATIONS 29 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 23263 TO 27537
PROJECTED ANSWERS: 372 TO 1100

L65 29 SEA SSS SAM L64

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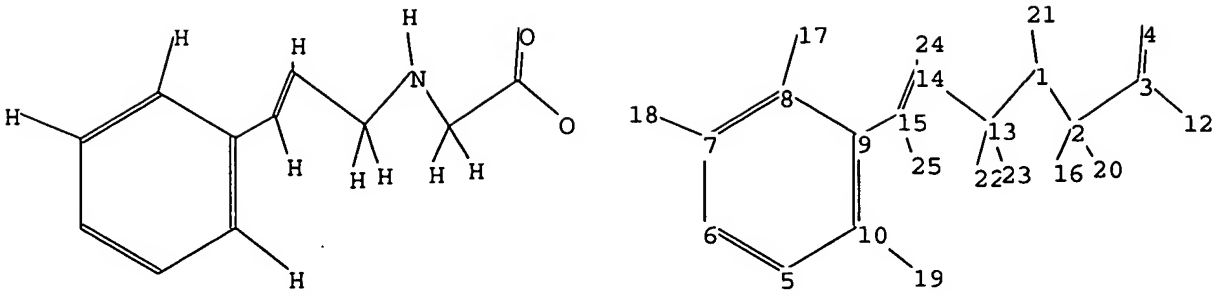

chain nodes :
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ring nodes :
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chain bonds :
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13-23 14-15 14-24 15-25
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-13 1-2 3-4 3-12
exact bonds :
1-21 2-3 2-16 2-20 7-18 8-17 9-15 10-19 13-14 13-22 13-23 14-15 14-24
15-25
normalized bonds :
5-6 5-10 6-7 7-8 8-9 9-10

G1:O,N

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
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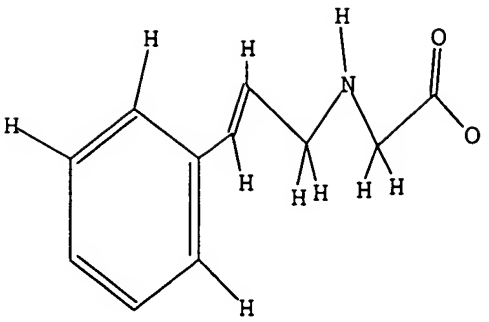
L66 STRUCTURE UPLOADED

=> d query
L66 STR



G1 O,N

Structure attributes must be viewed using STN Express query preparation.

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SEARCH TIME: 00.00.01

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PROJECTED ANSWERS:               0 TO        0

L67            0 SEA SSS SAM L66

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FULL SCREEN SEARCH COMPLETED -  25702 TO ITERATE

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SEARCH TIME: 00.00.01

L68            4 SEA SSS FUL L66

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   163.48    3460.62

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
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FILE COVERS 1907 - 9 Mar 2005 VOL 142 ISS 11
FILE LAST UPDATED: 8 Mar 2005 (20050308/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l68
L69 4 L68

=> d l69 1-4 abs ibib hitstr

L69 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
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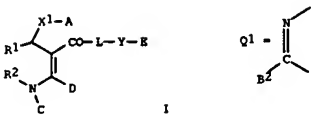


I

AB The title compds. I [R1 and R2 together form Q1, etc.; B2 = amino, etc.; A = 1-naphthyl, etc.; E = H, (un)substituted heteroaryl, etc.; C = H, alkyl, etc.; D = H, alkyl, etc.; L = O (with a proviso), etc.; Y = bond (with a proviso), etc.; X1 = CH2, etc.] are prepared I exhibit selective antagonist activity against the N-type calcium channel. In an in vitro test for N-type calcium channel antagonism, 4-(3-chlorophenyl)-6-[(2-cyclohexylethoxy)methyl]-5-(3,3-diphenylpropylcarbamoyl)-2-phenyl-1,4-dihydropyrimidine showed the pIC50 value of 5.9.

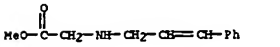
ACCESSION NUMBER: 2000:911229 CAPLUS
DOCUMENT NUMBER: 134:56687
TITLE: Preparation of dihydropyrimidine derivatives as N-type calcium channel antagonists
INVENTOR(S): Ohno, Seiji; Okajima, Akiko; Niwa, Seiji; Kito, Morikazu; Takahara, Akira; Ono, Yukitsugu; Kajigaya, Yuki; Takeda, Tomoko; Koganei, Hajime
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078730	A1	20001228	WO 2000-JP4107	20000622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1193259	A1	20020403	EP 2000-940812	20000622
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002143023	A1	20021003	US 2001-25589	20011226
US 6855716	B2	20050215		

PRIORITY APPLN. INFO.:
JP 1999-177493 A 19990623
JP 1999-277717 A 19990930
WO 2000-JP4107 W 20000622

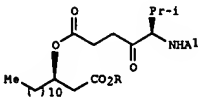
OTHER SOURCE(S): MARPAT 134:56687
IT 314000-37-8P

L69 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of dihydropyrimidine derivs. as N-type calcium channel antagonists)
RN 314000-37-8 CAPLUS
CN Glycine, N-(3-phenyl-2-propenyl)-, methyl ester (9CI) (CA INDEX NAME)

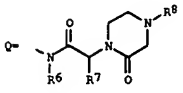


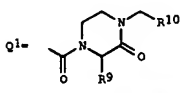
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

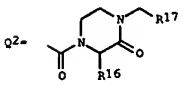
L69 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
GI

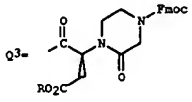


II









AB Novel depsipeptide derivs. bearing piperazinone rings in the mol., represented by general formula R1CH(CH2B)O2CCH(R2)-X1-CH(R3)-A {wherein X1 is N(R4)CO, N(R5)CH2, CH2CO, CH2CH2, CH:CH, CH2CH(OH) or CH(OH)CH(OH); R1 is C5-20 alkyl or C5-15 alkoxy-C1-4 alkyl; R2 to R5 are each hydrogen or C1-6 alkyl; and A is Q, Q1, or -X2-CH(R11)-X3-CH(R12)-NH-R13; wherein X2, X3 = NR14CO, NR15CH2, CH2CO, CH2CH2, CH:CH, CH2 CH(OH), CH(OH)CH(OH); R6, R12, R14, R15 = H, C1-6 alkyl; R7, R9, R11 = (CH2)m1CO2H (wherein m1 = 1-3); R8, R13 = H, amine-protecting group commonly used in peptide chemical; R10 = H, C1-6 alkyl, CO2H, or C1-6 alkoxycarbonyl; B = CO2H, C1-6 alkoxycarbonyl, or Q2; R16 = (CH2)m2CO2H (wherein m2 = 1-3), (CH2)n2CONH2 (wherein n2 = 2,3); R17 = H, C1-6 alkyl, CO2H, C1-6 alkoxycarbonyl] or pharmacol. acceptable salts are prepared as well as pharmaceutical formulations containing them. These derivs. exhibit apolipoprotein E production accelerating activities, thus being useful as remedies for nerve injury, dementia, and hyperlipidemia. Thus, an intermediate (HO-Q3) was condensed with an intermediate (I; R = tert-Bu, A1 = H) using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and HOBt in CH2Cl2 under ice-cooling for 2 h and at room temperature overnight to give II (A1 = Q3, R = tert-butyl), which was treated with CF3CO2H to give II (A1 = Q3, R = H). In an enzyme immunoassay using Hep G2 cells, the latter depsipeptide in vitro increased the production of apolipoprotein E by 228 and 458% at 1 and 5 μM, resp.

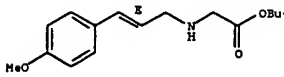
ACCESSION NUMBER: 2000:117072 CAPLUS
DOCUMENT NUMBER: 132:166522
TITLE: Preparation of depsipeptide derivatives bearing piperazinone rings as enhancers of apolipoprotein E production
INVENTOR(S): Yanai, Makoto; Suzuki, Masashi; Oshida, Norio; Kawamura, Koji; Hiramoto, Shigeru; Yasuda, Orie; Kinoshita, Nobuhiro; Shingai, Akiko; Takasu, Masako
PATENT ASSIGNEE(S): Nisshin Flour Milling Co., Ltd., Japan
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000008047	A1	20000217	WO 1999-JP4205	19990804
W: JP, US				
RW: DE, FR, GB, IT				
EP 1028126	A1	20000816	EP 1999-935054	19990804
R: DE, FR, GB, IT				
US 6288038	B1	20010911	US 2000-509132	20000403

PRIORITY APPLN. INFO.:
JP 1998-220398 A 19980804
WO 1999-JP4205 W 19990804

OTHER SOURCE(S): MARPAT 132:166522
IT 259087-09-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of depsipeptide derivs. bearing piperazinone rings as enhancers of apolipoprotein E production for remedies for nerve injury, dementia, and hyperlipidemia)
RN 259087-09-7 CAPLUS
CN Glycine, N-[(2E)-3-(4-methoxyphenyl)-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

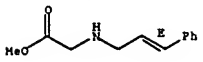
Double bond geometry as shown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L69 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AB N-Alkylation of N-allyl α-amino esters and [2,3]-Stevens rearrangement occur in one pot on warming in the solvent DMF containing the bases K2CO3 and DBU; this in situ formation of the quaternary ammonium salts and rearrangement of the subsequent ylides gives N,N-dialkylated allyl glycine derivs.
ACCESSION NUMBER: 1997:711911 CAPLUS
DOCUMENT NUMBER: 128:61250
TITLE: N-Alkylation and [2,3]-sigmatropic rearrangement of N-allyl α-amino esters
AUTHOR(S): Coldham, Iain; Middleton, Mark L.; Taylor, Philip L.
CORPORATE SOURCE: Dep. Chem., Univ. Exeter, Exeter, EX4 4QD, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1997), (20), 2951-2952
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:61250
IT 200356-28-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of N,N-dialkylated allyl glycines by alkylation and sigmatropic rearrangement of N-allyl amino esters)
RN 200356-28-1 CAPLUS
CN Glycine, N-[(2E)-3-phenyl-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L69 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AB Forty-three N-substituted glycine derivs. RZN(CH2CO2R3)COCHR1CH2SR2 I [R = (un)substituted aryl, heterocyclic, aryloxy; R1 = H, alkyl; R2 = H, acyl; R3 = H, alkyl, aralkyl, Z = alkylene, alkenylene], useful as antihypertensives, were prepared by, e.g., reaction of RZNHCH2CO2R3 (II) with R2SCH2CHR1CO2H (III) or their CO2H reactive derivs. Thus, 2 mL III chloride (R1 = Me, R2 = Ac) was added to 1.8 g II [R = PhO, R3 = H, Z = (CH2)3] in MeZNAc at room temperature to give 1.8 g I [R = PhO, R1 = Me, R2 = Ac, R3 = H, Z = (CH2)3] (IV). Angiotensin I-converting enzyme inhibitory test data of IV were shown (93% at 10 μM).
ACCESSION NUMBER: 1984:68019 CAPLUS
DOCUMENT NUMBER: 100:68019
TITLE: N-Substituted glycine derivatives
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 58150562	A2	19830907	JP 1982-34296	19820303
PRIORITY APPLN. INFO.:			JP 1982-34296	19820303

IT 88720-69-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88720-69-8 CAPLUS
CN Glycine, N-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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